L Number	Hits	Search Text	DB	Time stamp
1	10252	("514/183,247,272,277,315,318,333,336,340,364,383").CCLS	USPAT	2003/10/13 11:21
2	3737	("546/184,193,210,223,236,269.1").CCLS	USPAT	2003/10/13 11:21
3	779	("548/125,131").CCLS	USPAT	2003/10/13 11:22
4	51	(("514/183,247,272,277,315,318,333,336,340,364,383").CCLS) and (("546/184,193,210,223,236,269.1").CCLS) and (("548/125,131").CCLS)	USPAT	2003/10/13 11:22

L Number	Hits	Search Text	DB	Time stamp
1	10252	("514/183,247,272,277,315,318,333,336,340,364,383").CCLS	USPAT	2003/10/13 11:21
2	3737	("546/184,193,210,223,236,269.1").CCLS	USPAT	2003/10/13 11:21
3	779	("548/125,131").CCLS	USPAT	2003/10/13 11:22
4	51	(("514/183,247,272,277,315,318,333,336,340,364,383").CCLS) and (("546/184,193,210,223,236,269.1").CCLS) and (("548/125,131").CCLS)	USPAT	2003/10/13 11:22

L Number	Hits	Search Text	DB	Time stamp
1	10252	("514/183,247,272,277,315,318,333,336,340,364,383").CCLS	USPAT	2003/10/13 11:21
2	3737	("546/184,193,210,223,236,269.1").CCLS	USPAT	2003/10/13 11:21
3	779	("548/125,131").CCLS	USPAT	2003/10/13 11:22
4	51	(("514/183,247,272,277,315,318,333,336,340,364,383").CCLS) and (("546/184,193,210,223,236,269.1").CCLS) and (("548/125,131").CCLS)	USPAT	2003/10/13 11:25
5	7	((("514/183,247,272,277,315,318,333,336,340,364,383").CCLS) and (("546/184,193,210,223,236,269.1").CCLS) and (("548/125,131").CCLS)) and piperidine and 1,2,4-oxadiazole	USPAT	2003/10/13 11:25

L Number	Hits	Search Text	DB	Time stamp
1	10252	("514/183,247,272,277,315,318,333,336,340,364,383").CCLS	USPAT	2003/10/13 11:21
2	3737	("546/184,193,210,223,236,269.1").CCLS	USPAT	2003/10/13 11:21
3	779	("548/125,131").CCLS	USPAT	2003/10/13 11:22
4	51	(("514/183,247,272,277,315,318,333,336,340,364,383").CCLS) and (("546/184,193,210,223,236,269.1").CCLS) and (("548/125,131").CCLS)	USPAT	2003/10/13 11:25
5	7	((("514/183,247,272,277,315,318,333,336,340,364,383").CCLS) and (("546/184,193,210,223,236,269.1").CCLS) and (("548/125,131").CCLS)) and piperidine and 1,2,4-oxadiazole	USPAT	2003/10/13 11:25

Welcome to STN International! Enter x:x

LOGINID:ssspta1611sxp

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	SEP 09	CA/CAplus records now contain indexing from 1907 to the present
NEWS	4	AUG 05	New pricing for EUROPATFULL and PCTFULL effective August 1, 2003
NEWS	5	AUG 13	Field Availability (/FA) field enhanced in BEILSTEIN
NEWS	6	AUG 18	Data available for download as a PDF in RDISCLOSURE
NEWS	7	AUG 18	Simultaneous left and right truncation added to PASCAL
NEWS	8	AUG 18	FROSTI and KOSMET enhanced with Simultaneous Left and Righ Truncation
NEWS	9	AUG 18	Simultaneous left and right truncation added to ANABSTR
NEWS	10	SEP 22	DIPPR file reloaded
NEWS	11	SEP 25	INPADOC: Legal Status data to be reloaded
NEWS	12	SEP 29	DISSABS now available on STN
NEWS	13	OCT 10	PCTFULL: Two new display fields added

NEWS EXPRESS	OCTOBER 01 CURRENT WINDOWS VERSION IS V6.01a, CURRENT MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP), AND CURRENT DISCOVER FILE IS DATED 23 SEPTEMBER 2003
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 10:39:40 ON 13 OCT 2003

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 10:39:56 ON 13 OCT 2003

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 12 OCT 2003 HIGHEST RN 603065-76-5
DICTIONARY FILE UPDATES: 12 OCT 2003 HIGHEST RN 603065-76-5

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

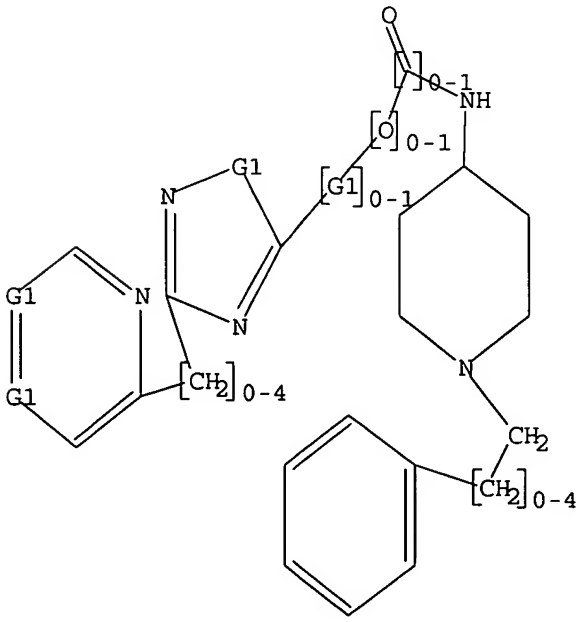
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=>
Uploading 10069215.1

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 O,N,C,S,CH

Structure attributes must be viewed using STN Express query preparation.

```
=> s l1 sss full
FULL SEARCH INITIATED 10:40:24 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    377 TO ITERATE

100.0% PROCESSED     377 ITERATIONS                          1 ANSWERS
SEARCH TIME: 00.00.01

L2            1 SEA SSS FUL L1

=> file marpat
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                             148.15     148.36

FILE 'MARPAT' ENTERED AT 10:40:31 ON 13 OCT 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE CONTENT: 1988-PRESENT (VOL 104 ISS 15-VOL 139 ISS15)(20031010ED)

MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US    6617454 09 SEP 2003
DE   20300703 18 SEP 2003
EP    1342759 10 SEP 2003
JP 2003261500 16 SEP 2003
WO 2003076638 18 SEP 2003

Structure search limits have been raised.  See HELP SLIMIT for the new,
higher limits.

=> s l1 sss full
STRUCTURE TOO LARGE - SEARCH ENDED
A structure in your query is too large.  You may delete
attributes or atoms to reduce the size of the structure
and try again.

=> file caold
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                              0.40     148.76

FILE 'CAOLD' ENTERED AT 10:41:01 ON 13 OCT 2003
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FILE COVERS 1907-1966
FILE LAST UPDATED:  01 May 1997 (19970501/UP)

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.  Title keywords, authors, patent
  assignees, and patent information, e.g., patent numbers, are
  now searchable from 1907-1966.  TIFF images of CA abstracts
  printed between 1907-1966 are available in the PAGE
```

display formats.

This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

=> s l1 sss full
REG1stRY INITIATED
Substance data SEARCH and crossover from CAS REGISTRY in progress...
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

FULL SEARCH INITIATED 10:41:08 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 377 TO ITERATE

100.0% PROCESSED 377 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.01

L3 1 SEA SSS FUL L1

L4 0 L3

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.40	297.71

FILE 'CAPLUS' ENTERED AT 10:41:26 ON 13 OCT 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 13 Oct 2003 VOL 139 ISS 16
FILE LAST UPDATED: 12 Oct 2003 (20031012/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d his

(FILE 'HOME' ENTERED AT 10:39:40 ON 13 OCT 2003)

```
     FILE 'REGISTRY' ENTERED AT 10:39:56 ON 13 OCT 2003
L1              STRUCTURE UPLOADED
L2            1 S L1 SSS FULL

     FILE 'MARPAT' ENTERED AT 10:40:31 ON 13 OCT 2003

     FILE 'CAOLD' ENTERED AT 10:41:01 ON 13 OCT 2003
                S L1

     FILE 'REGISTRY' ENTERED AT 10:41:07 ON 13 OCT 2003
L3            1 S L1 SSS FULL

     FILE 'CAOLD' ENTERED AT 10:41:09 ON 13 OCT 2003
L4            0 S L3 SSS FULL

     FILE 'CAPLUS' ENTERED AT 10:41:26 ON 13 OCT 2003

=> s l2
L5            2 L2

=> d l2 fbib hitstr abs total
YOU HAVE REQUESTED DATA FROM FILE 'REGISTRY' - CONTINUE? (Y)/N:y


'FBIB' IS NOT A VALID FORMAT FOR FILE 'REGISTRY'
'HITSTR' IS NOT A VALID FORMAT FOR FILE 'REGISTRY'
'ABS' IS NOT A VALID FORMAT FOR FILE 'REGISTRY'

The following are valid formats:

Substance information can be displayed by requesting individual
fields or predefined formats.  The predefined substance formats
are:  (RN = CAS Registry Number)

REG     - RN
SAM     - Index Name, MF, and structure - no RN
FIDE    - All substance data, except sequence data
IDE     - FIDE, but only 50 names
SQIDE   - IDE, plus sequence data
SQIDE3  - Same as SQIDE, but 3-letter amino acid codes are used
SQD     - Protein sequence data, includes RN
SQD3    - Same as SQD, but 3-letter amino acid codes are used
SQN     - Protein sequence name information, includes RN

CALC    - Table of calculated properties
EPROP   - Table of experimental properties
PROP    - EPROP and CALC

Any CA File format may be combined with any substance format to
obtain CA references citing the substance.  The substance formats
must be cited first.  The CA File predefined formats are:

ABS  -- Abstract
APPS -- Application and Priority Information
BIB  -- CA Accession Number, plus Bibliographic Data
CAN  -- CA Accession Number
CBIB -- CA Accession Number, plus Bibliographic Data (compressed)
```

IND -- Index Data
IPC -- International Patent Classification
PATS -- PI, SO
STD -- BIB, IPC, and NCL

IABS --ABS, indented, with text labels
IBIB -- BIB, indented, with text labels
ISTD -- STD format, indented

OBIB -------- AN, plus Bibliographic Data (original)
OIBIB ------- OBIB, indented with text labels

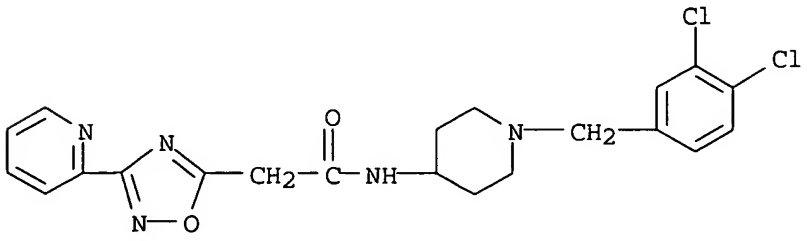
SBIB -------- BIB, no citations
SIBIB ------- IBIB, no citations

The ALL format gives FIDE BIB ABS IND RE, plus sequence data when it is available.
The MAX format is the same as ALL.
The IALL format is the same as ALL with BIB ABS and IND indented, with text labels.

For additional information, please consult the following help messages:

HELP DFIELDS -- To see a complete list of individual display fields.
HELP FORMATS -- To see detailed descriptions of the predefined formats.
ENTER DISPLAY FORMAT (IDE):IDE

L2 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2003 ACS on STN
RN 328083-09-6 REGISTRY
CN 1,2,4-Oxadiazole-5-acetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-3-(2-pyridinyl)- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-[3-(2-pyridinyl)-1,2,4-oxadiazol-5-yl]acetamide
FS 3D CONCORD
MF C21 H21 Cl2 N5 O2
SR CA
LC STN Files: CA, CAPLUS



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

```
=> file caplus
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                                0.42     300.63

FILE 'CAPLUS' ENTERED AT 10:42:33 ON 13 OCT 2003
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FILE COVERS 1907 - 13 Oct 2003  VOL 139 ISS 16
FILE LAST UPDATED: 12 Oct 2003  (20031012/ED)

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.


=> s l1
   REGIstRY INITIATED
Substance data SEARCH and crossover from CAS REGISTRY in progress...
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.




SAMPLE SEARCH INITIATED 10:42:46 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -     16 TO ITERATE

100.0% PROCESSED      16 ITERATIONS                          0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:           80 TO      560
PROJECTED ANSWERS:               0 TO        0

L6             0 SEA SSS SAM L1



L7           0 L6

=> d his

     (FILE 'HOME' ENTERED AT 10:39:40 ON 13 OCT 2003)
```

```
     FILE 'REGISTRY' ENTERED AT 10:39:56 ON 13 OCT 2003
L1              STRUCTURE UPLOADED
L2            1 S L1 SSS FULL

     FILE 'MARPAT' ENTERED AT 10:40:31 ON 13 OCT 2003

     FILE 'CAOLD' ENTERED AT 10:41:01 ON 13 OCT 2003
                S L1

     FILE 'REGISTRY' ENTERED AT 10:41:07 ON 13 OCT 2003
L3            1 S L1 SSS FULL

     FILE 'CAOLD' ENTERED AT 10:41:09 ON 13 OCT 2003
L4            0 S L3 SSS FULL

     FILE 'CAPLUS' ENTERED AT 10:41:26 ON 13 OCT 2003
L5            2 S L2

     FILE 'REGISTRY' ENTERED AT 10:42:19 ON 13 OCT 2003

     FILE 'CAPLUS' ENTERED AT 10:42:26 ON 13 OCT 2003

     FILE 'CAPLUS' ENTERED AT 10:42:33 ON 13 OCT 2003
                S L1

     FILE 'REGISTRY' ENTERED AT 10:42:45 ON 13 OCT 2003
L6            0 S L1

     FILE 'CAPLUS' ENTERED AT 10:42:47 ON 13 OCT 2003
L7            0 S L6

=> file caplus
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                                0.42     301.87

FILE 'CAPLUS' ENTERED AT 10:43:40 ON 13 OCT 2003
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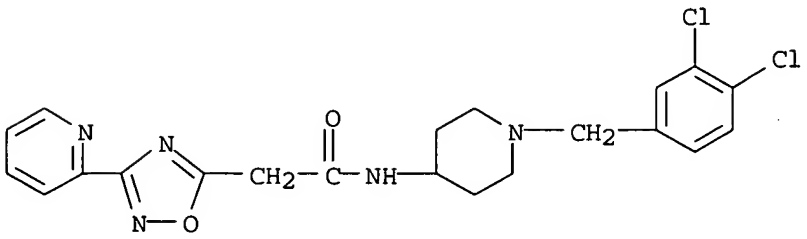
FILE COVERS 1907 - 13 Oct 2003  VOL 139 ISS 16
FILE LAST UPDATED: 12 Oct 2003  (20031012/ED)

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.
```

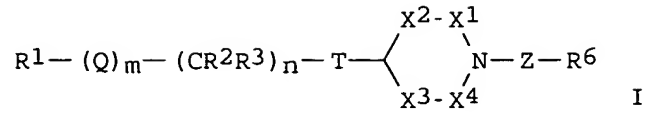
```
=> s l5
L8            2 L2

=> d l8 fbib hitstr abs total

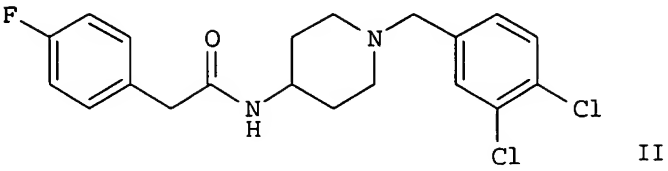
L8   ANSWER 1 OF 2  CAPLUS  COPYRIGHT 2003 ACS on STN
AN   2003:44146  CAPLUS
DN   138:73178
TI   Preparation and pharmaceutical combinations of
     [(hetero)arylalkyl]piperidinyl amine, amide, or carbamate CCR3 antagonists
     for treatment of asthma, allergic disease, or inflammation
IN   Bahl, Ash; Perry, Matthew; Springthorpe, Brian
PA   Astrazeneca AB, Swed.
SO   Brit. UK Pat. Appl., 91 pp.
     CODEN: BAXXDU
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.        KIND  DATE           APPLICATION NO.  DATE
     ---------------   ----  --------       ---------------  --------
PI   GB 2373186         A1   20020918       GB 2001-4534     20010223
                                            GB 2001-4534     20010223
OS   MARPAT 138:73178
IT   328083-09-6P, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-[3-(2-
     pyridinyl)-1,2,4-oxadiazol-5-yl]acetamide
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (CCR3 antagonist; prepn. and pharmaceutical combinations of
        [(hetero)arylalkyl]piperidinyl amine, amide, or carbamate CCR3
        antagonists for treatment of asthma, allergic disease, or inflammation)
RN   328083-09-6  CAPLUS
CN   1,2,4-Oxadiazole-5-acetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-
     piperidinyl]-3-(2-pyridinyl)- (9CI)  (CA INDEX NAME)
```



GI



I



II

AB Title compds. I [wherein Z = CR4R5, CO, or CR4R5Z1; Z1 = alkylene, alkenylene, or CONH; R1 = (un)substituted alkyl, alkenyl, (hetero)cycloalkyl, or (hetero)aryl; Q = O, S, NR9, CO, CONR9, NR9CO, or CH=CH; m = 0-1; n = 0-6 with the proviso that when n = 0; then m = 0; R2 and R3 = independently H or alkyl; or CR2R3 = (alkyl)cycloalkyl; T = NR10, CONR10, NR11CONR10, or CONR10R11; X1-X4 = independently CH2CHR12 or CO; R4 and R5 = independently H or alkyl; R6 = (un)substituted (hetero)aryl; R9-R11 = independently H, alkyl, haloalkyl, hydroxyalkyl, cycloalkyl(alkyl), or phenylalkyl; R12 = independently (cyclo)alkyl or CO; or R12 groups of X1 and X3 or X4, or X2 and X3 or X4 join to form CH2CH2, CH2CH2CH2, CH2OCH2, or CH2SCH2; or pharmaceutically acceptable salts or solvates thereof] were prepd. as cysteine-cysteine chemokine receptor 3 (CCR3) antagonists for use in pharmaceutical combinations with a histamine antagonist, steroid, leukotriene modulator, human cytokine, .beta.-agonist, phosphodiesterase inhibitor, or antibody (no data). For example, 1-(3,4-dichlorobenzyl)-4-piperidinamine.bul.2CF3CO2H was condensed with 2-(4-fluorophenyl)acetic acid to give N-[1-(3,4-dichlorobenzyl)-4-piperidinyl]-2-(4-fluorophenyl)acetamide (II). I are useful in combination therapy for the treatment of asthma, rhinitis, and other allergic or inflammatory conditions (no data).

L8 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2001:152644 CAPLUS
DN 134:207822
TI Preparation of substituted piperidines as modulators of chemokine receptor activity
IN Thom, Stephen; Baxter, Andrew; Kindon, Nicholas; McInally, Thomas; Springthorpe, Brian; Perry, Matthew; Harden, David; Evans, Richard; Marriott, David
PA Astrazeneca UK Limited, UK
SO PCT Int. Appl., 133 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001014333	A1	20010301	WO 2000-GB3179	20000818

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA,

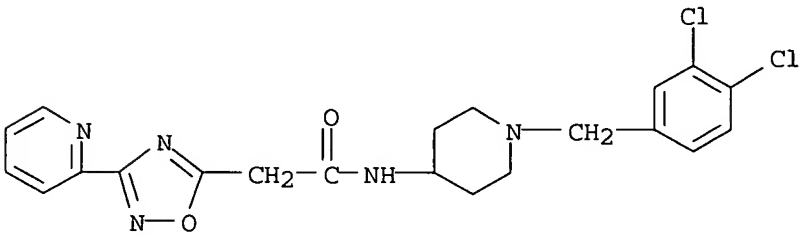
ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
SE 1999-2987 A 19990824
EP 1212299 A1 20020612 EP 2000-951768 20000818
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL
SE 1999-2987 A 19990824
WO 2000-GB3179 W 20000818
JP 2003507456 T2 20030225 JP 2001-518423 20000818
SE 1999-2987 A 19990824
WO 2000-GB3179 W 20000818

OS MARPAT 134:207822

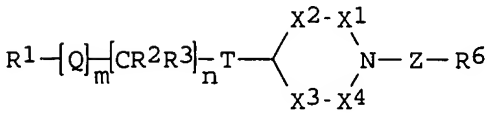
IT **328083-09-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of substituted piperidines as modulators of chemokine receptor activity)

RN 328083-09-6 CAPLUS

CN 1,2,4-Oxadiazole-5-acetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-3-(2-pyridinyl)- (9CI) (CA INDEX NAME)



GI



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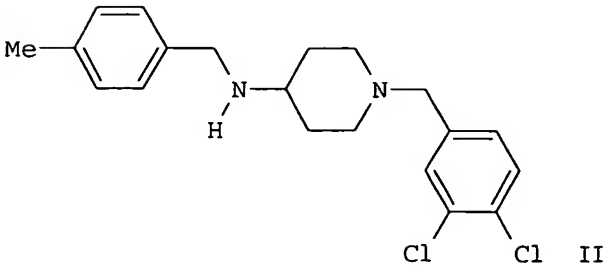
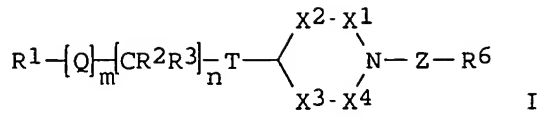
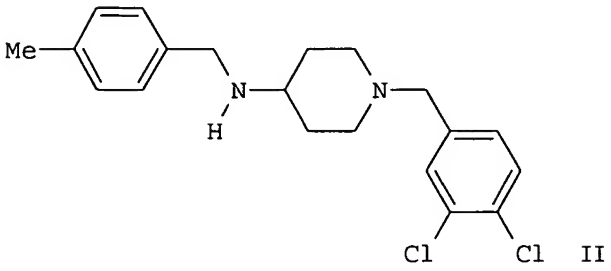


II



I



II

AB The title compds. [I; Z = CR4R5, CO, CR4R5Z1; Z1 = alkylene, alkenylene, CONH; R1 = (un)substituted alkyl, alkenyl, 3-14 membered (un)satd. ring system which optionally further comprises up to two ring carbon atoms that form carbonyl groups and which optionally further comprises up to 4 ring heteroatoms selected from N, O, and S; m = 0-1; Q = O, S, CO, etc.; n = 0-6 (when n = 0, then m = 0); R2, R3 = H, alkyl; (CR2R3)n = cycloalkyl optionally substituted by alkyl; T = NR10, CONR10, NR11CONR10, etc.; X1-X4 = CH2, CHR12 (wherein R12 = alkyl, cycloalkyl(alkyl), CO, etc.); R4, R5 = H, alkyl; R6 = (un)substituted aryl, heterocyclyl; R10-R11 = H, alkyl, haloalkyl, etc.] and their pharmaceutically acceptable salts, useful in therapy, esp. for the treatment of chemokine receptor related diseases (such as inflammatory disease) and conditions, were prepd. E.g., a 3-step synthesis of the piperidine II was given. The exemplified compds. I were found to be antagonists of the eotaxin mediated [Ca2+]i in human eosinophils and/or antagonists of the MIP-1.alpha. mediated [Ca2+]i in human monocytes (no data). Certain compds. I were found to be antagonists of the eotaxin mediated human eosinophil chemotaxis (no data).

RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> s chemikine receptor and CCR1 and CCR3
L9 0 CHEMIKINE RECEPTOR AND CCR1 AND CCR3

=> s chemokine reptor and G-protein
L10 0 CHEMOKINE REPTOR AND G-PROTEIN

=> s piperidine and chemokine receptor
L11 145 PIPERIDINE AND CHEMOKINE RECEPTOR

=> s l11 and CCR1 and CCR3
L12 4 L11 AND CCR1 AND CCR3

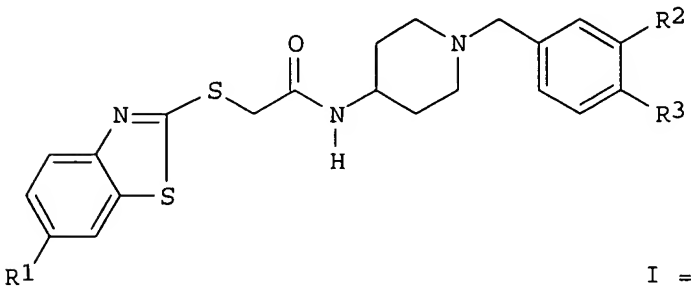
=> d l12 fbib hitstr abs total

L12 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2002:617868 CAPLUS

TI Discovery and structure-activity relationship of N-(ureidoalkyl)-benzyl-**piperidines** as potent small molecule CC **chemokine receptor**-3 antagonists
AU De Lucca, George V.; Kim, Ui T.; Vargo, Brian; Welch, Patricia K.; Johnson, Curt; Covington, Maryanne; Davies, Paul; Solomon, Kimberly A.; Newton, Robert C.; Trainor, George L.; Decicco, Carl P.; Ko, Soo S.
CS Medicinal Chemistry, Bristol-Myers Squibb, Wilmington, DE, 19880-0336, USA
SO Abstracts of Papers, 224th ACS National Meeting, Boston, MA, United States, August 18-22, 2002 (2002), MEDI-014 Publisher: American Chemical Society, Washington, D. C.
CODEN: 69CZPZ
DT Conference; Meeting Abstract
LA English
AB Eosinophils have been assocd. with disease symptoms in allergic asthma. Eotaxin, a member of the CC chemokine family, is the major chemokine responsible for eosinophil recruitment and activation into the lungs of asthmatic patients. The eotaxin receptor has been identified as **CCR3**. Thus, **CCR3** receptor antagonists may be useful for the treatment of allergic asthma. Starting with several initial hits from screening our inhouse library of compds., we were able to define essential pharmacophore features that are responsible for **CCR3** binding affinity. Further structure-activity studies lead to a series of compds. that were potent and specific **CCR3** receptor antagonist with IC50 less than 10 nM. They are also greater than 100 fold selective over other **chemokine receptors** (**CCR1**, CCR2, CCR5) and other seven transmembrane receptors. The SAR, oral bioavailability, and the functional activity of this series of compds. will be discussed and presented.

L12 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2002:515125 CAPLUS
DN 137:210415
TI Discovery and structure-activity relationship of N-(ureidoalkyl)-benzyl-**piperidines** as potent small molecule CC **chemokine receptor**-3 (**CCR3**) antagonists
AU De Lucca, George V.; Kim, Ui T.; Johnson, Curt; Vargo, Brian J.; Welch, Patricia K.; Covington, Maryanne; Davies, Paul; Solomon, Kimberly A.; Newton, Robert C.; Trainor, George L.; Decicco, Carl P.; Ko, Soo S.
CS Experimental Station, Bristol-Myers Squibb Company, Wilmington, DE, 19880-0336, USA
SO Journal of Medicinal Chemistry (2002), 45(17), 3794-3804
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
AB Structure-activity relationship (SAR) studies of initial screening hits from our corporate library of compds. and a structurally related series of **CCR1** receptor antagonists were used to det. that an N-(alkyl)benzylpiperidine is an essential pharmacophore for selective **CCR3** antagonists. Further SAR studies that introduced N-(ureidoalkyl) substituents improved the binding potency of these compds. from the micromolar to the low nanomolar range. This new series of compds. also displays highly potent, in vitro functional **CCR3** -mediated antagonism of eotaxin-induced Ca2+ mobilization and chemotaxis of human eosinophils.
RE.CNT 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2001:321173 CAPLUS
DN 135:162081
TI Discovery of a novel **CCR3** selective antagonist
AU Naya, A.; Kobayashi, K.; Ishikawa, M.; Ohwaki, K.; Saeki, T.; Noguchi, K.; Ohtake, N.
CS Banyu Tsukuba Research Institute, Tsukuba, Ibaraki, 300-2611, Japan
SO Bioorganic & Medicinal Chemistry Letters (2001), 11(9), 1219-1223
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science Ltd.
DT Journal
LA English
OS CASREACT 135:162081
GI



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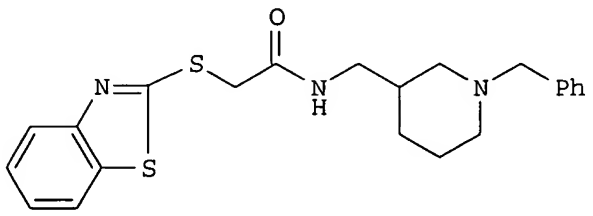
III = R^1=NH_2, R^2=Cl



II

AB In searching for a novel **CCR3** receptor antagonist, we designed a library that included a variety of carboxamide derivs. based on the structure of our potent antagonists for human **CCR1** and **CCR3** receptors, and screened the new compds. for inhibitory activity against 125I-Eotaxin binding to human **CCR3** receptors expressed in CHO cells. Among them, two 2-(benzothiazolethio)acetamide derivs. (I and II) showed binding affinities with IC50 values of 750 and 1000 nM, resp., for human **CCR3** receptors. I and II also possessed weak binding affinities for human **CCR1** receptors. We selected I as a lead compd. for derivatization to improve in vitro potency and selectivity for **CCR3** over **CCR1** receptors. Derivatization of I by incorporating substituents into each benzene ring of the benzothiazole and **piperidine** side chain resulted in the discovery of a compd. (III) exhibiting 820-fold selectivity for **CCR3** receptors (IC50=2.3 nM) over **CCR1** receptors (IC50=1900 nM). III also showed potent functional antagonist activity for

inhibiting Eotaxin (IC50=27 nM)- or RANTES (IC50=13 nM)-induced Ca2+ increases in eosinophils.
RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2000:603235 CAPLUS
DN 133:290649
TI Identification of the binding site for a novel class of CCR2b **chemokine receptor** antagonists: binding to a common **chemokine receptor** motif within the helical bundle
AU Mirzadegan, Tara; Diehl, Frank; Ebi, Bettina; Bhakta, Sunil; Polsky, Irene; McCarley, Deborah; Mulkins, Mary; Weatherhead, Gabe S.; Lapierre, Jean-Marc; Dankwardt, John; Morgans, David, Jr.; Wilhelm, Robert; Jarnagin, Kurt
CS Roche Bioscience, Palo Alto, CA, 94304, USA
SO Journal of Biological Chemistry (2000), 275(33), 25562-25571
CODEN: JBCHA3; ISSN: 0021-9258
PB American Society for Biochemistry and Molecular Biology
DT Journal
LA English
AB Monocyte chemoattractant-1 (MCP-1) stimulates leukocyte chemotaxis to inflammatory sites, such as rheumatoid arthritis, atherosclerosis, and asthma, by use of the MCP-1 receptor, CCR2, a member of the G-protein-coupled seven-transmembrane receptor superfamily. These studies identified a family of antagonists, spiropiperidines. One of the more potent compds. blocks MCP-1 binding to CCR2 with a Kd of 60 nM, but it is unable to block binding to CXCR1, **CCR1**, or **CCR3**. These compds. were effective inhibitors of chemotaxis toward MCP-1 but were very poor inhibitors of **CCR1**-mediated chemotaxis. The compds. are effective blockers of MCP-1-driven inhibition of adenylate cyclase and MCP-1- and MCP-3-driven cytosolic calcium influx; the compds. are not agonists for these pathways. The authors showed that glutamate 291 (Glu291) of CCR2 is a crit. residue for high affinity binding and that this residue contributes little to MCP-1 binding to CCR2. The basic nitrogen present in the spiropiperidine compds. may be the interaction partner for Glu291, because the basicity of this nitrogen was essential for affinity; furthermore, a different class of antagonists, a class that does not have a basic nitrogen (2-carboxypyrroles), were not affected by mutations of Glu291. In addn. to the CCR2 receptor, spiropiperidine compds. have affinity for several biogenic amine receptors. Receptor models indicate that the acidic residue, Glu291, from transmembrane-7 of CCR2 is in a position similar to the acidic residue contributed from transmembrane-3 of biogenic amine receptors, which may account for the shared affinity of spiropiperidines for these two receptor classes. The models suggest that the acid-base pair, Glu291 to **piperidine** nitrogen, anchors the spiropiperidine compd. within the transmembrane ovoid bundle. This binding site may overlap with the space required by MCP-1 during binding and signaling; thus the small mol. ligands act as antagonists. An acidic residue in transmembrane region 7 is found in most **chemokine receptors** and is rare in other serpentine receptors. The model of the binding site may suggest ways to make new small mol. **chemokine receptor** antagonists, and it may rationalize the design of more potent and selective antagonists.
RE.CNT 52 THERE ARE 52 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> log y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	44.04	345.91

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-3.91	-3.91

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PASSWORD:
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NEWS	4	AUG 05	New pricing for EUROPATFULL and PCTFULL effective August 1, 2003
NEWS	5	AUG 13	Field Availability (/FA) field enhanced in BEILSTEIN
NEWS	6	AUG 18	Data available for download as a PDF in RDISCLOSURE
NEWS	7	AUG 18	Simultaneous left and right truncation added to PASCAL
NEWS	8	AUG 18	FROSTI and KOSMET enhanced with Simultaneous Left and Righ Truncation
NEWS	9	AUG 18	Simultaneous left and right truncation added to ANABSTR
NEWS	10	SEP 22	DIPPR file reloaded
NEWS	11	SEP 25	INPADOC: Legal Status data to be reloaded
NEWS	12	SEP 29	DISSABS now available on STN
NEWS	13	OCT 10	PCTFULL: Two new display fields added

NEWS EXPRESS	OCTOBER 01 CURRENT WINDOWS VERSION IS V6.01a, CURRENT MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP), AND CURRENT DISCOVER FILE IS DATED 23 SEPTEMBER 2003
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FILE 'HOME' ENTERED AT 10:51:12 ON 13 OCT 2003

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.42	0.42

FILE 'REGISTRY' ENTERED AT 10:52:17 ON 13 OCT 2003

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 12 OCT 2003 HIGHEST RN 603065-76-5
DICTIONARY FILE UPDATES: 12 OCT 2003 HIGHEST RN 603065-76-5

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

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L1 STRUCTURE UPLOADED

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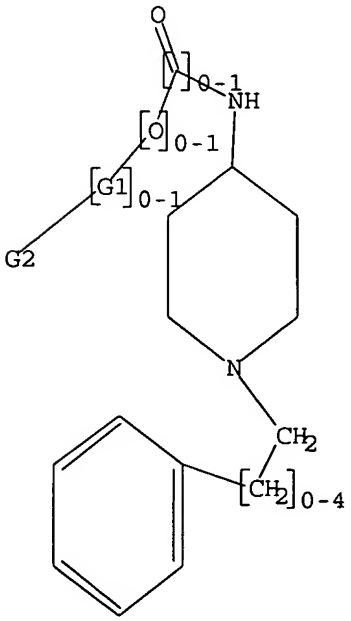
L1 STR



G1 O,N,C,S,CH
G2 Cb,Cy,Hy

Structure attributes must be viewed using STN Express query preparation.

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FULL SEARCH INITIATED 10:52:43 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -  64899 TO ITERATE

100.0% PROCESSED   64899 ITERATIONS                          4255 ANSWERS
SEARCH TIME: 00.00.02

L2         4255 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   148.55     148.97

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FILE COVERS 1907 - 13 Oct 2003  VOL 139 ISS 16
FILE LAST UPDATED: 12 Oct 2003  (20031012/ED)

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.


=> s l2
L3        1572 L2

=> s l3 and oxadiazole
L4          13 L3 AND OXADIAZOLE

=> s l3 and imidazole
L5          98 L3 AND IMIDAZOLE

=> s l3 and oxazole
L6           6 L3 AND OXAZOLE

=> s l3 and one
L7         295 L3 AND ONE

=> s l3 and chemikine
L8           0 L3 AND CHEMIKINE
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=> s l3 and chemokine and ccr1 and ccr3
L9            1 L3 AND CHEMOKINE AND CCR1 AND CCR3

=> d his

     (FILE 'HOME' ENTERED AT 10:51:12 ON 13 OCT 2003)

     FILE 'REGISTRY' ENTERED AT 10:52:17 ON 13 OCT 2003
L1               STRUCTURE UPLOADED
L2          4255 S L1 SSS FULL

     FILE 'CAPLUS' ENTERED AT 10:53:24 ON 13 OCT 2003
L3          1572 S L2
L4            13 S L3 AND OXADIAZOLE
L5            98 S L3 AND IMIDAZOLE
L6             6 S L3 AND OXAZOLE
L7           295 S L3 AND ONE
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L9             1 S L3 AND CHEMOKINE AND CCR1 AND CCR3

=> s l3 and triazole
L10          20 L3 AND TRIAZOLE

=> s l3 and 1,2,4-triazole
L11          15 L3 AND 1,2,4-TRIAZOLE

=> d l4 fbib hitstr abs totasl
'TOTASL' IS NOT A VALID FORMAT FOR FILE 'CAPLUS'

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ABS --------- GI and AB
ALL --------- BIB, AB, IND, RE
APPS -------- AI, PRAI
BIB --------- AN, plus Bibliographic Data and PI table (default)
CAN --------- List of CA abstract numbers without answer numbers
CBIB -------- AN, plus Compressed Bibliographic Data
DALL -------- ALL, delimited (end of each field identified)
DMAX -------- MAX, delimited for post-processing
FAM --------- AN, PI and PRAI in table, plus Patent Family data
FBIB -------- AN, BIB, plus Patent FAM
IND --------- Indexing data
IPC --------- International Patent Classifications
MAX --------- ALL, plus Patent FAM, RE
PATS -------- PI, SO
SAM --------- CC, SX, TI, ST, IT
SCAN -------- CC, SX, TI, ST, IT (random display, no answer numbers;
              SCAN must be entered on the same line as the DISPLAY,
              e.g., D SCAN or DISPLAY SCAN)
STD --------- BIB, IPC, and NCL

IABS -------- ABS, indented with text labels
IALL -------- ALL, indented with text labels
IBIB -------- BIB, indented with text labels
IMAX -------- MAX, indented with text labels
ISTD -------- STD, indented with text labels

OBIB -------- AN, plus Bibliographic Data (original)
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OIBIB ------- OBIB, indented with text labels

SBIB -------- BIB, no citations
SIBIB ------- IBIB, no citations

HIT --------- Fields containing hit terms
HITIND ------ IC, ICA, ICI, NCL, CC and index field (ST and IT)
              containing hit terms
HITRN ------- HIT RN and its text modification
HITSTR ------ HIT RN, its text modification, its CA index name, and
              its structure diagram
HITSEQ ------ HIT RN, its text modification, its CA index name, its
              structure diagram, plus NTE and SEQ fields
FHITSTR ----- First HIT RN, its text modification, its CA index name, and
              its structure diagram
FHITSEQ ----- First HIT RN, its text modification, its CA index name, its
              structure diagram, plus NTE and SEQ fields
KWIC -------- Hit term plus 20 words on either side
OCC --------- Number of occurrence of hit term and field in which it occurs

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FHITSTR, HITSEQ, FHITSEQ, KWIC, and OCC) may be used with DISPLAY ACC
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ENTER DISPLAY FORMAT (BIB):BIB

L4   ANSWER 1 OF 13  CAPLUS  COPYRIGHT 2003 ACS on STN
AN   2003:610204  CAPLUS
DN   139:164801
TI   Preparation of 2,4-pyrimidinediamines as IgE and/or IgG receptor
     modulators for treatment of allergic diseases, inflammatory conditions,
     and tissue destruction
IN   Singh, Rajinder; Argade, Ankush; Payan, Donald G.; Molineaux, Susan;
     Holland, Sacha J.; Clough, Jeffrey; Keim, Holger; Bhamidipati, Somasekhar;
     Sylvain, Catherine; Li, Weigun; Rossi, Alexander B.
PA   Rigel Pharmaceuticals, Inc., USA
SO   PCT Int. Appl., 648 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.         KIND  DATE          APPLICATION NO.  DATE
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PI   WO 2003063794      A2    20030807      WO 2003-US3022   20030131
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             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD,
             RU, TJ, TM
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             NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW,
             ML, MR, NE, SN, TD, TG
PRAI US 2002-353267P   P    20020201
     US 2002-353333P   P    20020201
     US 2002-399673P   P    20020729
     US 2002-434277P   P    20021217
OS   MARPAT 139:164801


=> d his

     (FILE 'HOME' ENTERED AT 10:51:12 ON 13 OCT 2003)

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L1             STRUCTURE UPLOADED
L2          4255 S L1 SSS FULL

     FILE 'CAPLUS' ENTERED AT 10:53:24 ON 13 OCT 2003
L3          1572 S L2
L4            13 S L3 AND OXADIAZOLE
L5            98 S L3 AND IMIDAZOLE
L6             6 S L3 AND OXAZOLE
L7           295 S L3 AND ONE
L8             0 S L3 AND CHEMIKINE
L9             1 S L3 AND CHEMOKINE AND CCR1 AND CCR3
L10           20 S L3 AND TRIAZOLE
L11           15 S L3 AND 1,2,4-TRIAZOLE

=> d l4 fbib hitstr abs total

L4   ANSWER 1 OF 13  CAPLUS  COPYRIGHT 2003 ACS on STN
AN   2003:610204  CAPLUS
DN   139:164801
TI   Preparation of 2,4-pyrimidinediamines as IgE and/or IgG receptor
     modulators for treatment of allergic diseases, inflammatory conditions,
     and tissue destruction
IN   Singh, Rajinder; Argade, Ankush; Payan, Donald G.; Molineaux, Susan;
     Holland, Sacha J.; Clough, Jeffrey; Keim, Holger; Bhamidipati, Somasekhar;
     Sylvain, Catherine; Li, Weigun; Rossi, Alexander B.
PA   Rigel Pharmaceuticals, Inc., USA
SO   PCT Int. Appl., 648 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.         KIND  DATE          APPLICATION NO.  DATE
     ---------------    ----  --------      ---------------  --------
PI   WO 2003063794      A2    20030807      WO 2003-US3022   20030131
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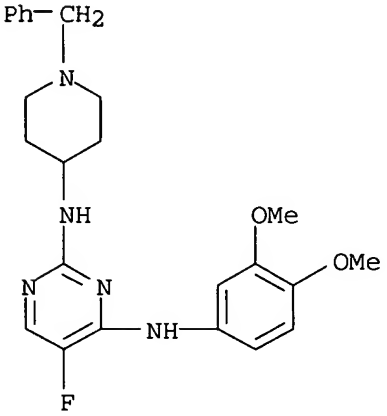
US 2002-353267PP 20020201
US 2002-353333PP 20020201
US 2002-399673PP 20020729
US 2002-434277PP 20021217

OS MARPAT 139:164801

IT **575479-15-1P 575479-16-2P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(IgE and/or IgG receptor modulator; prepn. of pyrimidinediamines as IgE and/or IgG receptor modulators for treatment of allergic diseases, inflammatory conditions, and tissue destruction)

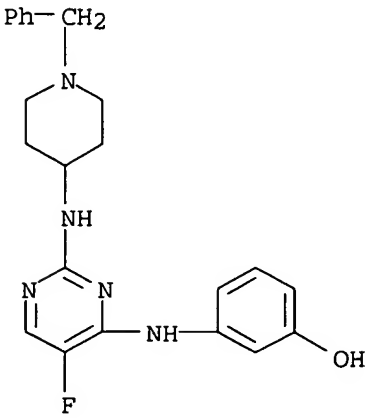
RN 575479-15-1 CAPLUS

CN 2,4-Pyrimidinediamine, N4-(3,4-dimethoxyphenyl)-5-fluoro-N2-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

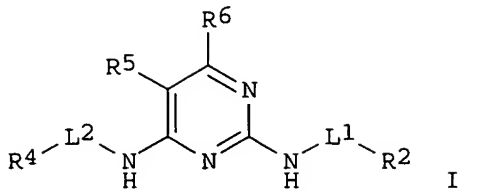


RN 575479-16-2 CAPLUS

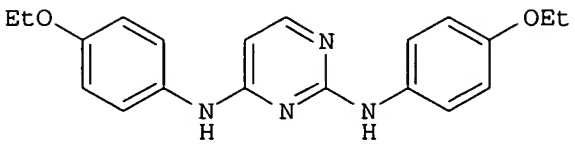
CN Phenol, 3-[[5-fluoro-2-[[1-(phenylmethyl)-4-piperidinyl]amino]-4-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



GI



I



II

AB Title compds. I [wherein L1 and L2 = independently a bond or a linker; R2 = (un)substituted alkyl, (hetero)cycloalkyl, or (hetero)aryl; R4 = H or R2; R5 = R6 or (un)substituted alkyl, alkenyl, or alkynyl; R6 = independently H, an electroneg. group, protected alc. or thiol, haloalkyl(oxy), halo, CN, NC, OCN, SCN, NO, NO2, N3, or (un)substituted amino, sulfamoyl(oxy), acyl, carboxy, carbamoyl, (hetero)aryl(alkyl), etc.; with provisos and exclusions; and salts, hydrates, solvates, N-oxides, and prodrugs thereof] were prepd. as inhibitors of the IgE and/or IgG receptor signaling cascades that lead to the release of chem. mediators. For example, coupling of 2,4-dichloropyrimidine with 4-ethoxyaniline in EtOH provided N2,N4-bis(4-ethoxyphenyl)-2,4-pyrimidinediamine (II). The latter inhibited degranulation of bone marrow derived mast cells challenged with anti-IgE and ionomycin with IC50 values of 4.5 .mu.M and 4.4 .mu.M, resp. Thus, I and their pharmaceutical compns. are useful in the treatment and prevention of diseases characterized by, caused by, or assocd. with the release of chem. mediators via degranulation of mast, basophil, neutrophil, or eosinophil cells and other processes effected by activation of the IgE and/or IgG receptor signaling cascades. The treatment and prevention of allergic diseases, low grade scarring, diseases assocd. with tissue destruction, diseases assocd. with tissue inflammation, inflammation, and scarring are targeted uses (no data).

L4 ANSWER 2 OF 13 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2003:319886 CAPLUS
DN 138:338155
TI Preparation of oxadiazolyl-biphenylcarboxamides as p38 kinase inhibitors
IN Angell, Richard Martyn; Bamborough, Paul; Cockerill, George Stuart; Smith, Kathryn Jane; Walker, Ann Louise
PA Glaxo Group Limited, UK
SO PCT Int. Appl., 44 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

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PI   WO 2003033482     A1   20030424       WO 2002-EP11574  20021016
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             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
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             RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
             CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
             PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
             NE, SN, TD, TG
                                           GB 2001-24932   A 20011017
```

OS MARPAT 138:338155

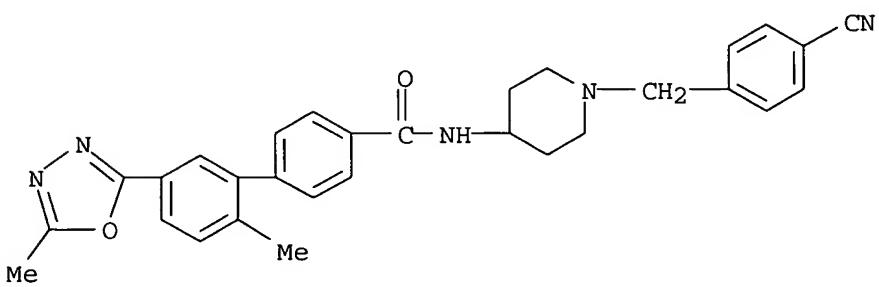
IT **515143-78-9P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of oxadiazolyl-biphenylcarboxamides as p38 kinase inhibitors)

RN 515143-78-9 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N-[1-[(4-cyanophenyl)methyl]-4-piperidinyl]-2'-methyl-5'-(5-methyl-1,3,4-oxadiazol-2-yl)- (9CI) (CA INDEX NAME)



GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; X = a bond, (un)substituted Ph; R1 = (un)substituted 5-7 membered heterocyclyl, 5-7 membered heteroaryl, fused bicyclyl; R2 = H, alkyl, (CH2)pcycloalkyl; or when X = a bond and m and n are both zero, NR1R2 = 5-6 membered heterocyclyl optionally contg. one addnl. heteroatom selected from O and N which can be optionally substituted by alkyl; R3 = II (wherein R4 = H, alkyl); U = Me, halo; V, Y = H, Me, halo; m, n = 0-2; m + n = 0-4; p = 0-1; r = 0-2; with the provisos], useful as pharmaceuticals, particularly as p38 kinase inhibitors, were prepd. E.g., a 6-step synthesis of the carboxamide III, starting from 3-bromo-4-methylbenzoic acid, was given.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

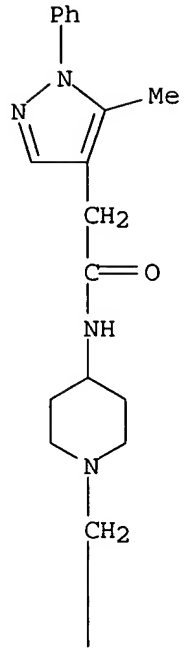
L4 ANSWER 3 OF 13 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2003:44146 CAPLUS
DN 138:73178
TI Preparation and pharmaceutical combinations of [(hetero)arylalkyl]piperidinyl amine, amide, or carbamate CCR3 antagonists for treatment of asthma, allergic disease, or inflammation
IN Bahl, Ash; Perry, Matthew; Springthorpe, Brian
PA Astrazeneca AB, Swed.
SO Brit. UK Pat. Appl., 91 pp.
CODEN: BAXXDU
DT Patent
LA English
FAN.CNT 1

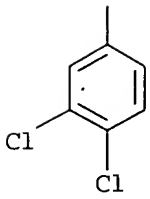
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2373186	A1	20020918	GB 2001-4534	20010223
			GB 2001-4534	20010223

OS MARPAT 138:73178
IT **328082-81-1P**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(5-methyl-1-phenyl-1H-pyrazol-4-yl)acetamide **328082-83-3P**, 2-[2-(4-Chlorophenyl)-5-methyl-1,3-thiazol-4-yl]-N-[1-(3,4-dichlorobenzyl)-4-piperidinyl]acetamide **328082-85-5P**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(4-fluorophenyl)acetamide **328082-86-6P**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-[2-(2-pyrazinyl)-1,3-thiazol-4-yl]acetamide **328082-89-9P**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-1H-benzimidazol-2-amine **328082-91-3P**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-N'-(3,4-dichlorophenyl)urea **328082-92-4P**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-N'-(3-methoxyphenyl)urea **328082-93-5P**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-N-(4-methoxybenzyl)amine dihydrochloride **328083-00-7P**, Cis-N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-[3-(2-pyridinyl)-1,2,4-oxadiazol-5-yl]cyclopropanecarboxamide **328083-04-1P**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(3-phenyl-1H-1,2,4-triazol-5-yl)acetamide **328083-07-4P**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(5-phenyl-1,3,4-oxadiazol-2-yl)acetamide acetate **328083-09-6P**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-[3-(2-pyridinyl)-1,2,4-oxadiazol-5-yl]acetamide **328083-11-0P**, N-[1-(4-Bromobenzyl)-4-piperidinyl]-2-(4-fluorophenyl)acetamide **328083-36-9P**, N-[1-[(3,4-Dichlorophenyl)methyl]-4-piperidinyl]-4-hydroxybenzeneacetamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(CCR3 antagonist; prepn. and pharmaceutical combinations of [(hetero)arylalkyl]piperidinyl amine, amide, or carbamate CCR3 antagonists for treatment of asthma, allergic disease, or inflammation)
RN 328082-81-1 CAPLUS
CN 1H-Pyrazole-4-acetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-5-methyl-1-phenyl- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



RN 328082-83-3 CAPLUS
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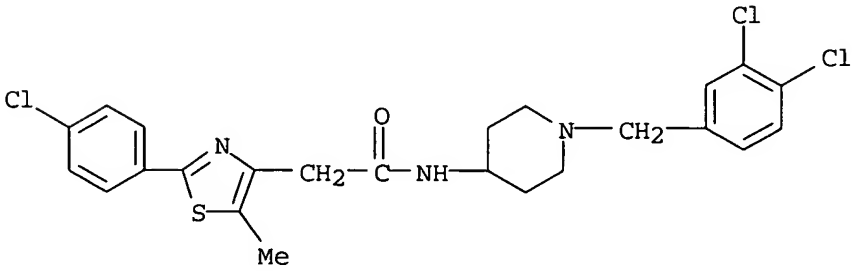
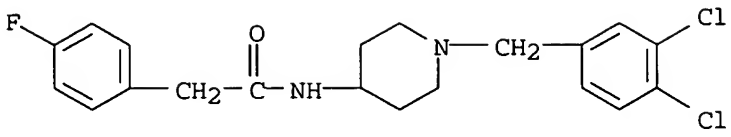
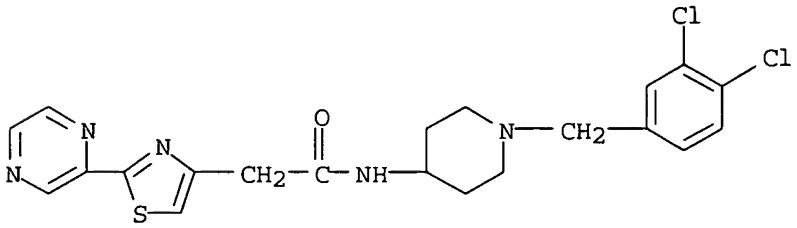


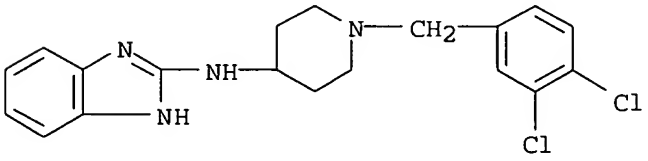
RN 328082-85-5 CAPLUS
CN Benzeneacetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-4-fluoro- (9CI) (CA INDEX NAME)



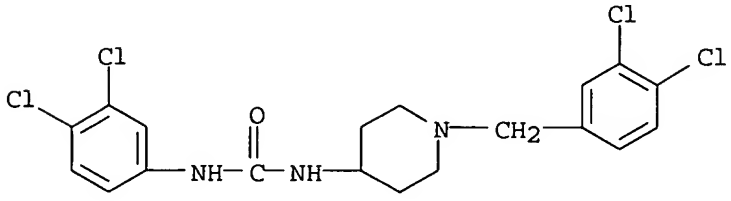
RN 328082-86-6 CAPLUS
CN 4-Thiazoleacetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-2-pyrazinyl- (9CI) (CA INDEX NAME)



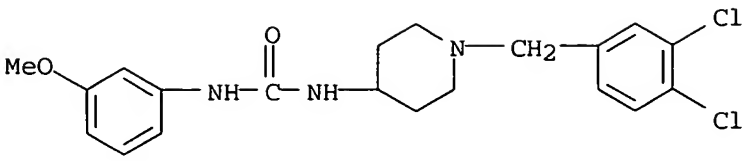
RN 328082-89-9 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



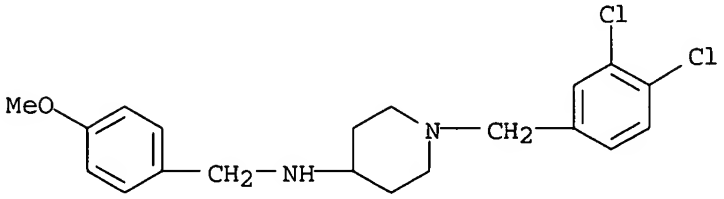
RN 328082-91-3 CAPLUS
CN Urea, N-(3,4-dichlorophenyl)-N'-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 328082-92-4 CAPLUS
CN Urea, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-N'-(3-methoxyphenyl)- (9CI) (CA INDEX NAME)



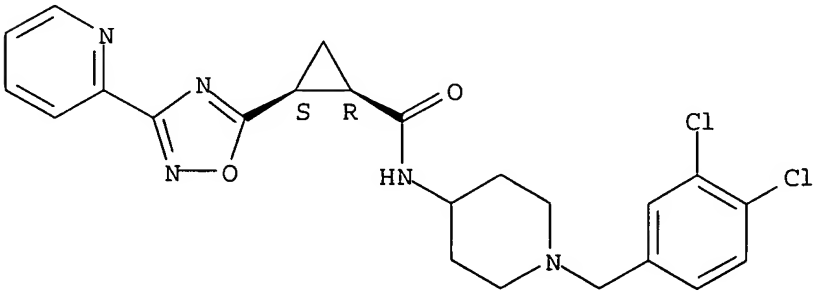
RN 328082-93-5 CAPLUS
CN 4-Piperidinamine, 1-[(3,4-dichlorophenyl)methyl]-N-[(4-methoxyphenyl)methyl]-, dihydrochloride (9CI) (CA INDEX NAME)



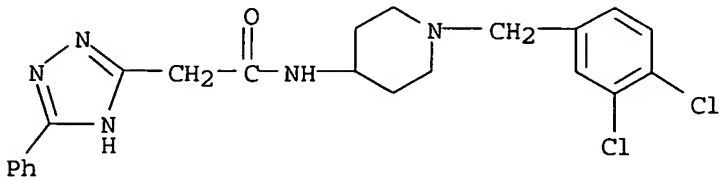
●2 HCl

RN 328083-00-7 CAPLUS
CN Cyclopropanecarboxamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-2-[3-(2-pyridinyl)-1,2,4-oxadiazol-5-yl]-, (1R,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 328083-04-1 CAPLUS
CN 1H-1,2,4-Triazole-3-acetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-5-phenyl- (9CI) (CA INDEX NAME)

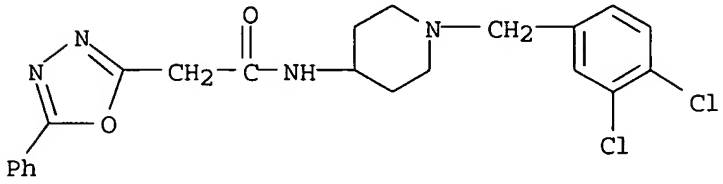


RN 328083-07-4 CAPLUS

CN 1,3,4-Oxadiazole-2-acetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-5-phenyl-, monoacetate (9CI) (CA INDEX NAME)

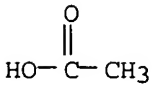
CM 1

CRN 328083-06-3
CMF C22 H22 Cl2 N4 O2

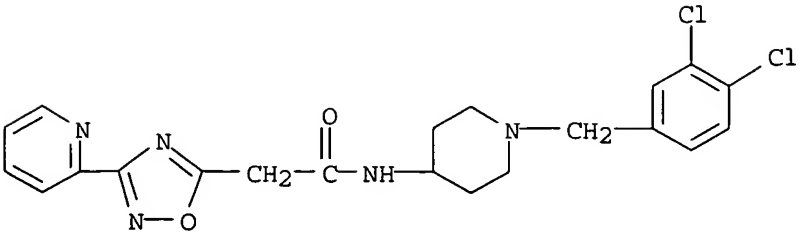


CM 2

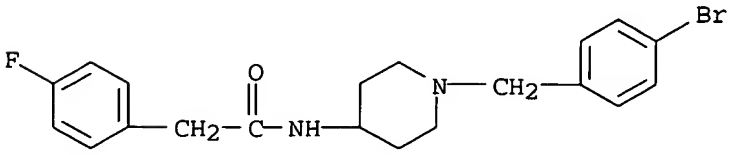
CRN 64-19-7
CMF C2 H4 O2



RN 328083-09-6 CAPLUS
CN 1,2,4-Oxadiazole-5-acetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-3-(2-pyridinyl)- (9CI) (CA INDEX NAME)



RN 328083-11-0 CAPLUS
CN Benzeneacetamide, N-[1-[(4-bromophenyl)methyl]-4-piperidinyl]-4-fluoro- (9CI) (CA INDEX NAME)



RN 328083-36-9 CAPLUS
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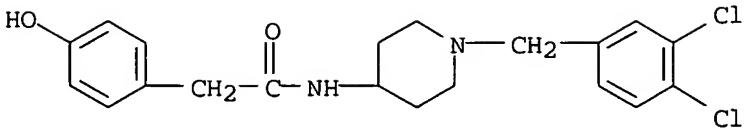
hydroxy- (9CI) (CA INDEX NAME)



IT **328081-86-3**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-N-(4-methylbenzyl)amine **328081-87-4**, N-[4-[[[1-(3,4-Dichlorobenzyl)-4-piperidinyl]amino]methyl]phenyl]acetamide **328081-88-5**, 3-[[[1-(3,4-Dichlorobenzyl)-4-piperidinyl]amino]methyl]phenol **328081-89-6**, N-[(4-Chloro-1-methyl-1H-pyrazol-3-yl)methyl]-1-(3,4-dichlorobenzyl)-4-piperidinamine **328081-90-9**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-N-[(5-methyl-2-furyl)methyl]amine **328081-91-0**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-N-(4-nitrobenzyl)amine **328081-92-1**, N-Benzyl-1-(3,4-dichlorobenzyl)-4-piperidinamine **328081-93-2**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-N-(4-fluorobenzyl)amine **328081-94-3**, N-(2,6-Dichlorobenzyl)-1-(3,4-dichlorobenzyl)-4-piperidinamine **328081-95-4**, N,1-Bis(3,4-dichlorobenzyl)-4-piperidinamine **328081-96-5**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-N-(2-pyridinylmethyl)amine **328081-97-6**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-N-[(3-methyl-2-thienyl)methyl]amine **328081-98-7**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-N-[(5-methyl-2-thienyl)methyl]amine **328081-99-8**, 5-[[[1-(3,4-Dichlorobenzyl)-4-piperidinyl]amino]methyl]-2-methoxyphenol **328082-00-4**, 4-[[[1-(3,4-Dichlorobenzyl)-4-piperidinyl]amino]methyl]-2-nitrophenol **328082-01-5**, 3-[[[1-(3,4-Dichlorobenzyl)-4-piperidinyl]amino]methyl]-4H-chromen-4-one **328082-02-6**, N-[(5-Chloro-1,3-dimethyl-1H-pyrazol-4-yl)methyl]-1-(3,4-dichlorobenzyl)-4-piperidinamine **328082-03-7**, N-[(4-Chloro-1H-pyrazol-3-yl)methyl]-1-(3,4-dichlorobenzyl)-4-piperidinamine **328082-04-8**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-N-[[1-(4-methylbenzyl)-1H-pyrazol-5-yl]methyl]amine **328082-05-9**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-N-[(2-phenyl-1H-imidazol-4-yl)methyl]amine **328082-06-0**, N-[(2-Chloro-3-quinolinyl)methyl]-1-(3,4-dichlorobenzyl)-4-piperidinamine **328082-08-2**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-N-(3-quinolinylmethyl)amine **328082-09-3**, [5-[[[1-(3,4-Dichlorobenzyl)-4-piperidinyl]amino]methyl]-2-furyl]methyl acetate **328082-10-6**, 4-[[[1-(3,4-Dichlorobenzyl)-4-piperidinyl]amino]methyl]-1,5-dimethyl-2-phenyl-1,2-dihydro-3H-pyrazol-3-one **328082-11-7**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-N-(4-pyridinylmethyl)amine **328082-12-8**, 5-[[[1-(3,4-Dichlorobenzyl)-4-piperidinyl]amino]methyl]-2-nitrophenol **328082-13-9**, N-[2-(tert-Butylsulfanyl)benzyl]-1-(3,4-dichlorobenzyl)-4-piperidinamine **328082-14-0**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-N-(4-ethylbenzyl)amine **328082-15-1**, 5-[[[1-(3,4-Dichlorobenzyl)-4-piperidinyl]amino]methyl]-2-hydroxybenzoic acid **328082-16-2**, N-(1,3-Benzodioxol-4-ylmethyl)-1-(3,4-dichlorobenzyl)-4-piperidinamine **328082-17-3**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-N-(1,3-thiazol-2-ylmethyl)amine **328082-18-4**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-N-[(5-ethyl-2-furyl)methyl]amine **328082-19-5**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-N-(2-quinolinylmethyl)amine **328082-20-8**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-N-(4-

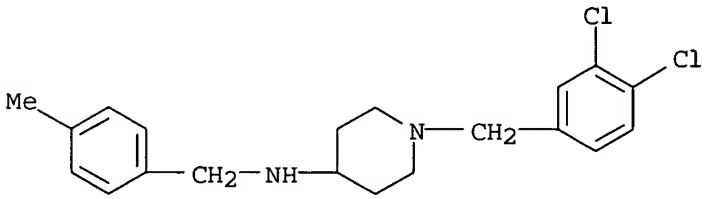
quinolinylmethyl)amine **328082-21-9**, 5-[[[1-(3,4-Dichlorobenzyl)-4-piperidinyl]amino]methyl]-2-hydroxy-3-methoxybenzoic acid **328082-22-0**, N-[(4-Bromo-1H-pyrazol-3-yl)methyl]-1-(3,4-dichlorobenzyl)-4-piperidinamine **328082-23-1**, 2-[2-[[[1-(3,4-Dichlorobenzyl)-4-piperidinyl]amino]methyl]-6-methoxyphenoxy]acetic acid **328082-24-2**, N-[(4-Bromo-1-methyl-1H-pyrazol-3-yl)methyl]-1-(3,4-dichlorobenzyl)-4-piperidinamine **328082-25-3**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-N-(4-iodobenzyl)amine **328082-26-4**, 3-[[[1-(3,4-Dichlorobenzyl)-4-piperidinyl]amino]methyl]-6,7-dimethyl-4H-chromen-4-one **328082-27-5**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-N-(4-isopropoxybenzyl)amine **328082-28-6**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-N-[(1-methyl-1H-benzimidazol-2-yl)methyl]amine **328082-29-7**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-N-(3-methylbenzyl)amine **328082-30-0**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-N-(3-pyridinylmethyl)amine **328082-31-1**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-N-(2,4-dimethylbenzyl)amine **328082-32-2**, Ethyl 5-[[[1-(3,4-dichlorobenzyl)-4-piperidinyl]amino]methyl]-2-methyl-3-furoate **328082-33-3**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-3-furamide **328082-36-6**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-6-methoxy-4-quinolinecarboxamide **328082-37-7**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(2-furyl)-4-quinolinecarboxamide **328082-40-2**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(3,5-dimethoxyphenyl)acetamide **328082-41-3**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(2-methoxyphenyl)acetamide **328082-42-4**, 2-(5-Chloro-2-oxo-1,3-benzothiazol-3(2H)-yl)-N-[1-(3,4-dichlorobenzyl)-4-piperidinyl]acetamide **328082-44-6**, 2-(Benzothiophen-3-yl)-N-[1-(3,4-dichlorobenzyl)-4-piperidinyl]acetamide **328082-47-9**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-3-fluoro-2-methylbenzamide **328082-48-0**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-N'-(1-phenylethyl)phthalamide **328082-49-1**, 2-Cyclopentyl-N-[1-(3,4-dichlorobenzyl)-4-piperidinyl]acetamide **328082-50-4**, 4-Chloro-N-[1-(3,4-dichlorobenzyl)-4-piperidinyl]-2-nitrobenzamide **328082-51-5**, 2,2-Dichloro-N-[1-(3,4-dichlorobenzyl)-4-piperidinyl]-1-methylcyclopropanecarboxamide **328082-52-6**, tert-Butyl 4-[5-[[[1-(3,4-dichlorobenzyl)-4-piperidinyl]amino]carbonyl]-2-methoxyphenyl]-1-piperazinecarboxylate **328082-53-7**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-5-oxo-1-(2-thienylmethyl)-3-pyrrolidinecarboxamide **328082-55-9**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-4-fluorobenzamide **328082-56-0**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-methylbenzamide **328082-57-1**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-3-methylbenzamide **328082-58-2**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-4-(hydroxymethyl)benzamide **328083-64-3**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(1,3-dioxo-1,3-dihydro-2H-isoindol-2-yl)acetamide **328083-73-4**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-N-[4-(methylsulfonyl)benzyl]amine **328083-75-6**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(4-phenyl-1,3-thiazol-2-yl)acetamide **328083-76-7**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(2-phenyl-1,3-thiazol-4-yl)acetamide **389062-07-1**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-phenylacetamide **479554-54-6**, 2-([1,1'-Biphenyl]-4-yloxy)-N-[1-(3,4-dichlorobenzyl)-4-piperidinyl]acetamide **479554-59-1**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(4-bromophenyl)acetamide **479554-60-4**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(4-aminophenyl)acetamide **479554-61-5**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(2-bromophenyl)acetamide **479554-62-6**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(3-methylphenyl)acetamide

479554-63-7, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(2-methylphenyl)acetamide **479554-64-8**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(3-chloro-4-hydroxyphenyl)acetamide **479554-65-9**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(2-nitrophenyl)acetamide **479554-66-0**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(2-chlorophenyl)acetamide **479554-67-1**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(4-chlorophenyl)acetamide **479554-69-3**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(4-nitrophenyl)acetamide **479554-71-7**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(3,4-dimethoxyphenyl)acetamide **479554-72-8**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(3-fluoro-4-hydroxyphenyl)acetamide **479554-73-9**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(3,4-methylenedioxyphenyl)acetamide **479554-75-1**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(4-phenylphenyl)acetamide **479554-76-2**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(3,4-dichlorophenyl)acetamide **479554-82-0**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(4-methylphenyl)acetamide **479554-83-1**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-[4-(trifluoromethoxy)phenyl]acetamide **479554-84-2**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(4-methoxyphenyl)acetamide **479554-85-3**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-[4-(dimethylamino)phenyl]acetamide **479554-87-5**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(3,4,5-trimethoxyphenyl)acetamide **479554-89-7**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(3-aminophenyl)acetamide **479554-90-0**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(1-naphthyl)acetamide **479554-91-1**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(3-methoxy-4-hydroxyphenyl)acetamide **479554-92-2**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-[3-[[[6-bromo-1-(prop-2-en-1-yl)-2-naphthyl]oxy]methyl]phenyl]acetamide **479554-93-3**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-[4-(4-nitrobenzyloxy)phenyl]acetamide **479554-94-4**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(3-fluoro-4-methoxyphenyl)acetamide **479554-96-6**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(3-hydroxyphenyl)acetamide **479554-97-7**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(4-(benzyloxy)phenyl)acetamide **479554-98-8**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(4-(3-nitrophenyl)phenyl)acetamide **479554-99-9**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(2,5-dimethylphenyl)acetamide **479555-00-5**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(4-iodophenyl)acetamide **479555-01-6**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(3-bromophenyl)acetamide **479555-02-7**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(2-methyl-3-nitrophenyl)acetamide **479555-03-8**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(3-hydroxy-4-methoxyphenyl)acetamide **479555-04-9**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(3-fluorophenyl)acetamide **479555-05-0**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(2-fluorophenyl)acetamide **479555-06-1**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(3-chlorophenyl)acetamide **479555-07-2**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(3,5-dimethylphenyl)acetamide **479555-09-4**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(2,4-difluorophenyl)acetamide **479555-10-7**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(3,4-difluorophenyl)acetamide **479555-11-8**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(3,5-difluorophenyl)acetamide **479555-12-9**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(3-pyridinyl)acetamide **479555-13-0**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(2-pyridinyl)acetamide **479555-14-1**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(5-bromo-3-pyridinyl)acetamide

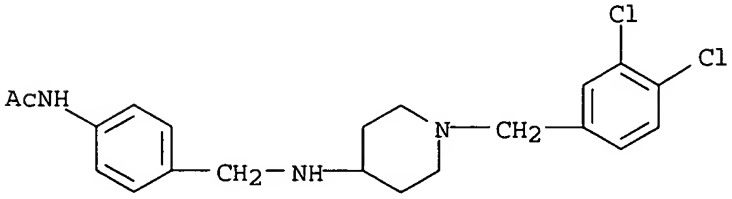
479555-15-2, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(2,4-dimethoxyphenyl)acetamide **479555-16-3**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(3-(benzyloxy)phenyl)acetamide **479555-17-4**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(2-methyl-1-naphthyl)acetamide **479555-18-5**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(2-ethoxyphenyl)acetamide **479555-19-6**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(4-butoxyphenyl)acetamide **479555-20-9**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(1-indolyl)acetamide **479555-21-0**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(2-thienyl)acetamide **479555-22-1**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(2,4-dichlorophenyl)acetamide **479555-23-2**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(2,6-dichlorophenyl)acetamide **479555-24-3**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(2-(2-chloroacetamido)-4-thiazolyl)acetamide **479555-25-4**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(2,5-dimethoxyphenyl)acetamide **479555-26-5**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(3-indolyl)acetamide **479555-27-6**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(5-methoxy-3-indolyl)acetamide **479555-28-7**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(2-naphthyl)acetamide **479555-29-8**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(4-(methylsulfonyl)phenyl)acetamide **479555-30-1**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(2,4,6-trimethylphenyl)acetamide **479555-31-2**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(5-isopropyl-2-methyl-3-indolyl)acetamide **479555-32-3**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(5-(1-pyrrolidinyl)-2H-tetrazol-2-yl)acetamide **479555-33-4**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(5-(4-methylphenyl)-2H-tetrazol-2-yl)acetamide **479555-34-5**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(3-methoxyphenyl)acetamide **479555-35-6**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(5-chloro-3-benzothienyl)acetamide **479555-36-7**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(5-methyl-2-phenyl-4-thiazolyl)acetamide **479555-37-8**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(5-chloro-3-methyl-2-benzothienyl)acetamide **479555-38-9**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(3-methyl-2-benzothienyl)acetamide **479555-39-0**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(3-nitro-1,2,4-triazol-1-yl)acetamide **479555-40-3**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(5-methyl-3,4-dinitro-1-pyrazolyl)acetamide **479555-41-4**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(4-(3-methylbutoxy)phenyl)acetamide **479555-42-5**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(2,3-dimethyl-5-indolyl)acetamide **479555-43-6**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(4-chloro-3,5-dimethyl-1-pyrazolyl)acetamide **479555-44-7**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(4-nitro-3,5-dimethyl-1-pyrazolyl)acetamide **479555-45-8**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(2,4-dinitro-1-imidazolyl)acetamide **479555-46-9**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(4-nitro-1-imidazolyl)acetamide **479555-47-0**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(3,5-dimethyl-1-pyrazolyl)acetamide **479555-48-1**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(4-hexylphenyl)acetamide **479555-49-2**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-2-(2-cyanophenyl)acetamide **479555-65-2**, N-[1-[(2,5-Dichlorophenyl)methyl]-4-piperidinyl]-2-(4-fluorophenyl)acetamide **479555-66-3**, N-[1-[(2,3-Dichlorophenyl)methyl]-4-piperidinyl]-2-(4-fluorophenyl)acetamide **479555-67-4**, N-[1-[(4-Fluorophenyl)methyl]-4-piperidinyl]-2-(4-fluorophenyl)acetamide **479555-68-5**, N-[1-[(4-Bromo-3-(methoxycarbonyl)phenyl)methyl]-4-piperidinyl]-2-(4-

fluorophenyl)acetamide **479555-69-6**, N-[1-[(4-Nitrophenyl)methyl]-4-piperidinyl]-2-(4-fluorophenyl)acetamide **479555-70-9**, N-[1-[(3-Benzoylphenyl)methyl]-4-piperidinyl]-2-(4-fluorophenyl)acetamide **479555-74-3**, N-[1-[(4-Methyl-3-nitrophenyl)methyl]-4-piperidinyl]-2-(4-fluorophenyl)acetamide **479555-75-4**, N-[1-[(3,4-Dimethylphenyl)methyl]-4-piperidinyl]-2-(4-fluorophenyl)acetamide **479555-76-5**, N-[1-[(4-Methoxy-3-methylphenyl)methyl]-4-piperidinyl]-2-(4-fluorophenyl)acetamide **479555-77-6**, N-[1-[(4-(2-Carbamoylphenyl)phenyl)methyl]-4-piperidinyl]-2-(4-fluorophenyl)acetamide **479555-78-7**, N-[1-[(4-([[(2,6-Dichlorophenyl)methyl]sulfonyl])phenyl)methyl]-4-piperidinyl]-2-(4-fluorophenyl)acetamide
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(CCR3 antagonist; prepn. and pharmaceutical combinations of [(hetero)arylalkyl]piperidinyl amine, amide, or carbamate CCR3 antagonists for treatment of asthma, allergic disease, or inflammation)

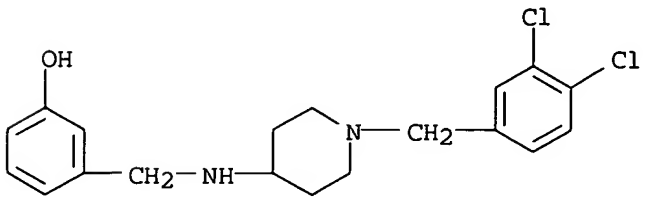
RN 328081-86-3 CAPLUS
CN 4-Piperidinamine, 1-[(3,4-dichlorophenyl)methyl]-N-[(4-methylphenyl)methyl]- (9CI) (CA INDEX NAME)



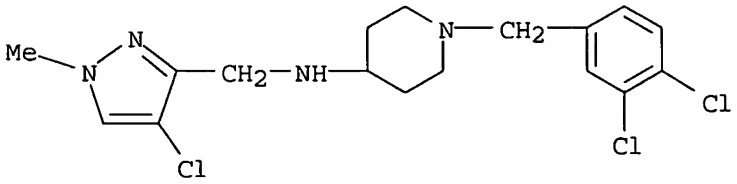
RN 328081-87-4 CAPLUS
CN Acetamide, N-[4-[[[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]amino]methyl]phenyl]- (9CI) (CA INDEX NAME)



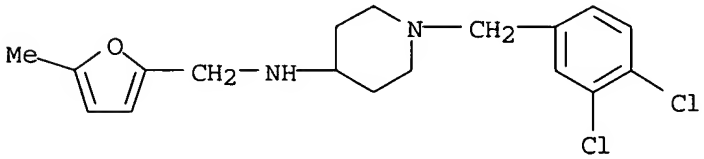
RN 328081-88-5 CAPLUS
CN Phenol, 3-[[[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]amino]methyl]- (9CI) (CA INDEX NAME)



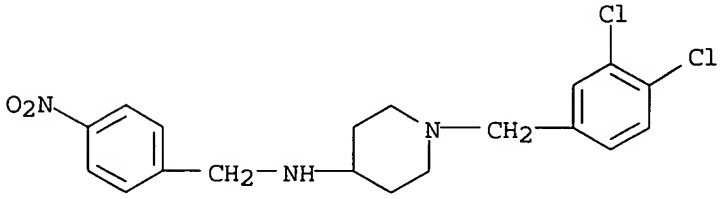
RN 328081-89-6 CAPLUS
CN 4-Piperidinamine, N-[(4-chloro-1-methyl-1H-pyrazol-3-yl)methyl]-1-[(3,4-dichlorophenyl)methyl]- (9CI) (CA INDEX NAME)



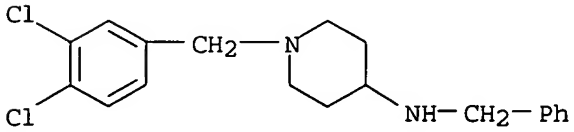
RN 328081-90-9 CAPLUS
CN 4-Piperidinamine, 1-[(3,4-dichlorophenyl)methyl]-N-[(5-methyl-2-furanyl)methyl]- (9CI) (CA INDEX NAME)



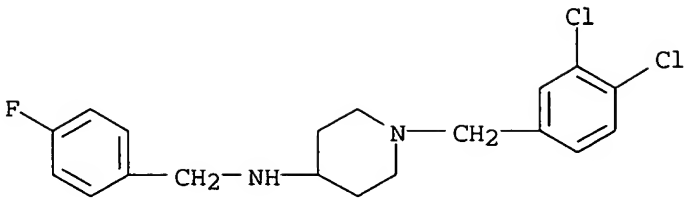
RN 328081-91-0 CAPLUS
CN 4-Piperidinamine, 1-[(3,4-dichlorophenyl)methyl]-N-[(4-nitrophenyl)methyl]- (9CI) (CA INDEX NAME)



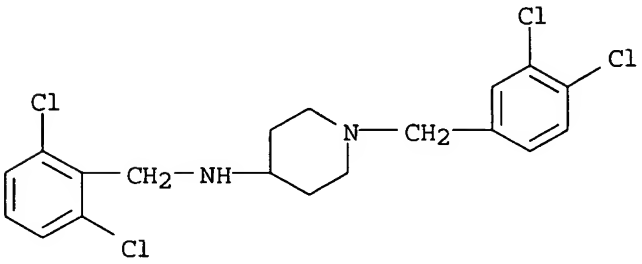
RN 328081-92-1 CAPLUS
CN 4-Piperidinamine, 1-[(3,4-dichlorophenyl)methyl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



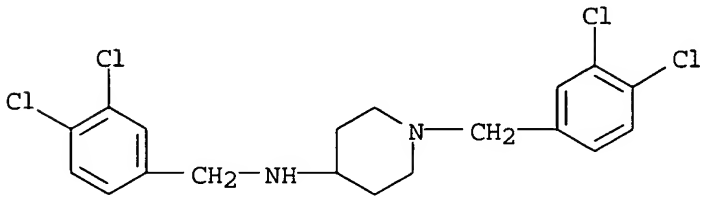
RN 328081-93-2 CAPLUS
CN 4-Piperidinamine, 1-[(3,4-dichlorophenyl)methyl]-N-[(4-fluorophenyl)methyl]- (9CI) (CA INDEX NAME)



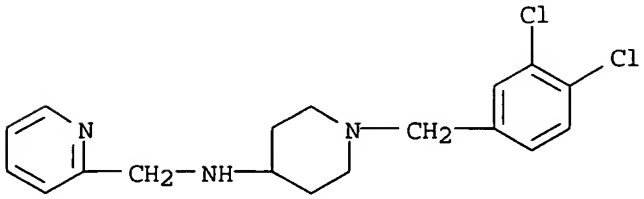
RN 328081-94-3 CAPLUS
CN 4-Piperidinamine, N-[(2,6-dichlorophenyl)methyl]-1-[(3,4-dichlorophenyl)methyl]- (9CI) (CA INDEX NAME)



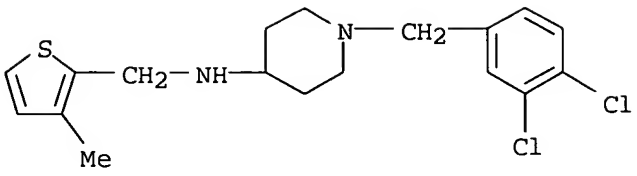
RN 328081-95-4 CAPLUS
CN 4-Piperidinamine, N,1-bis[(3,4-dichlorophenyl)methyl]- (9CI) (CA INDEX NAME)



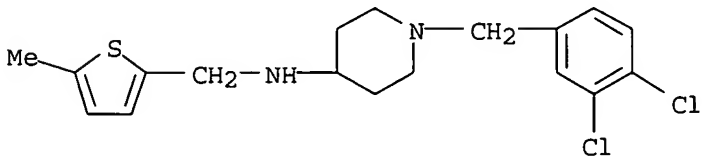
RN 328081-96-5 CAPLUS
CN 2-Pyridinemethanamine, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



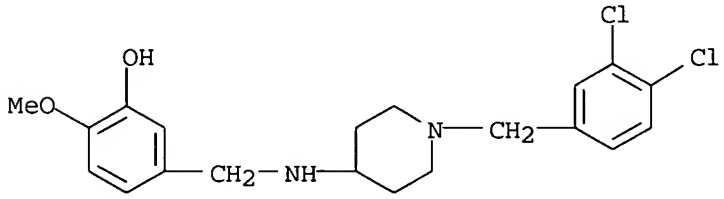
RN 328081-97-6 CAPLUS
CN 4-Piperidinamine, 1-[(3,4-dichlorophenyl)methyl]-N-[(3-methyl-2-thienyl)methyl]- (9CI) (CA INDEX NAME)



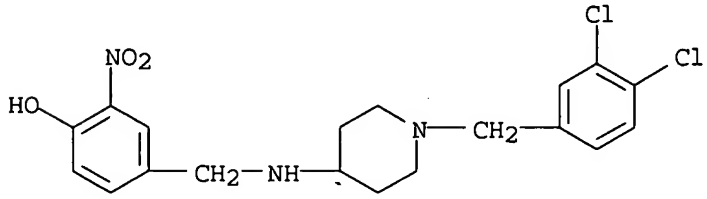
RN 328081-98-7 CAPLUS
CN 4-Piperidinamine, 1-[(3,4-dichlorophenyl)methyl]-N-[(5-methyl-2-thienyl)methyl]- (9CI) (CA INDEX NAME)



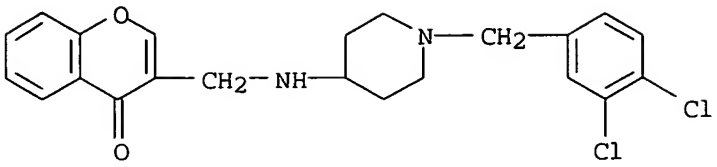
RN 328081-99-8 CAPLUS
CN Phenol, 5-[[[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]amino]methyl]-2-methoxy- (9CI) (CA INDEX NAME)



RN 328082-00-4 CAPLUS
CN Phenol, 4-[[[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]amino]methyl]-2-nitro- (9CI) (CA INDEX NAME)



RN 328082-01-5 CAPLUS
CN 4H-1-Benzopyran-4-one, 3-[[[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]amino]methyl]- (9CI) (CA INDEX NAME)



RN 328082-02-6 CAPLUS
CN 4-Piperidinamine, N-[(5-chloro-1,3-dimethyl-1H-pyrazol-4-yl)methyl]-1-[(3,4-dichlorophenyl)methyl]- (9CI) (CA INDEX NAME)

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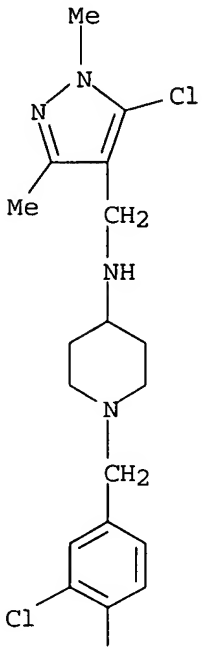

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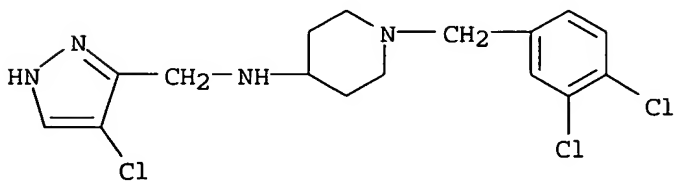
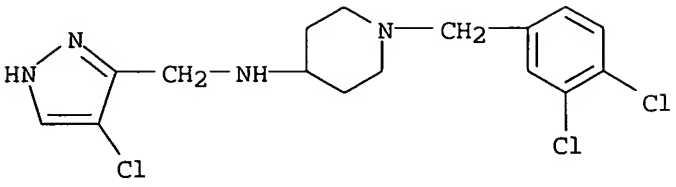
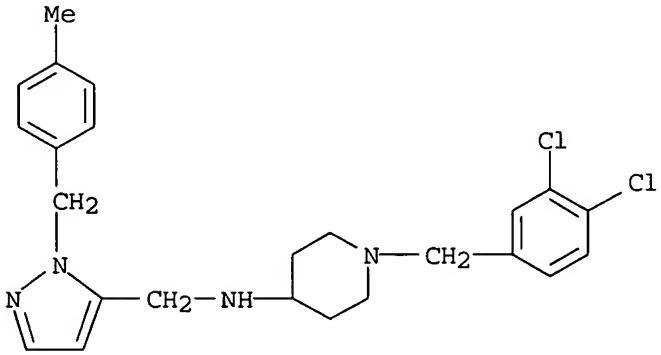
RN 328082-03-7 CAPLUS
CN 4-Piperidinamine, N-[(4-chloro-1H-pyrazol-3-yl)methyl]-1-[(3,4-dichlorophenyl)methyl]- (9CI) (CA INDEX NAME)

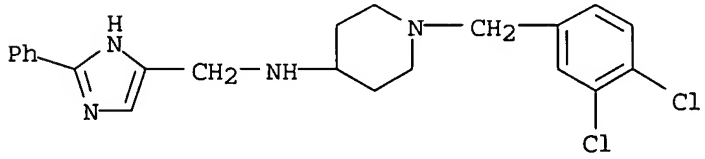




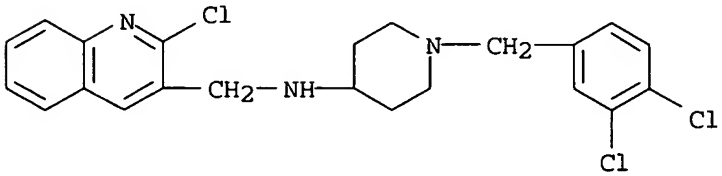
RN 328082-04-8 CAPLUS
CN 4-Piperidinamine, 1-[(3,4-dichlorophenyl)methyl]-N-[[1-[(4-methylphenyl)methyl]-1H-pyrazol-5-yl]methyl]- (9CI) (CA INDEX NAME)



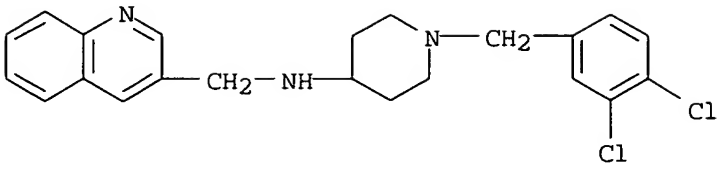
RN 328082-05-9 CAPLUS
CN 4-Piperidinamine, 1-[(3,4-dichlorophenyl)methyl]-N-[(2-phenyl-1H-imidazol-4-yl)methyl]- (9CI) (CA INDEX NAME)



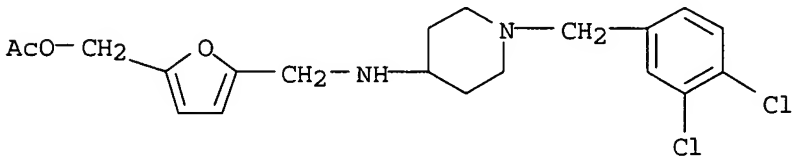
RN 328082-06-0 CAPLUS
CN 3-Quinolinemethanamine, 2-chloro-N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 328082-08-2 CAPLUS
CN 3-Quinolinemethanamine, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 328082-09-3 CAPLUS
CN 2-Furanmethanol, 5-[[[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]amino]methyl]-, acetate (ester) (9CI) (CA INDEX NAME)



RN 328082-10-6 CAPLUS
CN 3H-Pyrazol-3-one, 4-[[[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]amino]methyl]-1,2-dihydro-1,5-dimethyl-2-phenyl- (9CI) (CA INDEX NAME)

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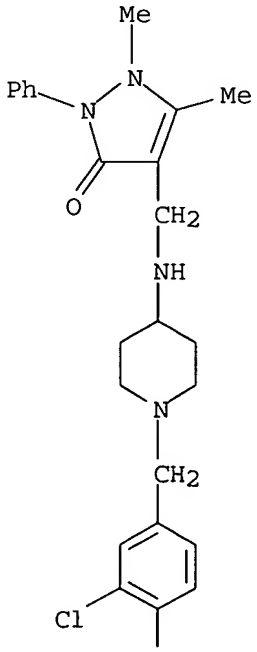

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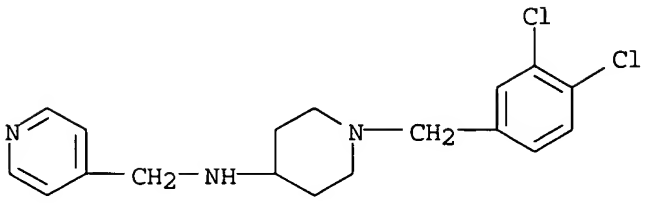
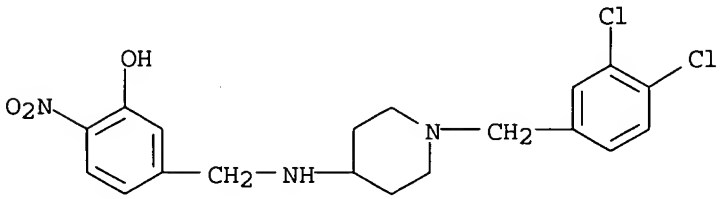
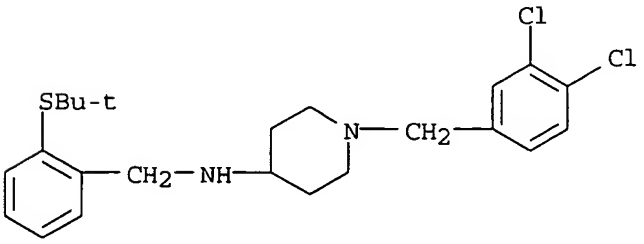
RN 328082-11-7 CAPLUS
CN 4-Pyridinemethanamine, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-
(9CI) (CA INDEX NAME)



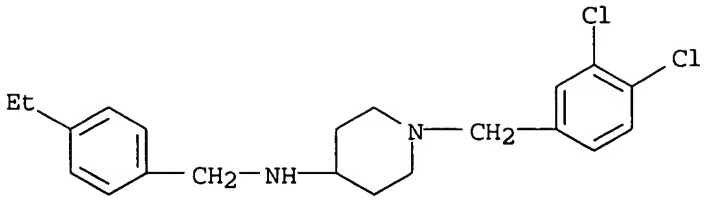
RN 328082-12-8 CAPLUS
CN Phenol, 5-[[[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]amino]methyl]-2-
nitro- (9CI) (CA INDEX NAME)



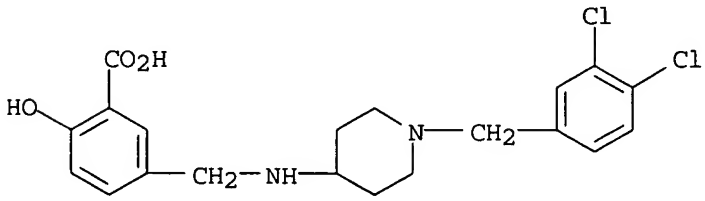
RN 328082-13-9 CAPLUS
CN 4-Piperidinamine, 1-[(3,4-dichlorophenyl)methyl]-N-[[2-[(1,1-
dimethylethyl)thio]phenyl]methyl]- (9CI) (CA INDEX NAME)



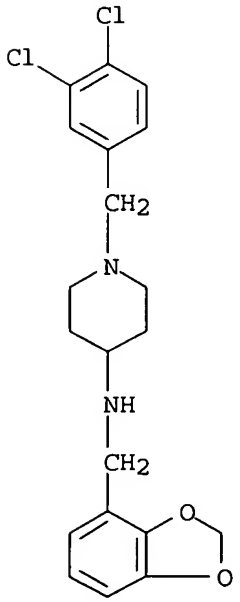
RN 328082-14-0 CAPLUS
CN 4-Piperidinamine, 1-[(3,4-dichlorophenyl)methyl]-N-[(4-ethylphenyl)methyl]-
(9CI) (CA INDEX NAME)



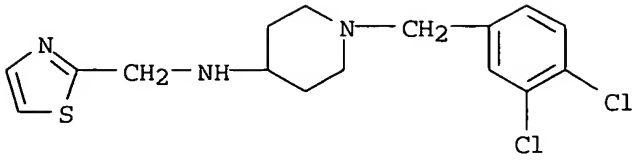
RN 328082-15-1 CAPLUS
CN Benzoic acid, 5-[[[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]amino]methyl]-2-hydroxy- (9CI) (CA INDEX NAME)



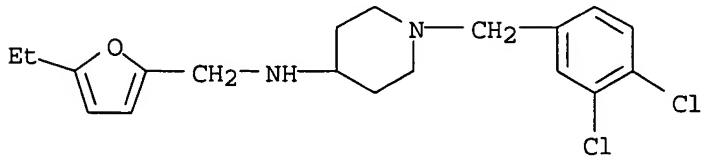
RN 328082-16-2 CAPLUS
CN 4-Piperidinamine, N-(1,3-benzodioxol-4-ylmethyl)-1-[(3,4-dichlorophenyl)methyl]- (9CI) (CA INDEX NAME)



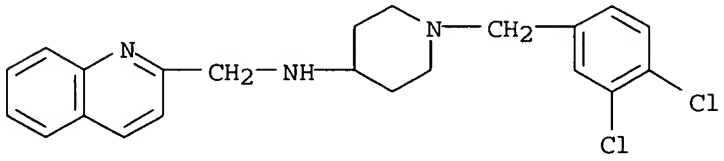
RN 328082-17-3 CAPLUS
CN 4-Piperidinamine, 1-[(3,4-dichlorophenyl)methyl]-N-(2-thiazolylmethyl)- (9CI) (CA INDEX NAME)



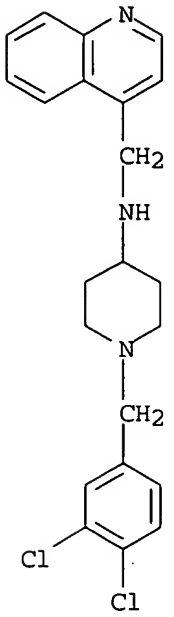
RN 328082-18-4 CAPLUS
CN 4-Piperidinamine, 1-[(3,4-dichlorophenyl)methyl]-N-[(5-ethyl-2-furanyl)methyl]- (9CI) (CA INDEX NAME)



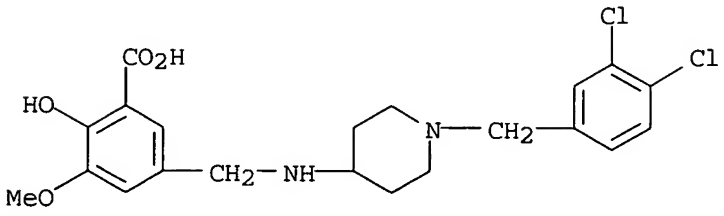
RN 328082-19-5 CAPLUS
CN 2-Quinolinemethanamine, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



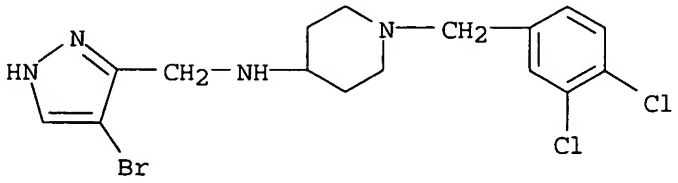
RN 328082-20-8 CAPLUS
CN 4-Quinolinemethanamine, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



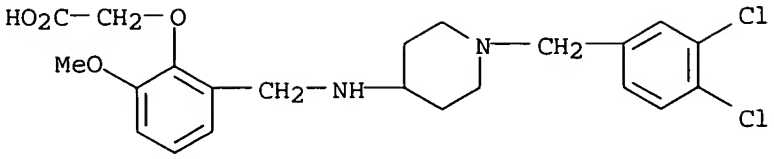
RN 328082-21-9 CAPLUS
CN Benzoic acid, 5-[[[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]amino]methyl]-2-hydroxy-3-methoxy- (9CI) (CA INDEX NAME)



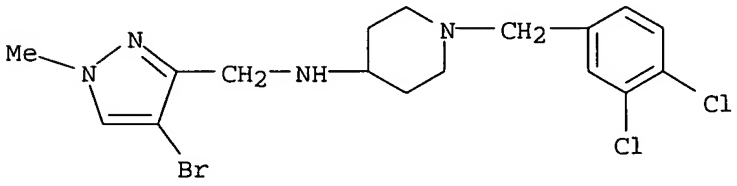
RN 328082-22-0 CAPLUS
CN 4-Piperidinamine, N-[(4-bromo-1H-pyrazol-3-yl)methyl]-1-[(3,4-dichlorophenyl)methyl]- (9CI) (CA INDEX NAME)



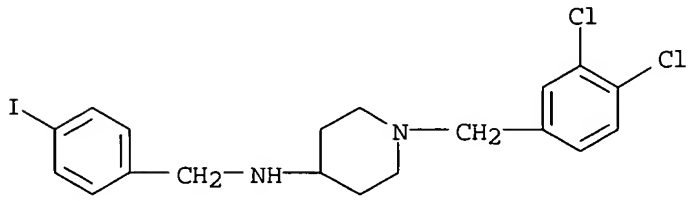
RN 328082-23-1 CAPLUS
CN Acetic acid, [2-[[[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]amino]methyl]-6-methoxyphenoxy]- (9CI) (CA INDEX NAME)



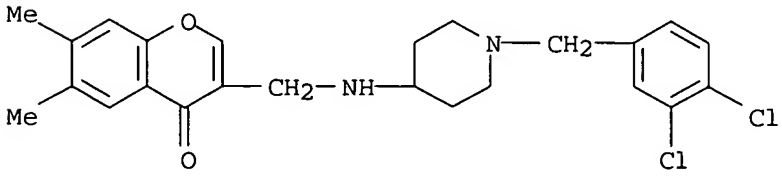
RN 328082-24-2 CAPLUS
CN 4-Piperidinamine, N-[(4-bromo-1-methyl-1H-pyrazol-3-yl)methyl]-1-[(3,4-dichlorophenyl)methyl]- (9CI) (CA INDEX NAME)



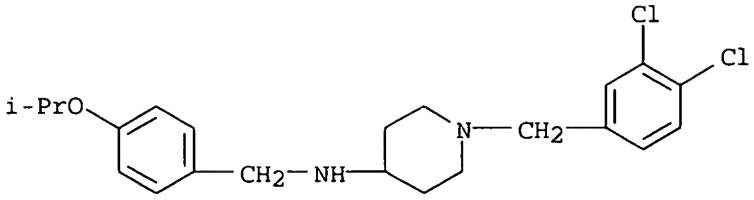
RN 328082-25-3 CAPLUS
CN 4-Piperidinamine, 1-[(3,4-dichlorophenyl)methyl]-N-[(4-iodophenyl)methyl]- (9CI) (CA INDEX NAME)



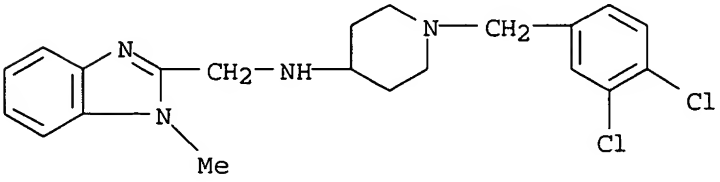
RN 328082-26-4 CAPLUS
CN 4H-1-Benzopyran-4-one, 3-[[[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]amino]methyl]-6,7-dimethyl- (9CI) (CA INDEX NAME)



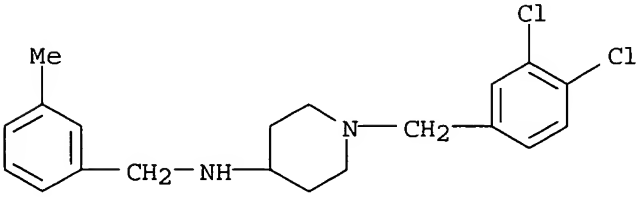
RN 328082-27-5 CAPLUS
CN 4-Piperidinamine, 1-[(3,4-dichlorophenyl)methyl]-N-[[4-(1-methylethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)



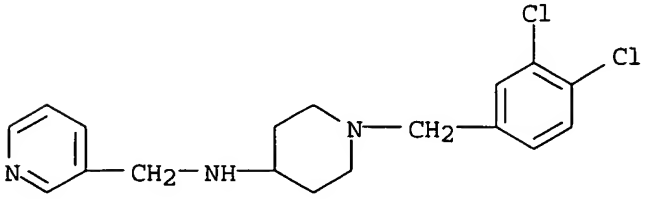
RN 328082-28-6 CAPLUS
CN 1H-Benzimidazole-2-methanamine, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-1-methyl- (9CI) (CA INDEX NAME)



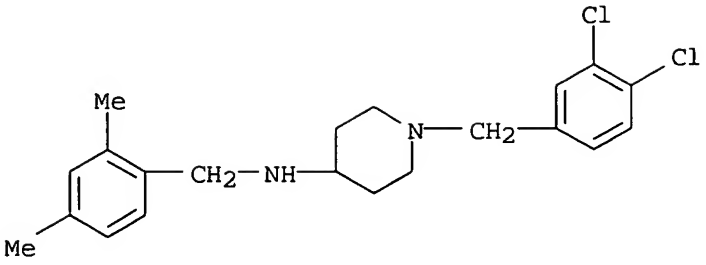
RN 328082-29-7 CAPLUS
CN 4-Piperidinamine, 1-[(3,4-dichlorophenyl)methyl]-N-[(3-methylphenyl)methyl]- (9CI) (CA INDEX NAME)



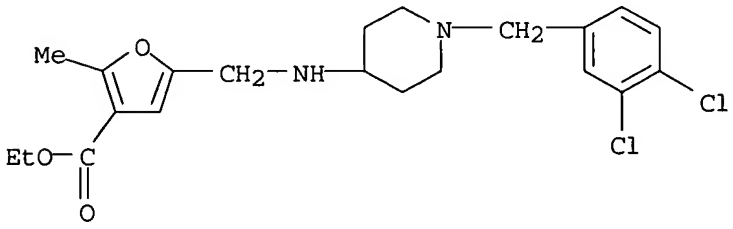
RN 328082-30-0 CAPLUS
CN 3-Pyridinemethanamine, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



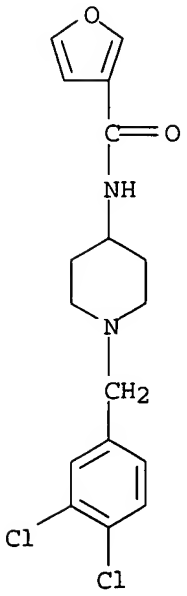
RN 328082-31-1 CAPLUS
CN 4-Piperidinamine, 1-[(3,4-dichlorophenyl)methyl]-N-[(2,4-dimethylphenyl)methyl]- (9CI) (CA INDEX NAME)



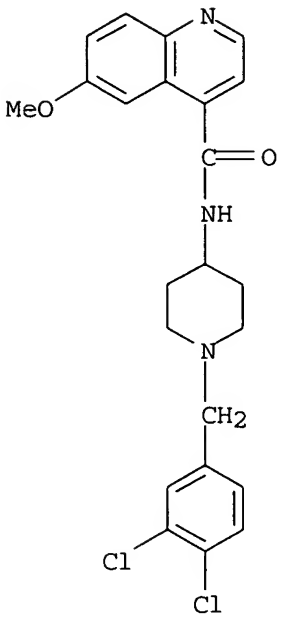
RN 328082-32-2 CAPLUS
CN 3-Furancarboxylic acid, 5-[[[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]amino]methyl]-2-methyl-, ethyl ester (9CI) (CA INDEX NAME)



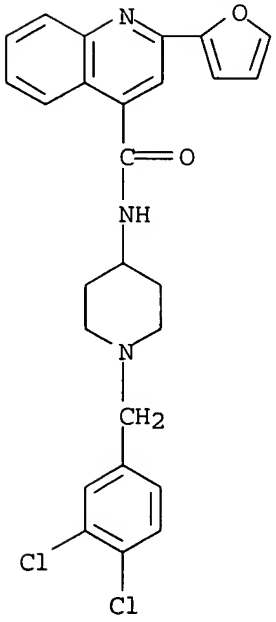
RN 328082-33-3 CAPLUS
CN 3-Furancarboxamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



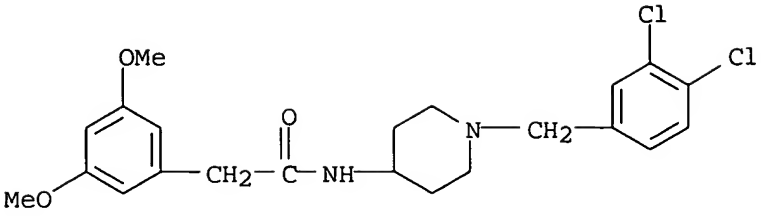
RN 328082-36-6 CAPLUS
CN 4-Quinolinecarboxamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-6-methoxy- (9CI) (CA INDEX NAME)



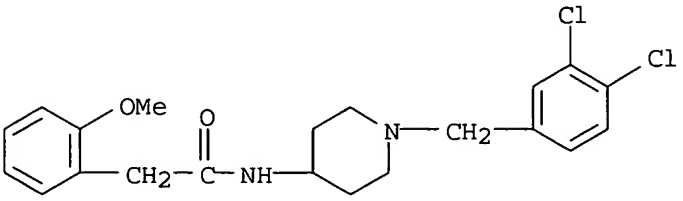
RN 328082-37-7 CAPLUS
CN 4-Quinolinecarboxamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-2-(2-furanyl)- (9CI) (CA INDEX NAME)



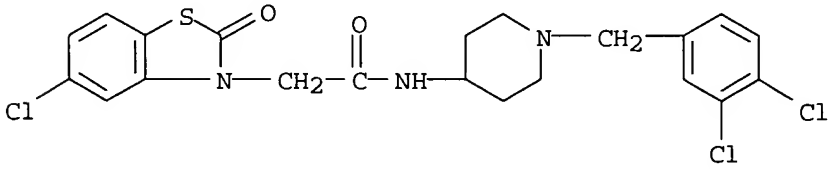
RN 328082-40-2 CAPLUS
CN Benzeneacetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-3,5-dimethoxy- (9CI) (CA INDEX NAME)



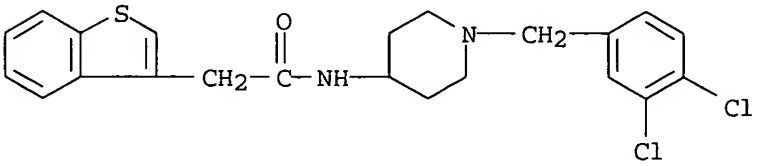
RN 328082-41-3 CAPLUS
CN Benzeneacetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-2-methoxy- (9CI) (CA INDEX NAME)



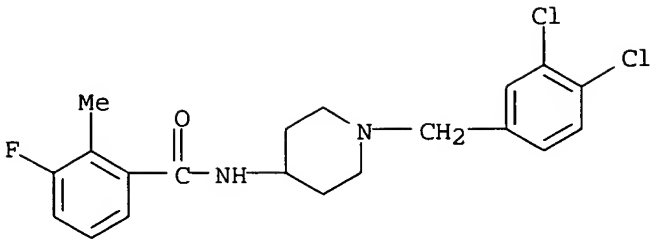
RN 328082-42-4 CAPLUS
CN 3(2H)-Benzothiazoleacetamide, 5-chloro-N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-2-oxo- (9CI) (CA INDEX NAME)



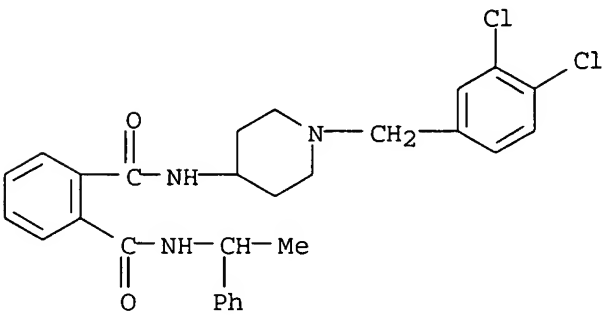
RN 328082-44-6 CAPLUS
CN Benzo[b]thiophene-3-acetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



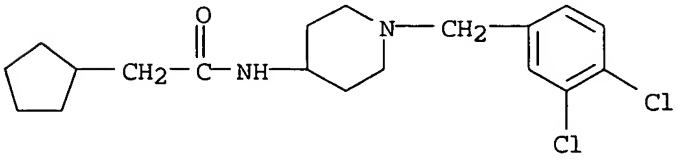
RN 328082-47-9 CAPLUS
CN Benzamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-3-fluoro-2-methyl- (9CI) (CA INDEX NAME)



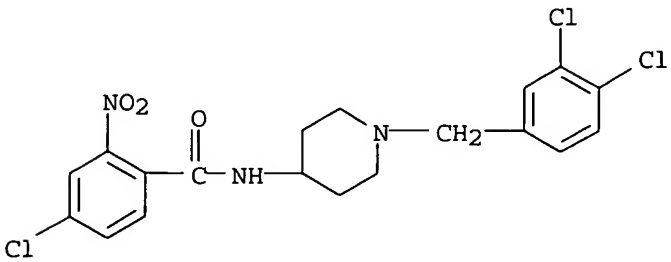
RN 328082-48-0 CAPLUS
CN 1,2-Benzenedicarboxamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-N'-(1-phenylethyl)- (9CI) (CA INDEX NAME)



RN 328082-49-1 CAPLUS
CN Cyclopentaneacetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

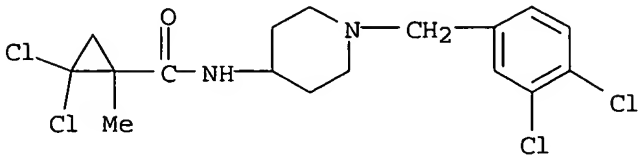


RN 328082-50-4 CAPLUS
CN Benzamide, 4-chloro-N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-2-nitro- (9CI) (CA INDEX NAME)

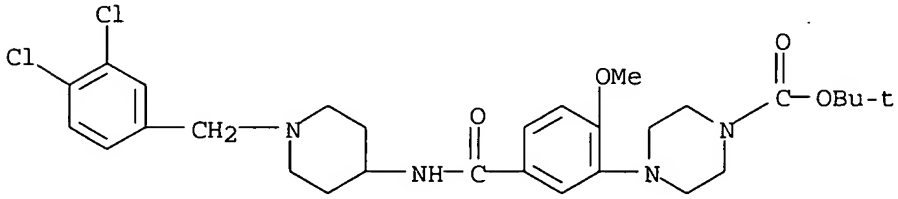


RN 328082-51-5 CAPLUS

CN Cyclopropanecarboxamide, 2,2-dichloro-N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-1-methyl- (9CI) (CA INDEX NAME)



RN 328082-52-6 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[5-[[[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]amino]carbonyl]-2-methoxyphenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 328082-53-7 CAPLUS
CN 3-Pyrrolidinecarboxamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-5-oxo-1-(2-thienylmethyl)- (9CI) (CA INDEX NAME)

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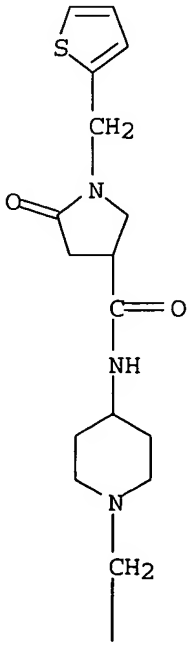

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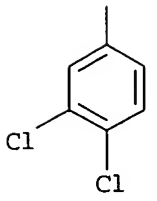
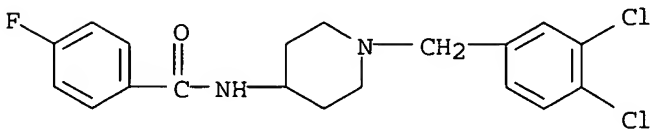
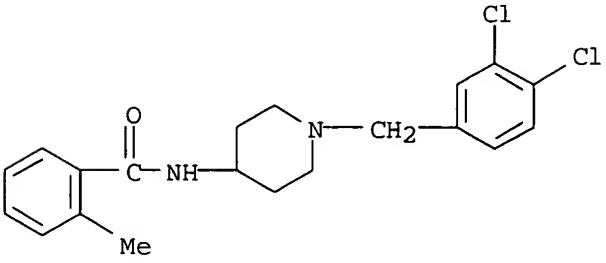


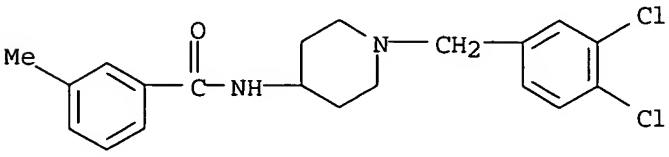
RN 328082-55-9 CAPLUS
CN Benzamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-4-fluoro- (9CI) (CA INDEX NAME)



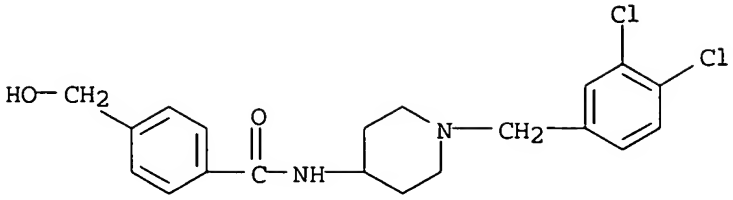
RN 328082-56-0 CAPLUS
CN Benzamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-2-methyl- (9CI) (CA INDEX NAME)



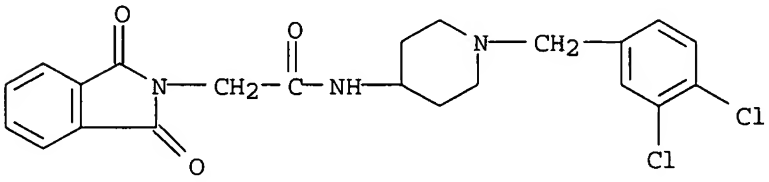
RN 328082-57-1 CAPLUS
CN Benzamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-3-methyl- (9CI) (CA INDEX NAME)



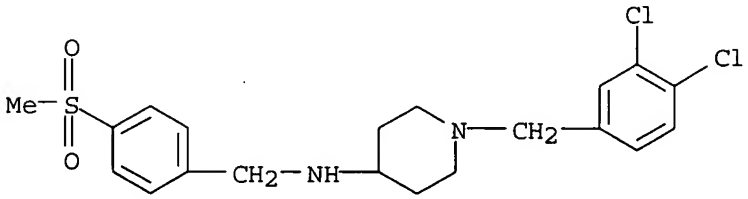
RN 328082-58-2 CAPLUS
CN Benzamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-4- (hydroxymethyl)- (9CI) (CA INDEX NAME)



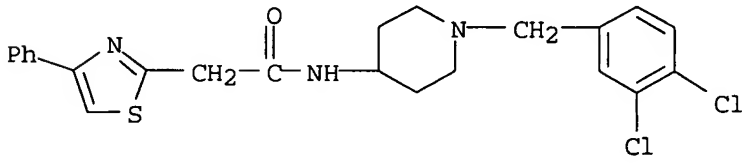
RN 328083-64-3 CAPLUS
CN 2H-Isoindole-2-acetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-1,3-dihydro-1,3-dioxo- (9CI) (CA INDEX NAME)



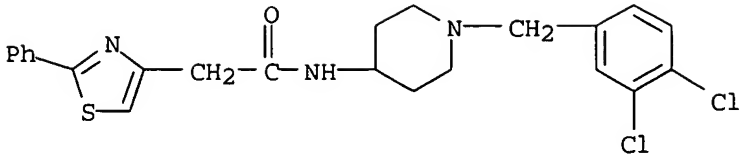
RN 328083-73-4 CAPLUS
CN 4-Piperidinamine, 1-[(3,4-dichlorophenyl)methyl]-N-[[4-(methylsulfonyl)phenyl]methyl]- (9CI) (CA INDEX NAME)



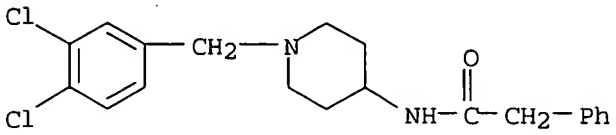
RN 328083-75-6 CAPLUS
CN 2-Thiazoleacetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-4-phenyl- (9CI) (CA INDEX NAME)



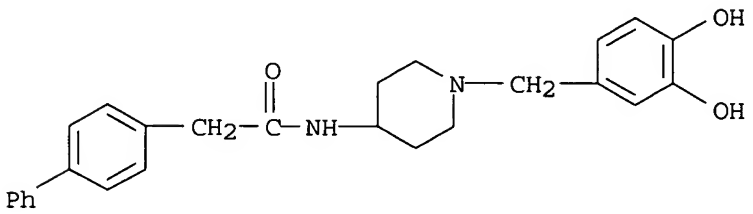
RN 328083-76-7 CAPLUS
CN 4-Thiazoleacetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-2-phenyl- (9CI) (CA INDEX NAME)



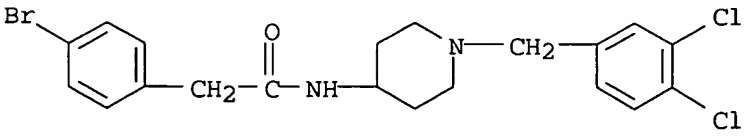
RN 389062-07-1 CAPLUS
CN Benzeneacetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



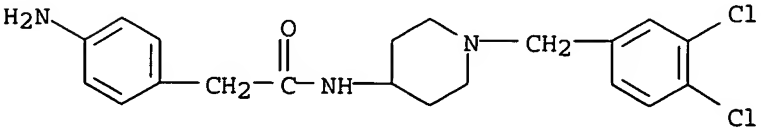
RN 479554-54-6 CAPLUS
CN [1,1'-Biphenyl]-4-acetamide, N-[1-[(3,4-dihydroxyphenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



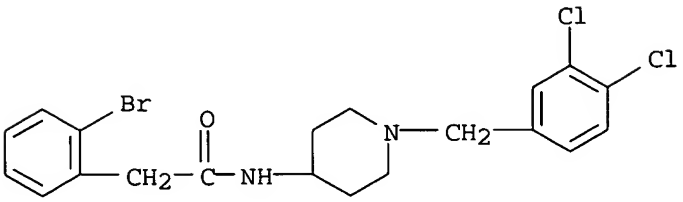
RN 479554-59-1 CAPLUS
CN Benzeneacetamide, 4-bromo-N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



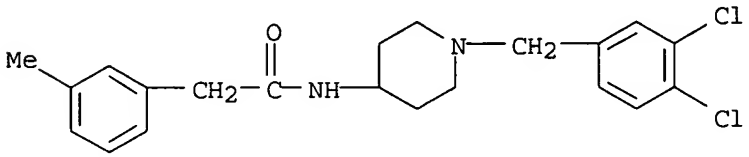
RN 479554-60-4 CAPLUS
CN Benzeneacetamide, 4-amino-N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



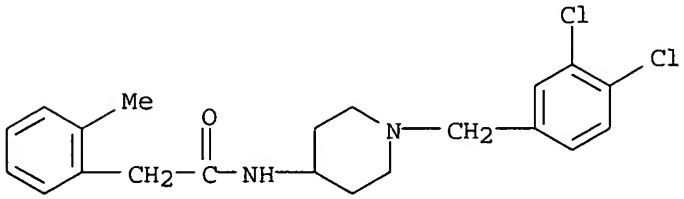
RN 479554-61-5 CAPLUS
CN Benzeneacetamide, 2-bromo-N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



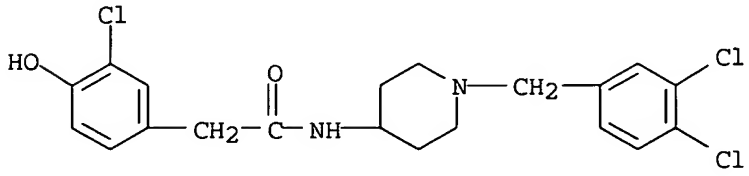
RN 479554-62-6 CAPLUS
CN Benzeneacetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-3-methyl- (9CI) (CA INDEX NAME)



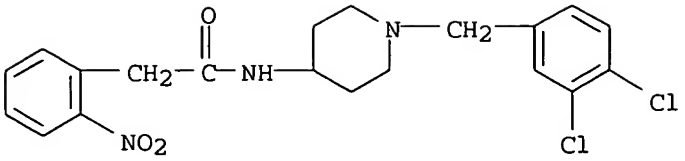
RN 479554-63-7 CAPLUS
CN Benzeneacetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-2-methyl- (9CI) (CA INDEX NAME)



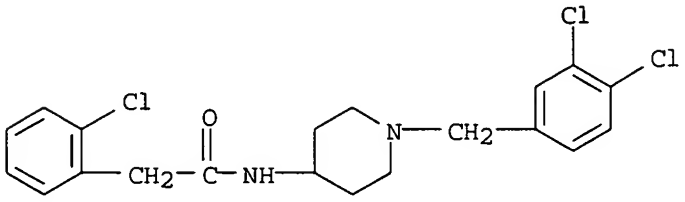
RN 479554-64-8 CAPLUS
CN Benzeneacetamide, 3-chloro-N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-4-hydroxy- (9CI) (CA INDEX NAME)



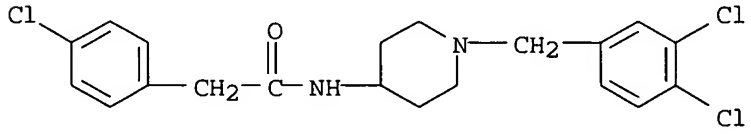
RN 479554-65-9 CAPLUS
CN Benzeneacetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-2-nitro- (9CI) (CA INDEX NAME)



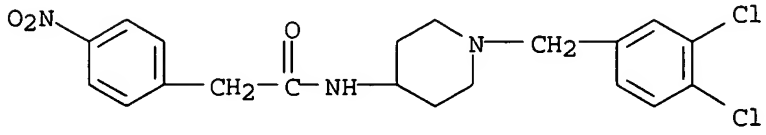
RN 479554-66-0 CAPLUS
CN Benzeneacetamide, 2-chloro-N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



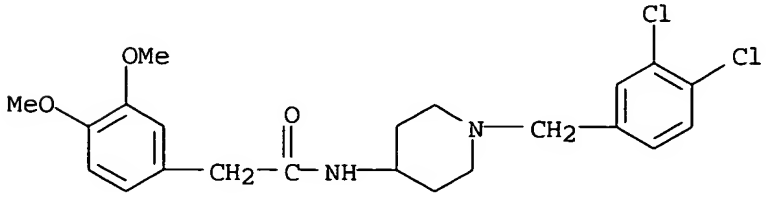
RN 479554-67-1 CAPLUS
CN Benzeneacetamide, 4-chloro-N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

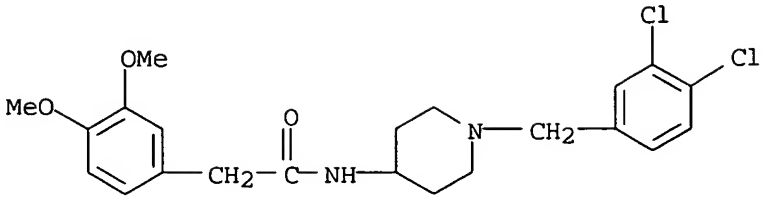


RN 479554-69-3 CAPLUS
CN Benzeneacetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-4-nitro- (9CI) (CA INDEX NAME)

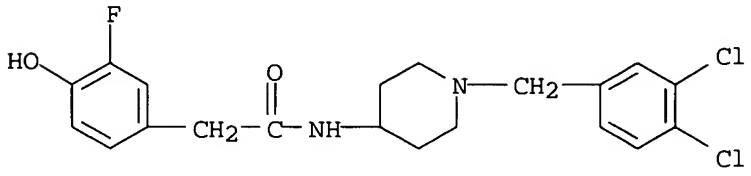


RN 479554-71-7 CAPLUS
CN Benzeneacetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-3,4-dimethoxy- (9CI) (CA INDEX NAME)

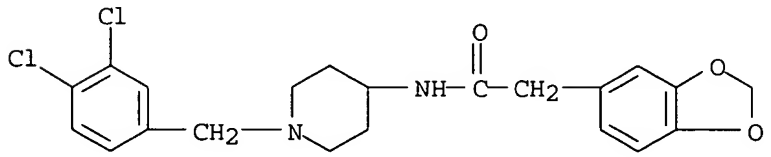




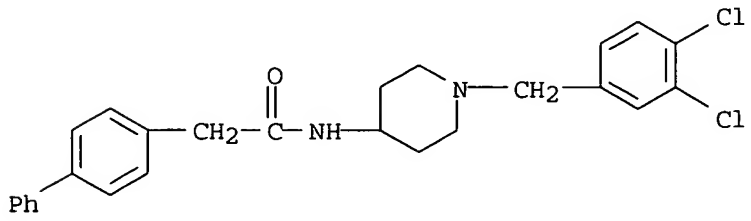
RN 479554-72-8 CAPLUS
CN Benzeneacetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-3-fluoro-4-hydroxy- (9CI) (CA INDEX NAME)



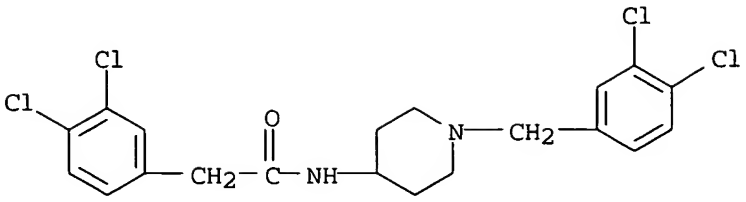
RN 479554-73-9 CAPLUS
CN 1,3-Benzodioxole-5-acetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



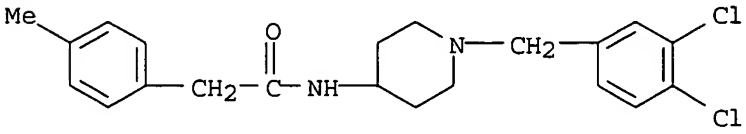
RN 479554-75-1 CAPLUS
CN [1,1'-Biphenyl]-4-acetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



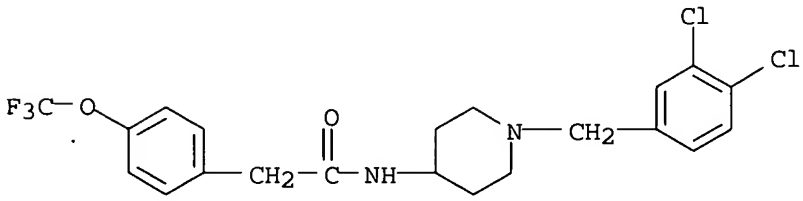
RN 479554-76-2 CAPLUS
CN Benzeneacetamide, 3,4-dichloro-N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



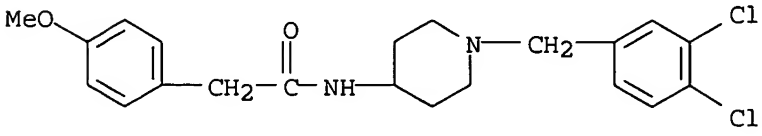
RN 479554-82-0 CAPLUS
CN Benzeneacetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-4-methyl- (9CI) (CA INDEX NAME)



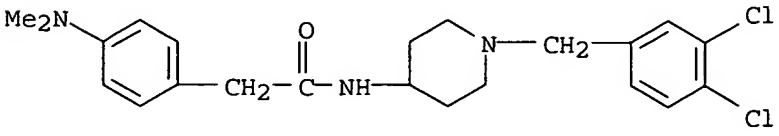
RN 479554-83-1 CAPLUS
CN Benzeneacetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-4-(trifluoromethoxy)- (9CI) (CA INDEX NAME)



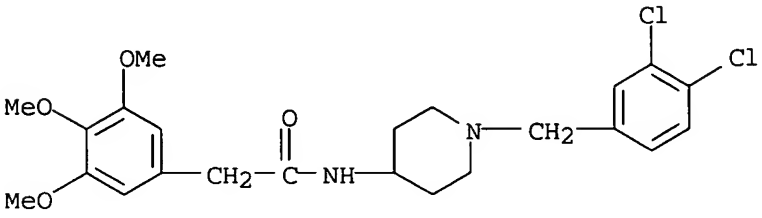
RN 479554-84-2 CAPLUS
CN Benzeneacetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-4-methoxy- (9CI) (CA INDEX NAME)



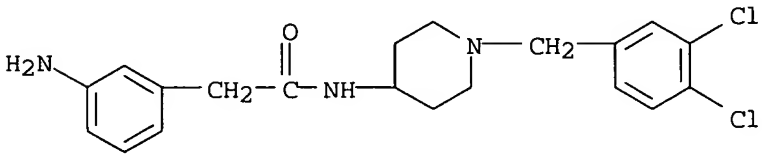
RN 479554-85-3 CAPLUS
CN Benzeneacetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-4-(dimethylamino)- (9CI) (CA INDEX NAME)



RN 479554-87-5 CAPLUS
CN Benzeneacetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-3,4,5-trimethoxy- (9CI) (CA INDEX NAME)



RN 479554-89-7 CAPLUS
CN Benzeneacetamide, 3-amino-N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 479554-90-0 CAPLUS
CN 1-Naphthaleneacetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

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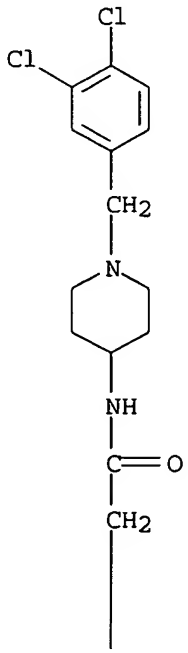

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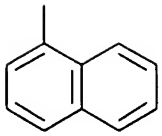
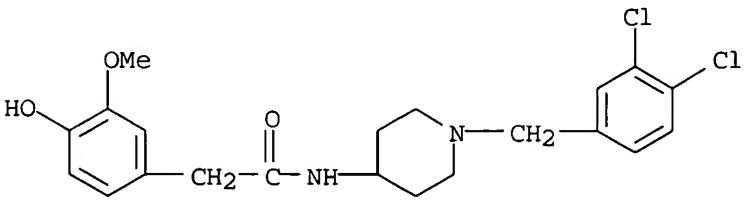


RN 479554-91-1 CAPLUS
CN Benzeneacetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-4-hydroxy-3-methoxy- (9CI) (CA INDEX NAME)



RN 479554-92-2 CAPLUS
CN Benzeneacetamide, 3-[[[6-bromo-1-(2-propenyl)-2-naphthalenyl]oxy]methyl]-N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

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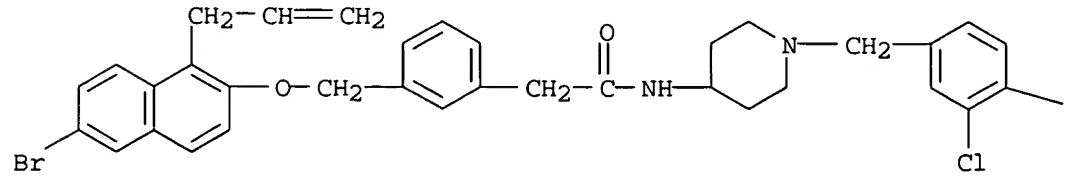

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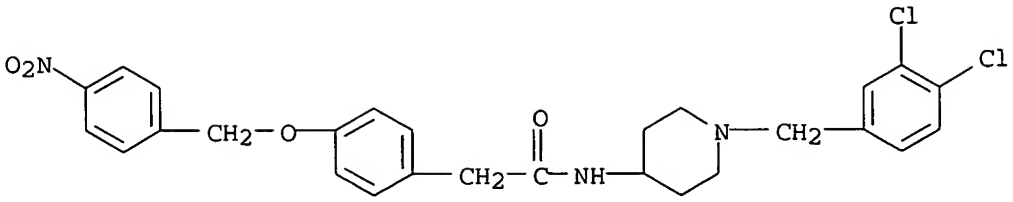
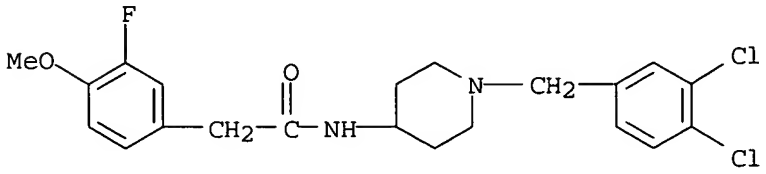
Cl

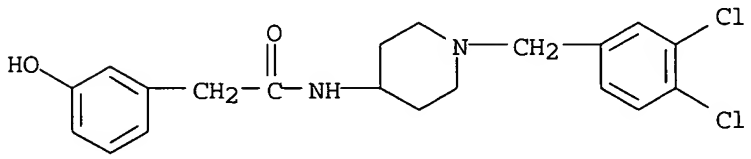
RN 479554-93-3 CAPLUS
CN Benzeneacetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-4-[(4-nitrophenyl)methoxy]- (9CI) (CA INDEX NAME)



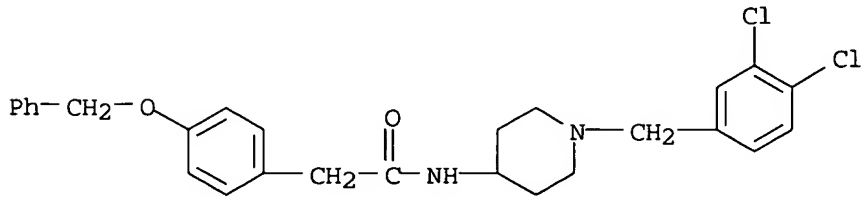
RN 479554-94-4 CAPLUS
CN Benzeneacetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-3-fluoro-4-methoxy- (9CI) (CA INDEX NAME)



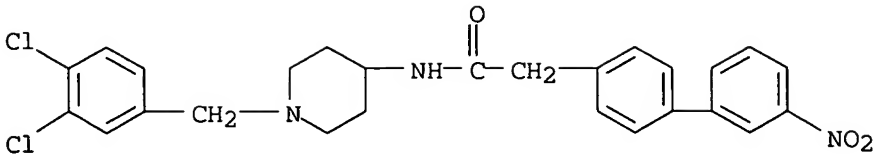
RN 479554-96-6 CAPLUS
CN Benzeneacetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-3-hydroxy- (9CI) (CA INDEX NAME)



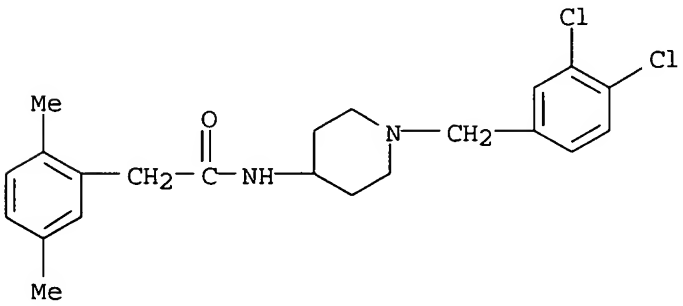
RN 479554-97-7 CAPLUS
CN Benzeneacetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-4-(phenylmethoxy)- (9CI) (CA INDEX NAME)



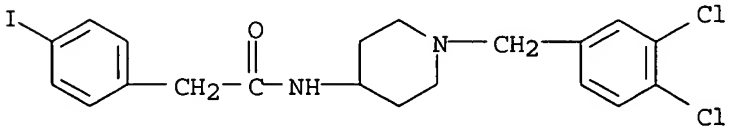
RN 479554-98-8 CAPLUS
CN [1,1'-Biphenyl]-4-acetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-3'-nitro- (9CI) (CA INDEX NAME)



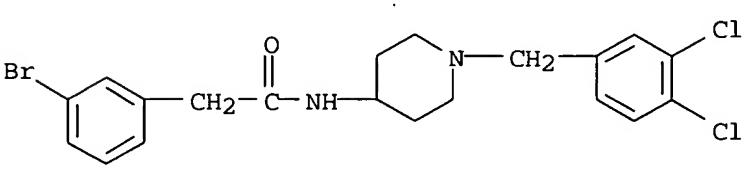
RN 479554-99-9 CAPLUS
CN Benzeneacetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)



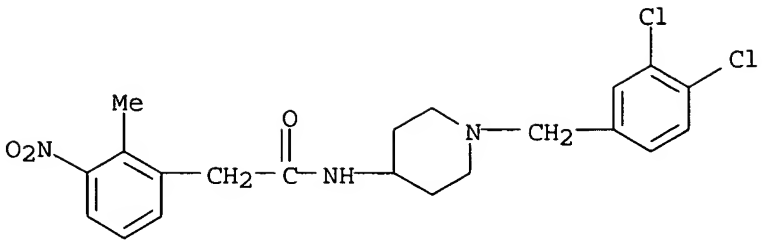
RN 479555-00-5 CAPLUS
CN Benzeneacetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-4-iodo- (9CI) (CA INDEX NAME)



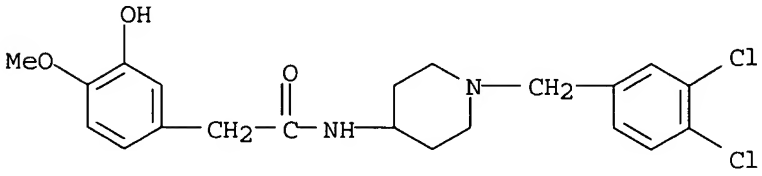
RN 479555-01-6 CAPLUS
CN Benzeneacetamide, 3-bromo-N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



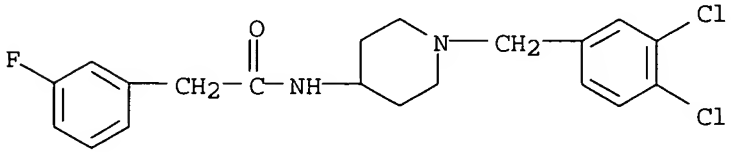
RN 479555-02-7 CAPLUS
CN Benzeneacetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-2-methyl-3-nitro- (9CI) (CA INDEX NAME)



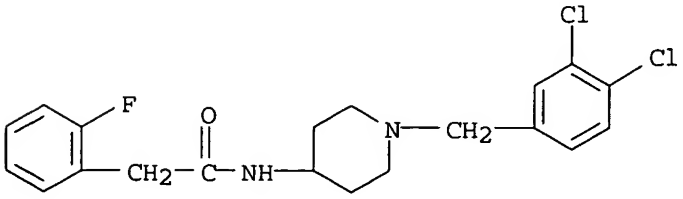
RN 479555-03-8 CAPLUS
CN Benzeneacetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-3-hydroxy-4-methoxy- (9CI) (CA INDEX NAME)



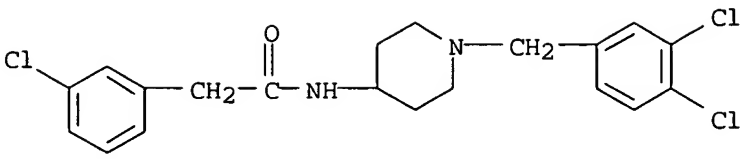
RN 479555-04-9 CAPLUS
CN Benzeneacetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-3-fluoro- (9CI) (CA INDEX NAME)



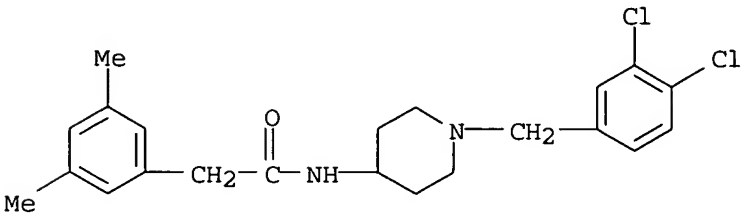
RN 479555-05-0 CAPLUS
CN Benzeneacetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-2-fluoro- (9CI) (CA INDEX NAME)



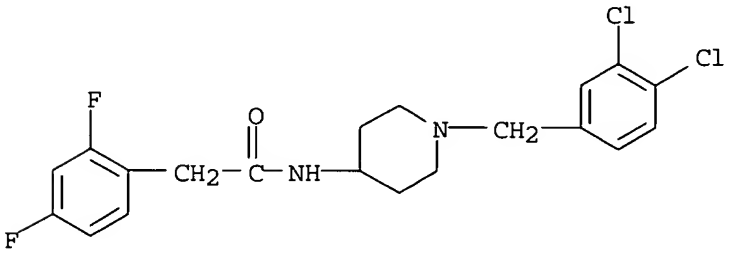
RN 479555-06-1 CAPLUS
CN Benzeneacetamide, 3-chloro-N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



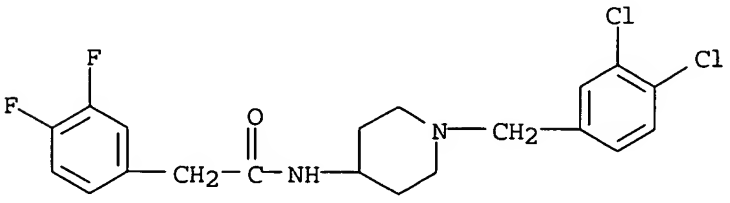
RN 479555-07-2 CAPLUS
CN Benzeneacetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-3,5-dimethyl- (9CI) (CA INDEX NAME)



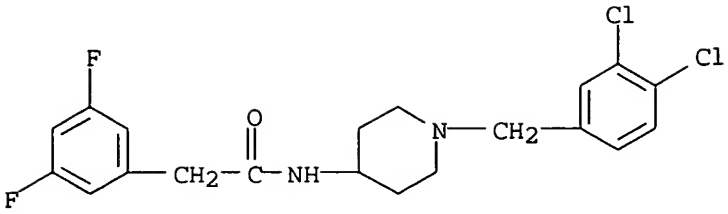
RN 479555-09-4 CAPLUS
CN Benzeneacetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-2,4-difluoro- (9CI) (CA INDEX NAME)



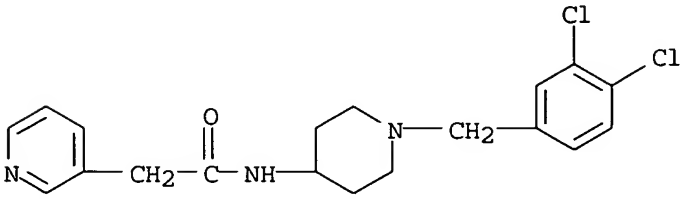
RN 479555-10-7 CAPLUS
CN Benzeneacetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-3,4-difluoro- (9CI) (CA INDEX NAME)



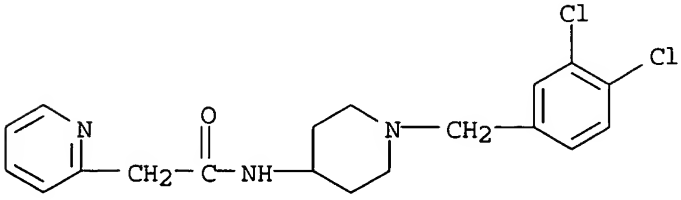
RN 479555-11-8 CAPLUS
CN Benzeneacetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-3,5-difluoro- (9CI) (CA INDEX NAME)



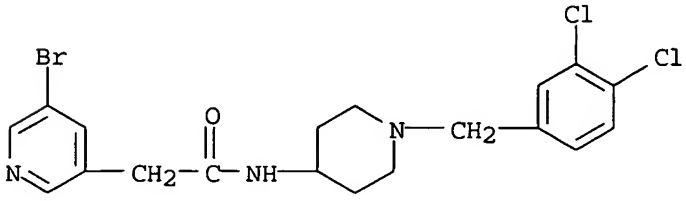
RN 479555-12-9 CAPLUS
CN 3-Pyridineacetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



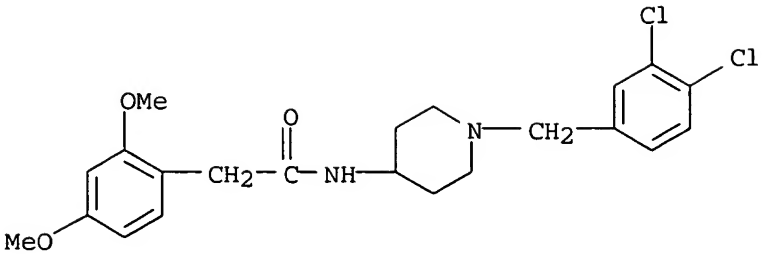
RN 479555-13-0 CAPLUS
CN 2-Pyridineacetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



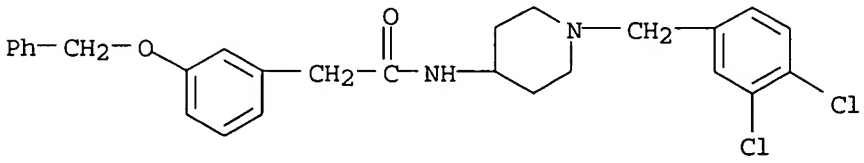
RN 479555-14-1 CAPLUS
CN 3-Pyridineacetamide, 5-bromo-N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 479555-15-2 CAPLUS
CN Benzeneacetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-2,4-dimethoxy- (9CI) (CA INDEX NAME)



RN 479555-16-3 CAPLUS
CN Benzeneacetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 479555-17-4 CAPLUS
CN 1-Naphthaleneacetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-2-methyl- (9CI) (CA INDEX NAME)

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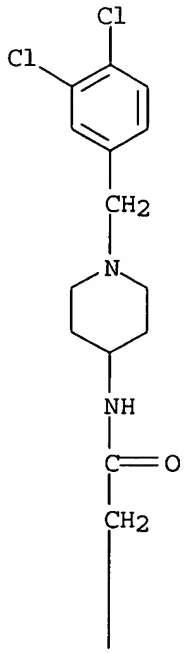

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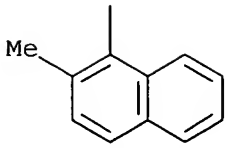
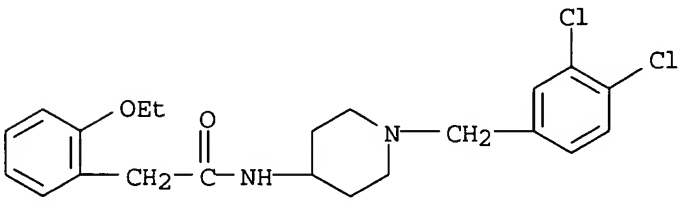
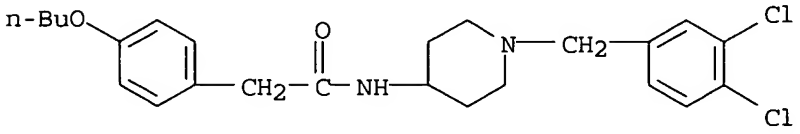


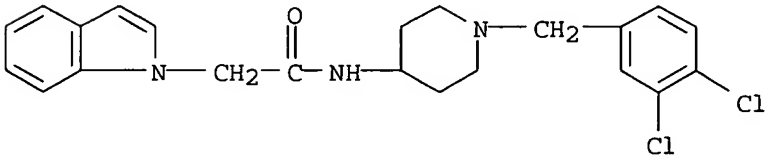
RN 479555-18-5 CAPLUS
CN Benzeneacetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-2-ethoxy- (9CI) (CA INDEX NAME)



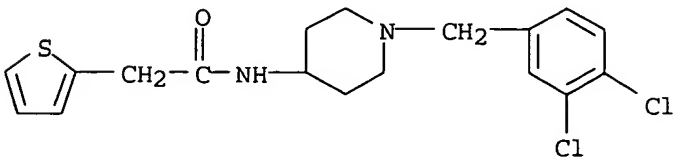
RN 479555-19-6 CAPLUS
CN Benzeneacetamide, 4-butoxy-N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

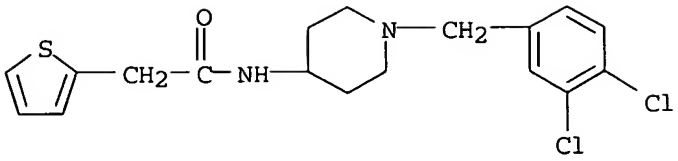


RN 479555-20-9 CAPLUS
CN 1H-Indole-1-acetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

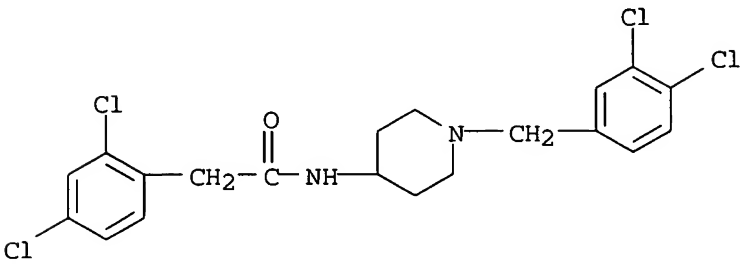


RN 479555-21-0 CAPLUS
CN 2-Thiopheneacetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

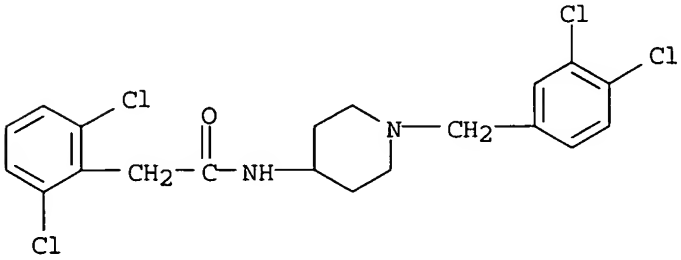




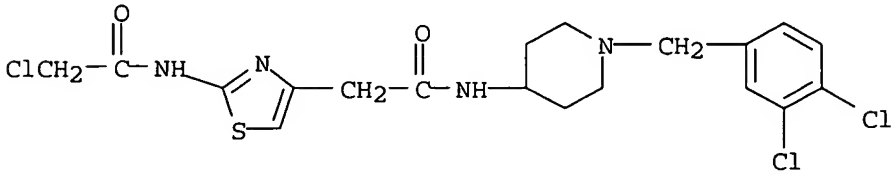
RN 479555-22-1 CAPLUS
CN Benzeneacetamide, 2,4-dichloro-N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



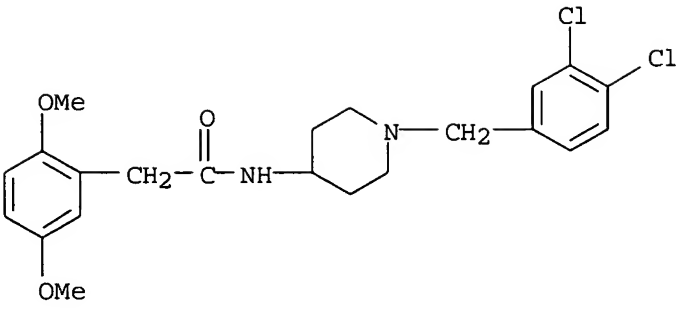
RN 479555-23-2 CAPLUS
CN Benzeneacetamide, 2,6-dichloro-N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



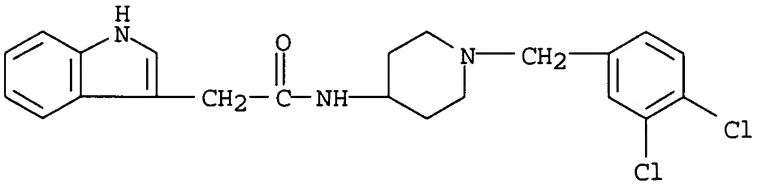
RN 479555-24-3 CAPLUS
CN 4-Thiazoleacetamide, 2-[(chloroacetyl)amino]-N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



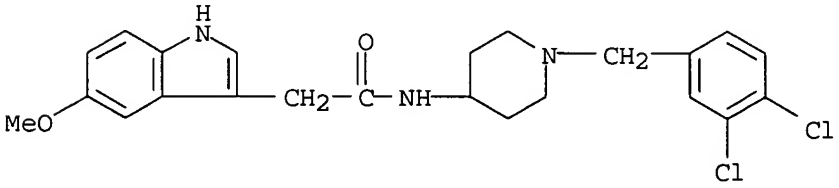
RN 479555-25-4 CAPLUS
CN Benzeneacetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-2,5-dimethoxy- (9CI) (CA INDEX NAME)



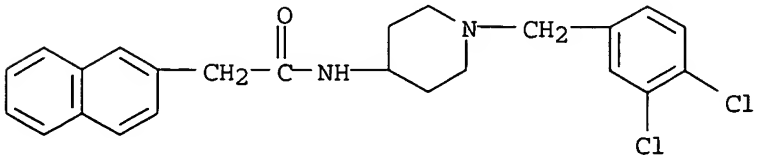
RN 479555-26-5 CAPLUS
CN 1H-Indole-3-acetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



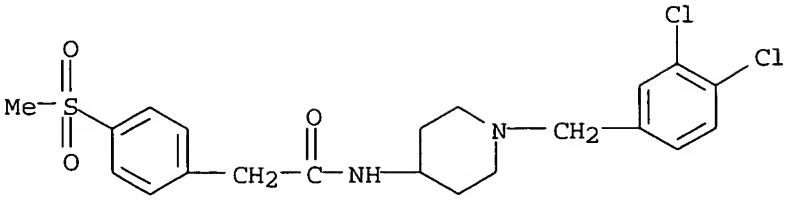
RN 479555-27-6 CAPLUS
CN 1H-Indole-3-acetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-5-methoxy- (9CI) (CA INDEX NAME)



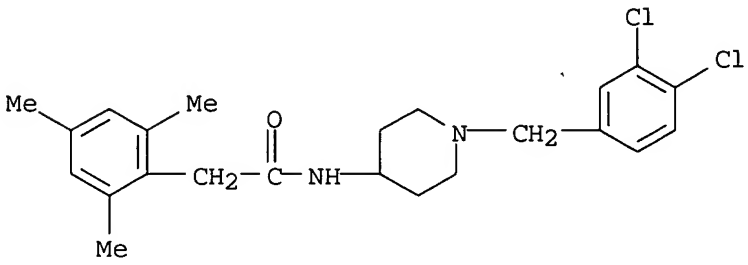
RN 479555-28-7 CAPLUS
CN 2-Naphthaleneacetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



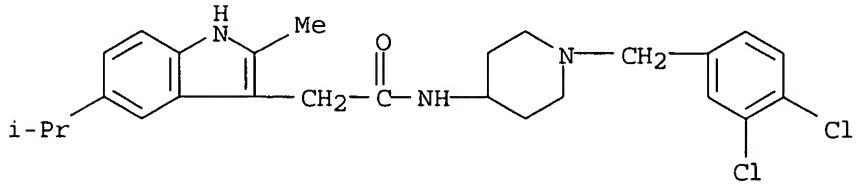
RN 479555-29-8 CAPLUS
CN Benzeneacetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-4-(methylsulfonyl)- (9CI) (CA INDEX NAME)



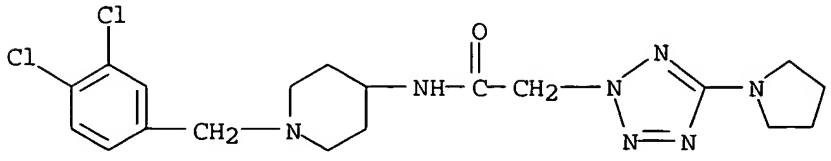
RN 479555-30-1 CAPLUS
CN Benzeneacetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-2,4,6-trimethyl- (9CI) (CA INDEX NAME)



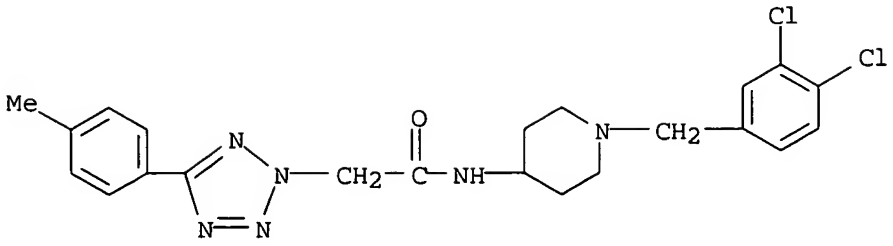
RN 479555-31-2 CAPLUS
CN 1H-Indole-3-acetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-2-methyl-5-(1-methylethyl)- (9CI) (CA INDEX NAME)



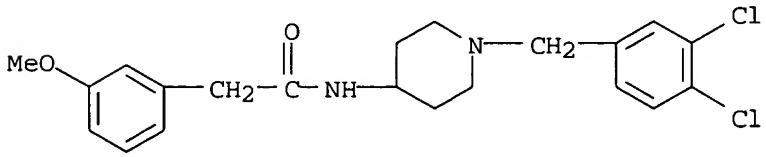
RN 479555-32-3 CAPLUS
CN 2H-Tetrazole-2-acetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-5-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)



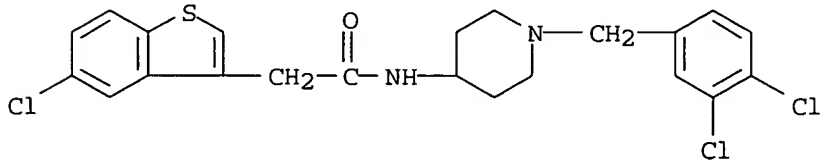
RN 479555-33-4 CAPLUS
CN 2H-Tetrazole-2-acetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-5-(4-methylphenyl)- (9CI) (CA INDEX NAME)



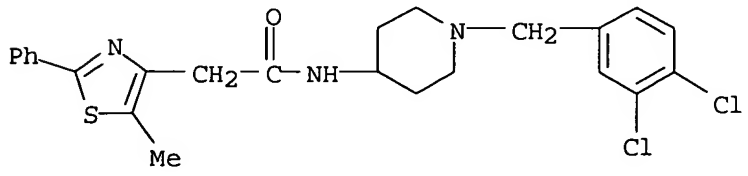
RN 479555-34-5 CAPLUS
CN Benzeneacetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-3-methoxy- (9CI) (CA INDEX NAME)



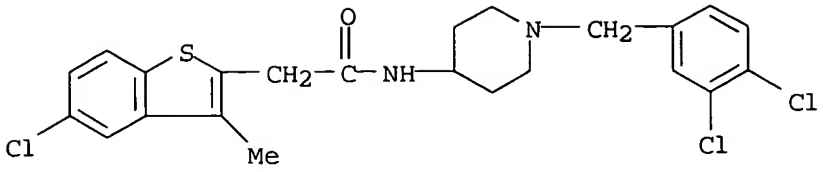
RN 479555-35-6 CAPLUS
CN Benzo[b]thiophene-3-acetamide, 5-chloro-N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



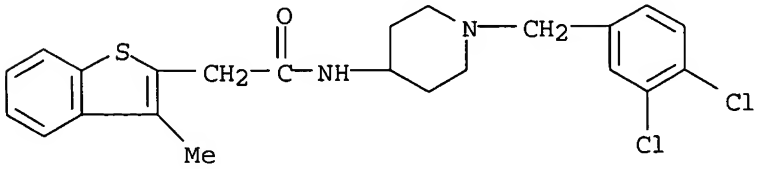
RN 479555-36-7 CAPLUS
CN 4-Thiazoleacetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-5-methyl-2-phenyl- (9CI) (CA INDEX NAME)



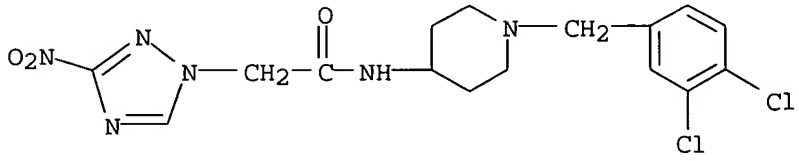
RN 479555-37-8 CAPLUS
CN Benzo[b]thiophene-2-acetamide, 5-chloro-N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-3-methyl- (9CI) (CA INDEX NAME)



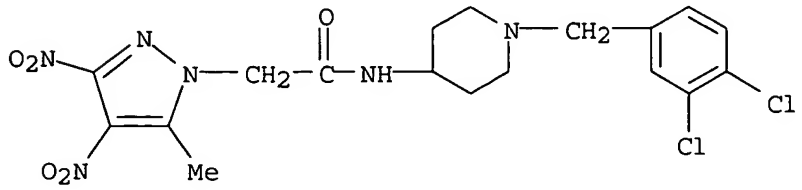
RN 479555-38-9 CAPLUS
CN Benzo[b]thiophene-2-acetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-3-methyl- (9CI) (CA INDEX NAME)



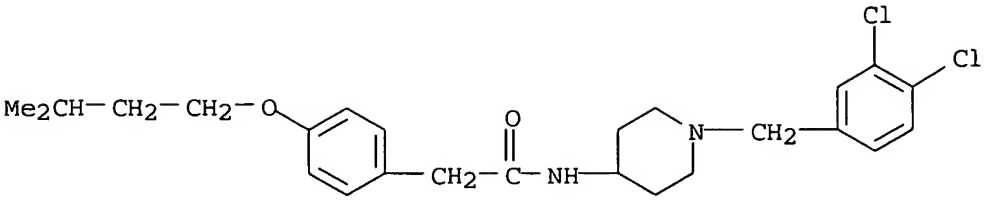
RN 479555-39-0 CAPLUS
CN 1H-1,2,4-Triazole-1-acetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-3-nitro- (9CI) (CA INDEX NAME)



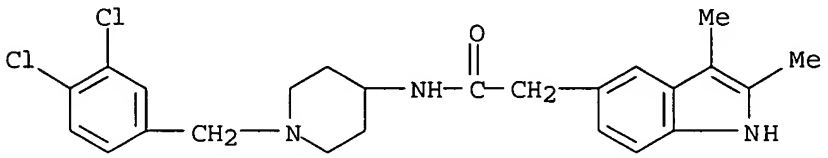
RN 479555-40-3 CAPLUS
CN 1H-Pyrazole-1-acetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-5-methyl-3,4-dinitro- (9CI) (CA INDEX NAME)



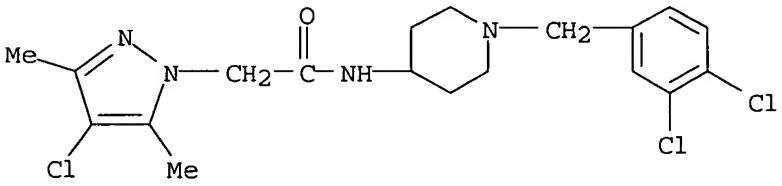
RN 479555-41-4 CAPLUS
CN Benzeneacetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-4-(3-methylbutoxy)- (9CI) (CA INDEX NAME)



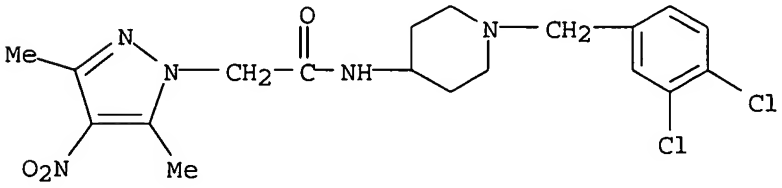
RN 479555-42-5 CAPLUS
CN 1H-Indole-5-acetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-2,3-dimethyl- (9CI) (CA INDEX NAME)



RN 479555-43-6 CAPLUS
CN 1H-Pyrazole-1-acetamide, 4-chloro-N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-3,5-dimethyl- (9CI) (CA INDEX NAME)



RN 479555-44-7 CAPLUS
CN 1H-Pyrazole-1-acetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-3,5-dimethyl-4-nitro- (9CI) (CA INDEX NAME)



RN 479555-45-8 CAPLUS
CN 1H-Imidazole-1-acetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-2,4-dinitro- (9CI) (CA INDEX NAME)

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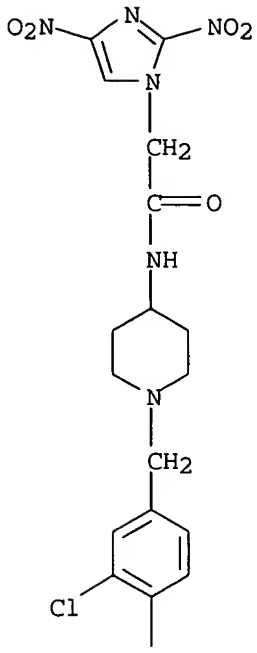

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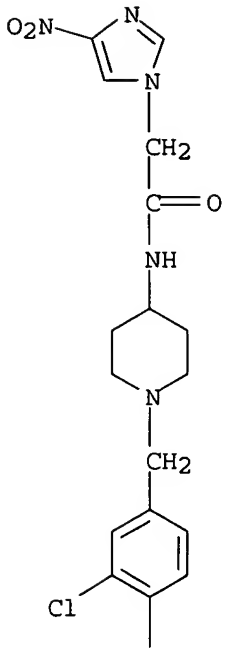
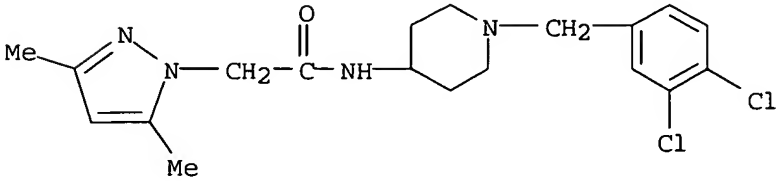
RN 479555-46-9 CAPLUS
CN 1H-Imidazole-1-acetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-4-nitro- (9CI) (CA INDEX NAME)

PAGE 1-A

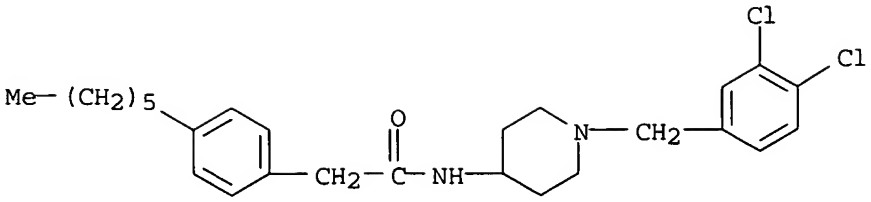


PAGE 2-A

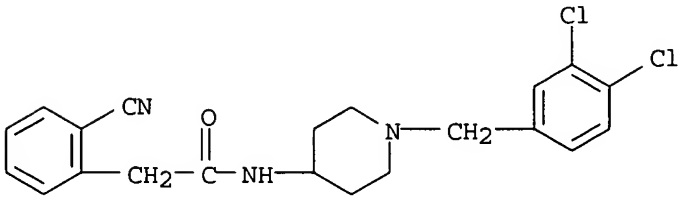
RN 479555-47-0 CAPLUS
CN 1H-Pyrazole-1-acetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-3,5-dimethyl- (9CI) (CA INDEX NAME)



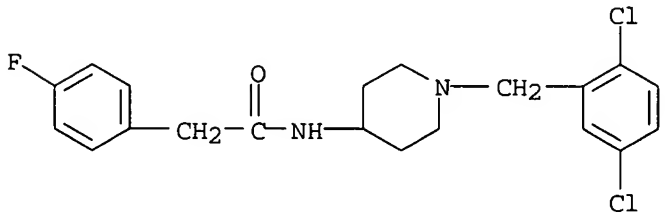
RN 479555-48-1 CAPLUS
CN Benzeneacetamide, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-4-hexyl- (9CI) (CA INDEX NAME)



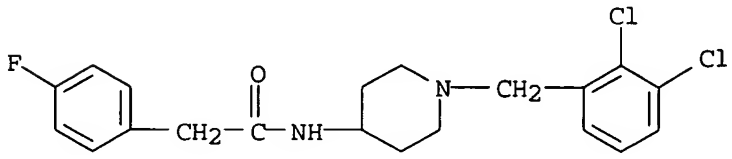
RN 479555-49-2 CAPLUS
CN Benzeneacetamide, 2-cyano-N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-
(9CI) (CA INDEX NAME)



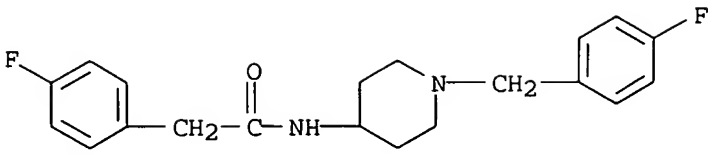
RN 479555-65-2 CAPLUS
CN Benzeneacetamide, N-[1-[(2,5-dichlorophenyl)methyl]-4-piperidinyl]-4-
fluoro- (9CI) (CA INDEX NAME)



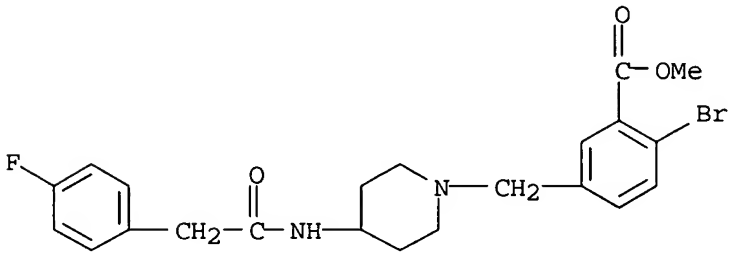
RN 479555-66-3 CAPLUS
CN Benzeneacetamide, N-[1-[(2,3-dichlorophenyl)methyl]-4-piperidinyl]-4-
fluoro- (9CI) (CA INDEX NAME)



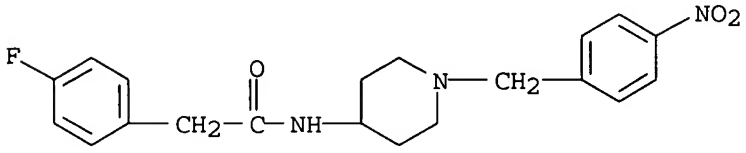
RN 479555-67-4 CAPLUS
CN Benzeneacetamide, 4-fluoro-N-[1-[(4-fluorophenyl)methyl]-4-piperidinyl]-
(9CI) (CA INDEX NAME)



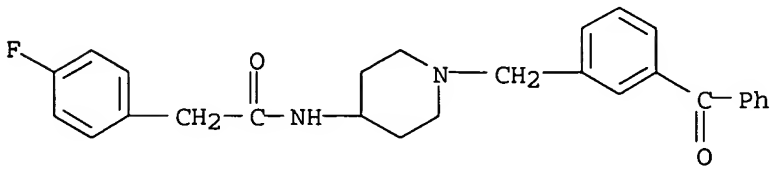
RN 479555-68-5 CAPLUS
CN Benzoic acid, 2-bromo-5-[[4-[[(4-fluorophenyl)acetyl]amino]-1-piperidinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



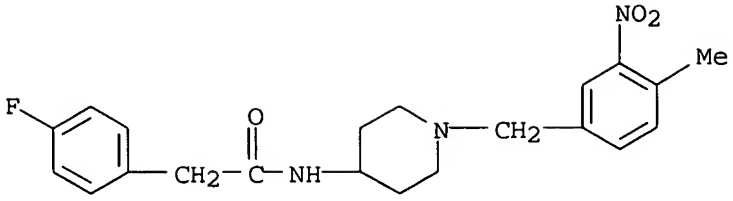
RN 479555-69-6 CAPLUS
CN Benzeneacetamide, 4-fluoro-N-[1-[(4-nitrophenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



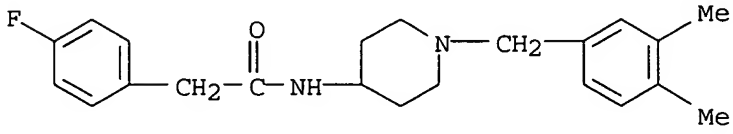
RN 479555-70-9 CAPLUS
CN Benzeneacetamide, N-[1-[(3-benzoylphenyl)methyl]-4-piperidinyl]-4-fluoro- (9CI) (CA INDEX NAME)



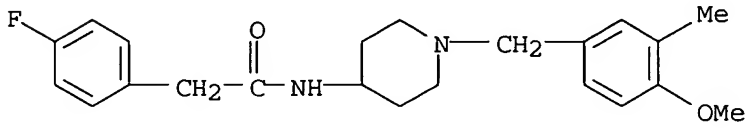
RN 479555-74-3 CAPLUS
CN Benzeneacetamide, 4-fluoro-N-[1-[(4-methyl-3-nitrophenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



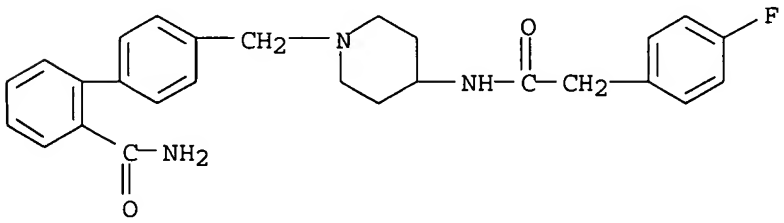
RN 479555-75-4 CAPLUS
CN Benzeneacetamide, N-[1-[(3,4-dimethylphenyl)methyl]-4-piperidinyl]-4-fluoro- (9CI) (CA INDEX NAME)



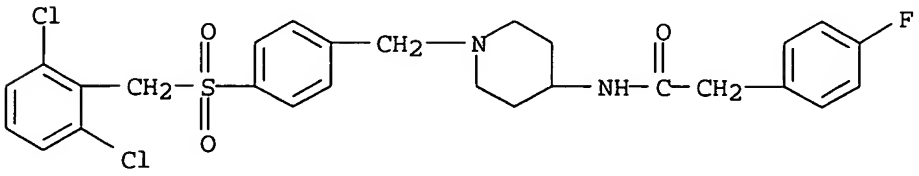
RN 479555-76-5 CAPLUS
CN Benzeneacetamide, 4-fluoro-N-[1-[(4-methoxy-3-methylphenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

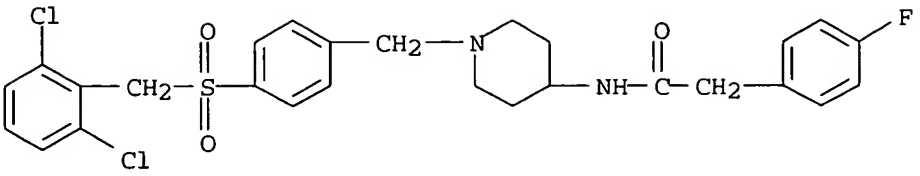


RN 479555-77-6 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[[4-[[(4-fluorophenyl)acetyl]amino]-1-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

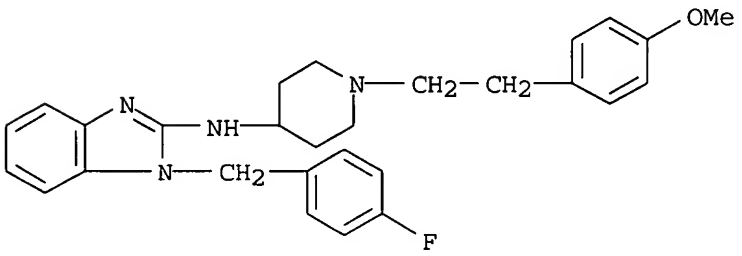


RN 479555-78-7 CAPLUS
CN Benzeneacetamide, N-[1-[[4-[[(2,6-dichlorophenyl)methyl]sulfonyl]phenyl]methyl]-4-piperidinyl]-4-fluoro- (9CI) (CA INDEX NAME)

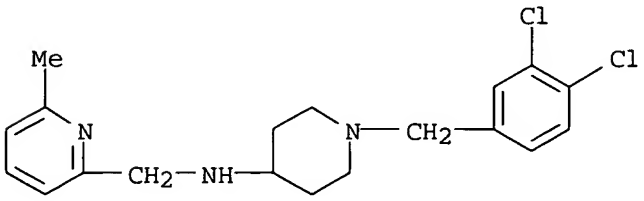




IT **68844-77-9**, Astemizole
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination therapy component; prepn. and pharmaceutical combinations of [(hetero)arylalkyl]piperidinyl amine, amide, or carbamate CCR3 antagonists for treatment of asthma, allergic disease, or inflammation)
RN 68844-77-9 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[(4-fluorophenyl)methyl]-N-[1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



IT **328082-07-1**, N-[1-(3,4-Dichlorobenzyl)-4-piperidinyl]-N-[(6-methyl-2-pyridinyl)methyl]amine
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(prepn. and pharmaceutical combinations of [(hetero)arylalkyl]piperidinyl amine, amide, or carbamate CCR3 antagonists for treatment of asthma, allergic disease, or inflammation)
RN 328082-07-1 CAPLUS
CN 2-Pyridinemethanamine, N-[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]-6-methyl- (9CI) (CA INDEX NAME)



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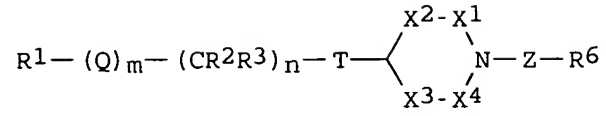

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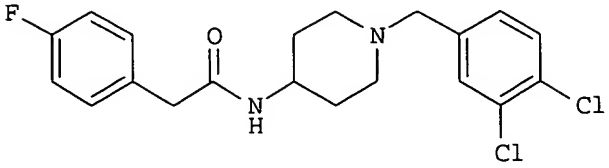


II

AB Title compds. I [wherein Z = CR4R5, CO, or CR4R5Z1; Z1 = alkylene, alkenylene, or CONH; R1 = (un)substituted alkyl, alkenyl, (hetero)cycloalkyl, or (hetero)aryl; Q = O, S, NR9, CO, CONR9, NR9CO, or CH=CH; m = 0-1; n = 0-6 with the proviso that when n = 0; then m = 0; R2 and R3 = independently H or alkyl; or CR2R3 = (alkyl)cycloalkyl; T = NR10, CONR10, NR11CONR10, or CONR10R11; X1-X4 = independently CH2CHR12 or CO; R4 and R5 = independently H or alkyl; R6 = (un)substituted (hetero)aryl; R9-R11 = independently H, alkyl, haloalkyl, hydroxyalkyl, cycloalkyl(alkyl), or phenylalkyl; R12 = independently (cyclo)alkyl or CO; or R12 groups of X1 and X3 or X4, or X2 and X3 or X4 join to form CH2CH2, CH2CH2CH2, CH2OCH2, or CH2SCH2; or pharmaceutically acceptable salts or solvates thereof] were prepd. as cysteine-cysteine chemokine receptor 3 (CCR3) antagonists for use in pharmaceutical combinations with a histamine antagonist, steroid, leukotriene modulator, human cytokine, .beta.-agonist, phosphodiesterase inhibitor, or antibody (no data). For example, 1-(3,4-dichlorobenzyl)-4-piperidinamine.bul.2CF3CO2H was condensed with 2-(4-fluorophenyl)acetic acid to give N-[1-(3,4-dichlorobenzyl)-4-piperidinyl]-2-(4-fluorophenyl)acetamide (II). I are useful in combination therapy for the treatment of asthma, rhinitis, and other allergic or inflammatory conditions (no data).

L4 ANSWER 4 OF 13 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2002:906175 CAPLUS
DN 138:14074
TI Preparation of benzo[g]quinoxalines for use against infectious diseases
IN Pato, Janos; Keri, Gyoergy; Oerfi, Laszlo; Waczek, Frigyes; Horvath, Zoltan; Banhegyi, Peter; Szabadkai, Istvan; Marosfalvi, Jenoe; Hegymegi-barakonyi, Balint; Szekelyhidi, Zsolt; Greff, Zoltan; Choidas, Axel; Bacher, Gerald; Daub, Henrik; Obert, Sabine; Kurtenbach, Alexander; Habenberger, Peter
PA Axxima Pharmaceuticals Ag, Germany; et al.
SO PCT Int. Appl., 237 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002094796	A2	20021128	WO 2002-EP5573	20020521

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,

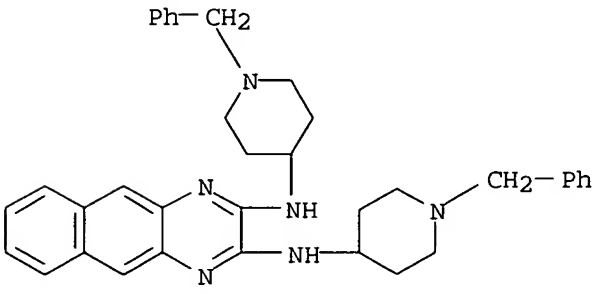
PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
EP 2001-112289 A 20010518
US 2001-292325PP 20010522
US 2001-298902PP 20010619
EP 2001-115508 A 20010627

OS MARPAT 138:14074

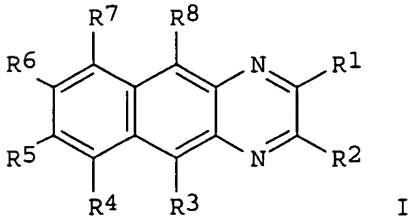
IT **476637-76-0P**, N,N'-Bis(1-benzylpiperidin-4-yl)benzo[g]quinoxaline-2,3-diamine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of benzo[g]quinoxalines for use against infectious diseases)

RN 476637-76-0 CAPLUS

CN Benzo[g]quinoxaline-2,3-diamine, N,N'-bis[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



GI



I

AB The present invention relates to benzo[g]quinoxaline derivs. (shown as I; e.g. 2,3-bis(2-thienyl)benzo[g]quinoxaline and benzo[g]quinoxalin-2-yl(3-bromophenyl)amine), processes for manufg. said benzo[g]quinoxaline derivs., the use of the benzo[g]quinoxaline derivs. as pharmaceutically active agents, esp. for the prophylaxis and/or treatment of infectious diseases and opportunistic infections, diabetes, cancer, inflammation, as well as compns. contg. at least one benzo[g]quinoxaline deriv. and/or pharmaceutically acceptable salt thereof. Further, the present invention is directed to methods for preventing and/or treating of infectious

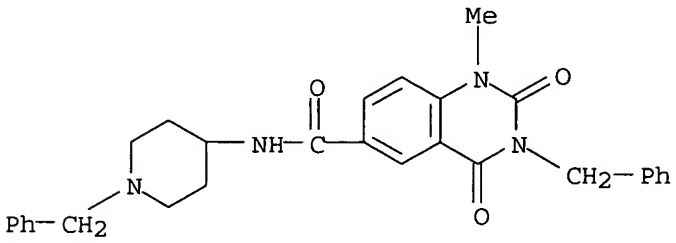
diseases, diabetes, cancer, and inflammation using the inventive benzo[g]quinoxaline derivs. The inventive benzo[g]quinoxaline derivs. exert their antiproliferative effect on M. bovis BCG and M. tuberculosis Erdmann at concns. between <<1 .mu.M and 32 .mu.M. In contrast, growth of E. coli XI-1 blue was not affected by benzo[g]quinoxaline derivs. at concns. >10 .mu.M. The benzo[g]quinoxaline compds. are able to inhibit HI virus replication up to 63% after 6 days at a concn. of 1 .mu.M. 5,10-Dibromo-2-(thiophen-3-yl)-3-(thiophen-2-yl)benzo[g]quinoxaline is able to decrease the activity of the herpes viral target UL-97 by 75%. Results for inhibition of HCMV target RICK for 5 I, of influenza replication for 7 I, of hepatitis B virus for 5 I, of TNF.alpha. signaling for 11 I, of human cellular protein kinases (Akt, Abl, PDGFR, Src) for 7 I, of A549 and Jurkat cells for 18 I, of human cellular protein kinase Akt known as a target for diabetes for 4 I, and of human protein kinases SRPK1 and SRPK2 (indicative of hepatitis B virus replication inhibition) for 8 and 1 I, resp., are tabulated. Results for activation of the insulin receptor InsR by 3 I, effect of 2 I on viability of Huh-5-2 replicon cells by the Alamar Blue toxicity assay, effect of 2 I on autonomous replication of hepatitis C virus replicons in the Huh-5-2 cell line by luciferase reporter assay, are tabulated. In I: R1 and R2 = -(CH2)p-NH-(CH2)n-R9, -(CH2)s-S-(CH2)m-R10, -(CH2)m-O-(CH2)p-R11, -(CH2)r-R3, -CH:CH-R11, -(CH2)m-CH(OH)(CH2)p-R11, -(CH2)q-R11, -R9, R10, -R12, -R13, etc. R3, R4, R5, R6, R7, and R8 = -H, -F, -Cl, -Br, -I, -SO3H, -SO3NH2, -(CH2)s-COOR16, -(CH2)p-COOR17, -OR16, -SR16, -NR16R17, -OOCR16, -OOCR17, -NH-CO-R16, -NH-CO-R17, -CO-NH-R16, -CO-NH-R17, -NO2, -N3, -CN, -OCN, -NCO, -SCN, -NCS, CO-R16, CO-R17, -COCN, -CONR16R17, -SOR16, -SO2R16, -SO2R17, -SO3R16, -SO3R17, OCF3. R9, R10, and R11 = -CN, NR16R17, -NHR16, NHR17, etc. R12, R13, R14, and R15 = R3, R4, R5, R6, R16, R17, CH(CO2R16)(CO2R17), CH(CN)(CO2R16), CH(CN)C(O)NHAr (Ar = R14- and R15-substituted phenyl); R16 and R17 = -H, -CH3, -C2H5, -Pr, -CHMe2, -Bu, -C5H11, -C6H13, -cyclo-C6H11, -cyclo-C5H9, -cyclo-C4H7, -cyclo-C3H5, -(CH2)r-CHMe2, -CHMeEt, -CMe3, -CH:CH2, -CH2-CH:CH2, Ph, --CH2Ph, -C2H4Ph, -CH(CN)2, -CF3, -CCl3, -CBr3, -C2F5, -(CH2)r-OH, -CH2F, -CH2Cl, -CH2Br, -CH2I, -CHF2, -CHCl2, -CHBr2, -(CH2)r-SH, -C6H4-CH3, -C6H3Me2, pyridyl, 2-pyrimidinyl, etc. M = 0-6, n = 0-6, p = 0-6, q = 0-6, r = 1-6, s = 0-6. Also claimed are the corresponding N-oxides in position 1 and/or 4 of these compds., the corresponding reduced forms of these compds. wherein the double bond in position 1 and/or 3 is hydrogenated, and pharmaceutically acceptable salts of I. About 42 example prepns. and 406 compds. with characterization data are included. 1H-benzo[g]quinoxaline-2-one was prepd. in 90% yield by dissolving 20 mmol 2,3-diaminonaphthalene in a mixt. of 5 mL DMF and 50 mL EtOH and adding 5 mL aq. soln. (50%) of glyoxalic acid and the mixt. was stirred for 2 h at reflux temp. The reaction mixt. was cooled to room temp. and the product was filtered, washed two times with Et2O and dried.

L4 ANSWER 5 OF 13 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2002:637660 CAPLUS
DN 137:185501
TI Preparation of quinazolines as specific inhibitors of type-13 matrix metalloprotease
IN Andrianjara, Charles; Chantel-Barvian, Nicole; Gaudilliere, Bernard; Jacobelli, Henri; Ortwine, Daniel Fred; Patt, William Chester; Pham, Ly; Kostlan, Catherine Rose; Wilson, Michael William
PA Warner-Lambert Company, USA
SO PCT Int. Appl., 264 pp.
CODEN: PIXXD2
DT Patent

LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002064572	A1	20020822	WO 2002-EP1979	20020211
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
			US 2001-268661PP	20010214
US 2002193377	A1	20021219	US 2002-75954	20020213
			US 2001-268661PP	20010214

OS MARPAT 137:185501
IT **449209-88-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(MMP13 inhibitor; prepn. of quinazolines as specific inhibitors of type-13 matrix metalloprotease)
RN 449209-88-5 CAPLUS
CN 6-Quinazolinecarboxamide, 1,2,3,4-tetrahydro-1-methyl-2,4-dioxo-3-(phenylmethyl)-N-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



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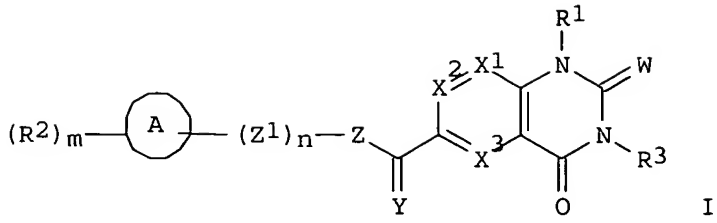

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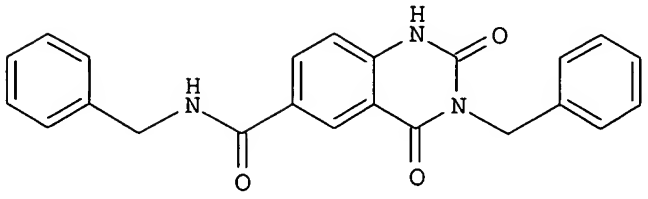


II

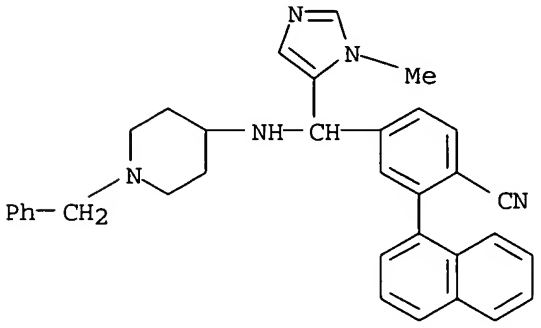
AB Title compds. I [R1 = H, amino, alkyl, alkenyl, alkynyl, alkylamino, aryl, heterocycle, etc.; W = O, S, =N-R'; R' = alkyl, OH, CN; X1-3 = N, C-R6; R6 = H, alkyl, amino, alkylamino, etc.; Y = O, S, NH, N-alkyl; Z = O, S, NR7; R7 = H, alkyl, aryl, aryl, heteroaryl, etc.; n = 1-8; Z1 = alkyl; A = (non)arom., 5- or 6-membered monocycle comprising from 0 to 4 heteroatoms selected from N, O, S, etc.; m = 0-7; R2 = alkyl, halo, CN, NO2, SCF3, CF3, OCF3, etc.; R3 = H, alkyl, alkenyl, alkynyl, etc.] were prepd. Over 200 synthetic examples were provided. For instance, di-Me 4-aminoisophthalate was reacted with benzylisocyanate and heated to 95-100.degree. overnight to give Me 3-benzyl-2,4-dioxo-1,2,3,4-tetrahydroquinazoline-6-carboxylate which was sapond. (dioxaneaq, LiOH, reflux) to give the carboxylic acid. This intermediate was coupled with benzylamine to afford II. Selected examples of I had IC50 = 2.25 - 0.001 .mu.M for MMP13 and IC50 > 100 .mu.M for MMP1, MMP2, MMP3, MMP7, MMP9, MMP12 and MMP14; II had IC50 = 0.193 .mu.M for MMP13. Compds. I are useful for the treatment of osteoarthritis and rheumatoid arthritis.

RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2002:123617 CAPLUS
DN 136:183819
TI Preparation of (imidazolylalkyl)biphenylcarbonitriles and analogs as farnesyltransferase inhibitors
IN Wang, Wei-Bo; Curtin, Michael L.; Fakhoury, Stephen A.; Gwaltney, Stephen L.; Hasvold, Lisa A.; Hutchins, Charles W.; Li, Qun; Lin, Nan-Horng; Nelson, Lissa Taka Jennings; O'Connor, Steve; Sham, Hing L.; Sullivan, Gerard M.; Wang, Gary T.; Wang, Xilu
PA USA
SO U.S. Pat. Appl. Publ., 189 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

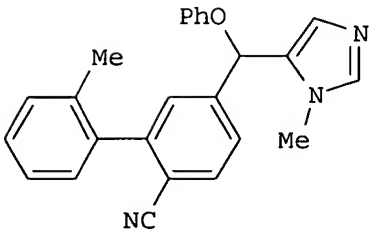
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2002019527	A1	20020214	US 2001-842391	20010425
			US 2000-200165PP	20000427

OS MARPAT 136:183819
IT **371761-79-4P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of (imidazolylalkyl)biphenylcarbonitriles and analogs as farnesyltransferase inhibitors)
RN 371761-79-4 CAPLUS
CN Benzonitrile, 4-[(1-methyl-1H-imidazol-5-yl)[[1-(phenylmethyl)-4-piperidinyl]amino]methyl]-2-(1-naphthalenyl)-, trihydrochloride (9CI) (CA INDEX NAME)



● 3 HCl

GI



II

AB Title compds. (I) were prepd. Thus, 2-MeC6H4C6H3(CN)(CHO)-2,5 was condensed with 1-methyl-2-triethylsilyl-1H-imidazole (prepn. each given) and the product O-arylated to give title compd. II. Data for biol. activity of I were given.

L4 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2002:107318 CAPLUS
DN 136:151163
TI Preparation of indazole derivatives as JNK enzyme inhibitors
IN Bhagwat, Shripad S.; Satoh, Yoshitaka; Sakata, Steven T.
PA Signal Pharmaceuticals, Inc., USA

SO PCT Int. Appl., 412 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002010137	A2	20020207	WO 2001-US23890	20010730
WO 2002010137	C2	20030206		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

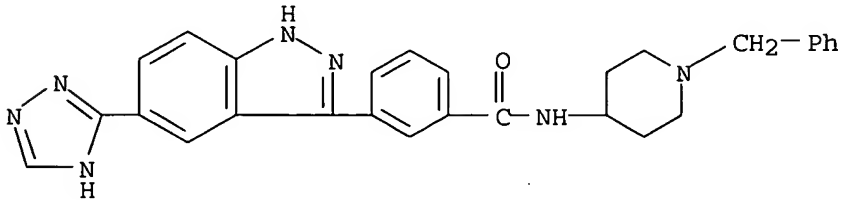
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

			US 2000-221799PP	20000731
US 2002103229	A1	20020801	US 2001-910950	20010723
			US 2000-221799PP	20000731
EP 1313711	A2	20030528	EP 2001-957332	20010730

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

	US 2000-221799PP	20000731
	WO 2001-US23890W	20010730

OS MARPAT 136:151163
IT **395107-63-8P**, N-[1-Benzyl-4-piperidyl]-3-[5-(1H-1,2,4-triazol-3-yl)-1H-indazol-3-yl]benzamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of indazole derivs. as JNK enzyme inhibitors)
RN 395107-63-8 CAPLUS
CN Benzamide, N-[1-(phenylmethyl)-4-piperidinyl]-3-[5-(1H-1,2,4-triazol-3-yl)-1H-indazol-3-yl]- (9CI) (CA INDEX NAME)



AB Indazole derivs., 3-R1A-5-R2-1H-indazoles (1), having activity as selective inhibitors of JNK are disclosed. In 1: A is a direct bond, -(CH2)a-, -(CH2)bCH:CH(CH2)c-, or -(CH2)bC.tplbond.C(CH2)c-; R1 is aryl, heteroaryl or heterocycle fused to Ph, each being optionally substituted with 1-4 R3; R2 is -R3, -R4, -(CH2)bC(O)R5, -(CH2)bC(:O)OR5, -(CH2)bC(O)NR5R6, -(CH2)bC(O)NR5(CH2)cC(O)R6, -(CH2)bNR5C(O)R6, -(CH2)bNR5C(O)NR6R7, -(CH2)bNR5R6, -(CH2)bOR5, -(CH2)bSOdR5 or -(CH2)bSO2NR5R6. A is 1-6; b and c are the same or different and are 0-4; d is 0-2. R3 is at each occurrence independently halogen, hydroxy, carboxy, alkyl, alkoxy, haloalkyl, acyloxy, thioalkyl, sulfinylalkyl, sulfonylalkyl, hydroxyalkyl, aryl, substituted aryl, arylalkyl,

substituted arylalkyl, heterocycle, substituted heterocycle, heterocyclealkyl, substituted heterocyclealkyl, -C(O)OR8, -C(O)R8, -C(O)NR8R9, -C(O)NR8OR9, -SO2NR8R9, -NR8SO2R9, -CN, -NO2, -NR8R9, -NR8C(O)R9, -NR8C(O)(CH2)bOR9, -NR8C(O)(CH2)bR9, -O(CH2)bNR5R9, or heterocycle fused to Ph. R4 is alkyl, aryl, arylalkyl, heterocycle or heterocyclealkyl, each being optionally substituted with 1-4 R3, or R4 is halogen or hydroxy. R5, R6and R7 are the same or different and are H, alkyl, aryl, arylalkyl, heterocycle or heterocyclealkyl, wherein each of R5, R6 and R7 are optionally substituted with 1-4 R3. R8 and R9 are the same or different and at each occurrence independently H, alkyl, aryl, arylalkyl, heterocycle, or heterocyclealkyl, or R8 and R9 taken together with the atom or atoms to which they are bonded form a heterocycle, wherein each of R8, R9, and R8 and R9 taken together to form a heterocycle are optionally substituted with 1-4 R3 with the proviso that: when A is a direct bond and R1 is Ph, R2 is not Me, methoxy, C(O)CH3 or C(O)H; when A is a direct bond and R1 is 4-Me-Ph, R2 is not Me; when A is a direct bond and R1 is 4-F-Ph, R2 is not trifluoromethyl; when A is a direct bond or -C.tplbond.C- and R1 is Ph, R2 is not -COOEt; and when A is a direct bond and R1 is 6,7-dimethoxyisoquinolin-1-yl, R2 is not hydroxy. Such compds. have utility in the treatment of a wide range of conditions that are responsive to JNK inhibition. Thus, methods of treating such conditions are also disclosed, as are pharmaceutical compns. contg. one or more compds. of the above compds. Many of the claimed compds. have IC50 values .ltoreq.0.5 .mu.M in the JNK2 assay, e.g. 5-[3-(4-fluorophenyl)-1H-indazol-5-yl]-2H-1,2,3,4-tetrazole. Although the methods of prepn. are not claimed, >400 example prepns. are included.

L4 ANSWER 8 OF 13 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2002:72037 CAPLUS
DN 136:134667
TI Preparation of mercaptopyrrolidinecarboxamides related compounds as inhibitors of endothelin-converting enzyme
IN Aebi, Johannes; Blum, Denise; Bur, Daniel; Chucholowski, Alexander; Dehmlow, Henrietta; Kitas, Eric Argirios; Loeffler, Bernd Michael; Obst, Ulrike; Wallbaum, Sabine
PA F. Hoffmann-La Roche A.-G., Switz.
SO PCT Int. Appl., 160 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002006222	A1	20020124	WO 2001-EP7950	20010710
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
			EP 2000-114947 A	20000719
EP 1303485	A1	20030423	EP 2001-949485	20010710
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
			EP 2000-114947 A	20000719

			WO 2001-EP7950 W 20010710
BR 2001012580	A	20030617	BR 2001-12580 20010710
			EP 2000-114947 A 20000719
			WO 2001-EP7950 W 20010710
US 2002049243	A1	20020425	US 2001-907135 20010717
US 6541638	B2	20030401	
			EP 2000-114947 A 20000719

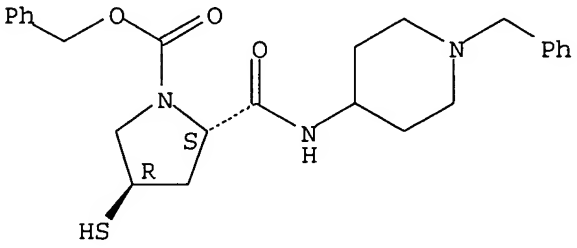
OS MARPAT 136:134667

IT **393158-11-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of mercaptopyrrolidinecarboxamides as inhibitors of endothelin-converting enzyme)

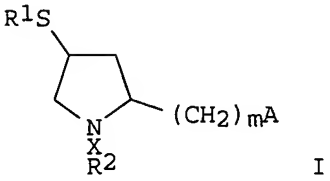
RN 393158-11-7 CAPLUS

CN 1-Pyrrolidinecarboxylic acid, 4-mercapto-2-[[[1-(phenylmethyl)-4-piperidinyl]amino]carbonyl]-, phenylmethyl ester, (2S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



GI



I

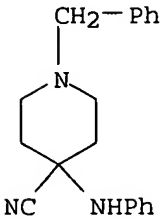
AB Title compds. [I; R1 = H, alkylcarbonyl, arylcarbonyl; R2 = alkyl, alkylcycloalkyl, cycloalkyl, haloalkyl, carboxyalkyl, aryl, alkynyl, aryloxyalkyl, heterocyclyl, etc.; A = COR3, CH(OH)R4, CONR5R6; R3, R4 = alkyl, aryl, arylalkynyl, aralkyl, arylalkenyl; R5 = H, alkyl, cycloalkyl, cycloalkylalkyl, carboxyalkyl, aralkyl; R6 = alkyl, alkylcarbonylalkyl, cyanoalkyl, hydroxyalkyl, aminocarbonylalkyl, aryl, etc.; m = 0-2; X = SO2, CO, CO2, SO2NH, CONR13; R13 = H, alkyl, aryl, carboxyalkyl], and dimers thereof, were prepd. Thus, (2S,4R)-[[4-(4-methoxybenzylsulfanyl)-1-(naphthalene-2-sulfonyl)pyrrolidine-2-carbonyl]methylamino]acetic acid (prepn. given) in CH2Cl2 were treated with NMM, HOBT in CH2Cl2, EDCI in CH2Cl2, and o-toluidine in CH2Cl2; the soln. was shaken overnight to give a residue which was treated with Et3SiH in CF3CO2H at 80.degree. for 1 h to give (2S,4R)-4-mercapto-1-(naphthalene-2-sulfonyl)pyrrolidine-2-carboxylic acid methyl(o-tolylcarbamoylmethyl)amide. I inhibited

endothelin converting enzyme with IC50 = 5-1000 nM.
RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

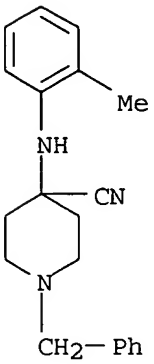
L4 ANSWER 9 OF 13 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2001:904170 CAPLUS
DN 136:37519
TI Synthesis and use of triazaspirodecanone derivatives as neurokinin receptor antagonists
IN Galley, Guido; Godel, Thierry; Goergler, Annick; Hoffmann, Torsten; Kolczewski, Sabine; Roever, Stephan
PA F. Hoffmann-La Roche AG, Switz.
SO PCT Int. Appl., 90 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001094346	A1	20011213	WO 2001-EP6305	20010601
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CO, CU, CZ, DE, DK, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
			EP 2000-112285 A	20000608
US 2002006932	A1	20020117	US 2001-861795	20010521
US 6482829	B2	20021119		
			EP 2000-112285 A	20000608
EP 1292596	A1	20030319	EP 2001-945242	20010601
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
			EP 2000-112285 A	20000608
			WO 2001-EP6305 W	20010601
BR 2001011538	A	20030701	BR 2001-11538	20010601
			EP 2000-112285 A	20000608
			WO 2001-EP6305 W	20010601

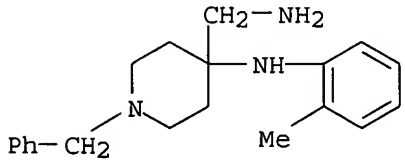
OS MARPAT 136:37519
IT **968-86-5P 972-17-8P 380203-34-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; synthesis and use of triazaspirodecanone derivs. as neurokinin receptor antagonists)
RN 968-86-5 CAPLUS
CN 4-Piperidinecarbonitrile, 4-(phenylamino)-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 972-17-8 CAPLUS
CN 4-Piperidinecarbonitrile, 4-[(2-methylphenyl)amino]-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 380203-34-9 CAPLUS
CN 4-Piperidinemethanamine, 4-[(2-methylphenyl)amino]-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



GI

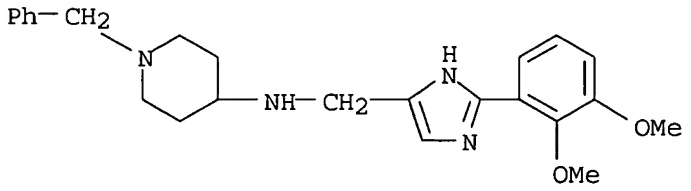
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1 = H, alkyl, alkenyl, Ph, (CH2)m-non arom. heterocyclyl, (CH2)m-heteroaryl, (CH2)m-carboxamide, (CH2)m-C(O)alkyl, etc.; R2 = H, alkyl, halo, alkoxy; R3 = alkyl, alkoxy, halo, CF3; X = N-, C:, CH; X1/X2 = H, OH, alkoxy or may be together an oxo group; Y1/Y2 = H, alkyl, (CH2)m-Ph or may be together an oxo group; Z = bond, CH2, C(O); m = 0 - 4; n = 2 - 3; p = 0 - 2] were prepd. Over 160 synthetic examples were disclosed. For example, 8-(3,5-bistrifluoromethylbenzoyl)-1-phenyl-1,3,8-triazaspiro[4.5]decan-4-one was reacted with 2-chloro-4,6-dimethoxy-1,3,5-

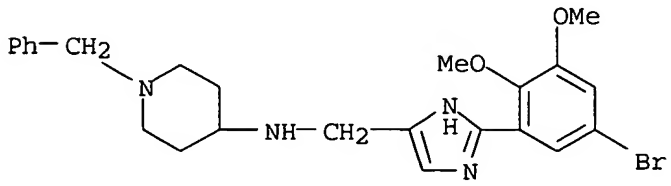
triazine (1,2-dimethoxyethane, NaH, 100.degree.C, 1 h) to give II. II had pKi = 8.66 for the NK-1 receptor. I are useful in the treatment of diseases related to NK-1 receptor antagonists.

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 13 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2001:827020 CAPLUS
DN 136:294764
TI Synthesis of 2-(2,3-dimethoxyphenyl)-4-(aminomethyl)imidazole analogues and their binding affinities for dopamine D2 and D3 receptors
AU Huang, Yunsheng; Luedtke, Robert R.; Freeman, Rebekah A.; Wu, Li; Mach, Robert H.
CS Department of Radiology-PET Center, Wake Forest University School of Medicine, Winston-Salem, NC, 27157, USA
SO Bioorganic & Medicinal Chemistry (2001), 9(12), 3113-3122
CODEN: BMECEP; ISSN: 0968-0896
PB Elsevier Science Ltd.
DT Journal
LA English
OS CASREACT 136:294764
IT **407610-25-7P 407610-26-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and dopamine D2 and D3 receptor affinity of 2-(2,3-dimethoxyphenyl)-1H-imidazole-4-methanamine derivs.)
RN 407610-25-7 CAPLUS
CN 4-Piperidinamine, N-[[2-(2,3-dimethoxyphenyl)-1H-imidazol-4-yl]methyl]-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 407610-26-8 CAPLUS
CN 4-Piperidinamine, N-[[2-(5-bromo-2,3-dimethoxyphenyl)-1H-imidazol-4-yl]methyl]-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



AB A series of 2-(2,3-dimethoxyphenyl)-4-(aminomethyl)imidazole derivs. was prepd. and their affinity for dopamine D2 and D3 receptors was measured using in vitro binding assays. Several **oxadiazole** analogs were also prepd. and tested for their affinity for dopamine D2 and D3 receptors. The results of receptor binding studies indicated that the

incorporation of an imidazole moiety between the Ph ring and the basic nitrogen did not significantly increase the selectivity for dopamine D3 receptors, whereas the incorporation of an **oxadiazole** at the same region resulted in a total loss of affinity for both dopamine receptor subtype binding sites. The most selective compd. in this series is 6,7-dimethoxy-2-[[2-(2,3-dimethoxyphenyl)-1H-imidazol-4-yl]methyl]-1,2,3,4-tetrahydroisoquinoline, which has a D3 receptor affinity of 21 nM and a 7-fold selectivity for D3 vs. D2 receptors. The binding affinity for .sigma.1 and .sigma.2 receptors was also measured, and the results showed that several analogs were selective .sigma.1 receptor ligands.

RE.CNT 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

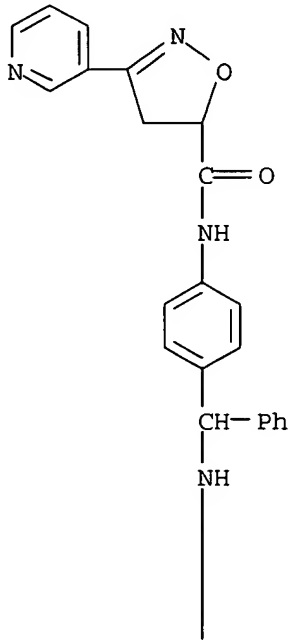
L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2000:260283 CAPLUS
DN 132:293757
TI Preparation of novel 4,5-dihydroisoxazole derivatives and their use as pharmaceuticals for T cell-mediated diseases
IN Freyne, Eddy Jean Edgard; Andres-Gil, Jose Ignacio; Deroose, Frederik Dirk; Petit, Davy Petrus Franciscus Maria; Matesanz-Ballesteros, Maria Encarnacion; Alvarez Escobar, Rosa Maria
PA Janssen Pharmaceutica N.V., Belg.
SO PCT Int. Appl., 108 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000021959	A1	20000420	WO 1999-EP7803	19991007
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
				EP 1998-203394 A	19981009
	CA 2346396	AA	20000420	CA 1999-2346396	19991007
				EP 1998-203394 A	19981009
				WO 1999-EP7803 W	19991007
	EP 1119568	A1	20010801	EP 1999-953847	19991007
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
				EP 1998-203394 A	19981009
				WO 1999-EP7803 W	19991007
	JP 2002527438	T2	20020827	JP 2000-575865	19991007
				EP 1998-203394 A	19981009
				WO 1999-EP7803 W	19991007
	AU 763460	B2	20030724	AU 2000-10393	19991007
				EP 1998-203394 A	19981009
				WO 1999-EP7803 W	19991007
	US 6583141	B1	20030624	US 2001-807149	20010406
				EP 1998-203394 A	19981009
				WO 1999-EP7803 W	19991007

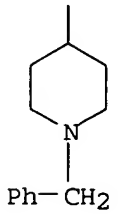
OS MARPAT 132:293757

IT **264604-07-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compd.; prepn. of dihydroisoxazole derivs. as antiproliferatives and immunomodulators)
RN 264604-07-1 CAPLUS
CN 5-Isoxazolecarboxamide, 4,5-dihydro-N-[4-[phenyl[[1-(phenylmethyl)-4-piperidinyl]amino]methyl]phenyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



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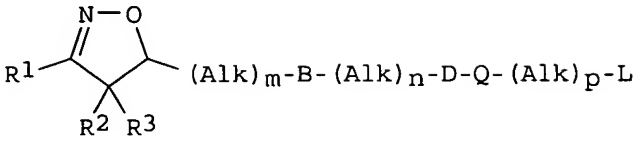
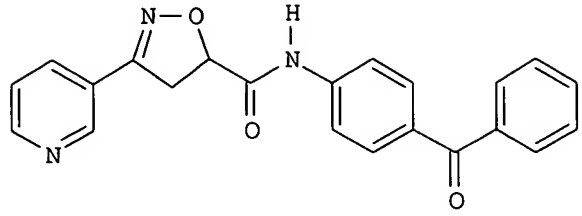


I



II

AB The invention concerns title compds. I and their N-oxides, pharmaceutically acceptable addn. salts, quaternary ammonium salts, and stereochem. isomeric forms [wherein m, n, p = 0 or 1; R1 = (un)substituted pyridinyl, pyridazinyl, pyrimidinyl, pyrazinyl or phenyl; B = amide, ketone, or **oxadiazole**; D = (un)substituted aryl or heterocyclyl; Q = bond, CO, (un)substituted NH, CONH, CH2, CH(:CH2), C(:NH), SO, SO, 3-oxobutenyl, pyrazole, isoxazole, or thiazole nucleus; L = (un)substituted aryl or heteroaryl; R2, R3 = H, halo, C1-6 alkyloxy, or (un)substituted C1-6 alkyl]. Also disclosed is a process for their prepn., compns. comprising them, and their medical use. The compds. show growth inhibitory activity against T cell blasts and keratinocytes in vitro. The compds. are claimed for use in the treatment of prevention of rheumatic, arthritic, and inflammatory diseases, psoriasis, T cell leukemia, transplant rejection, and graft-vs.-host disease. For instance, base-catalyzed cycloaddn. of N-hydroxy-3-pyridinecarboximidoyl chloride with Me 2-propenoate gave 98% Me 4,5-dihydro-3-(3-pyridinyl)-5-isoxazolecarboxylate, which was amidated with (4-aminophenyl)phenylmethanone to give 58% title compd. II. At a concn. of 10-6 M, II gave 81% inhibition of T cell blast formation in human whole blood.

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 13 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1999:64782 CAPLUS
DN 130:139366
TI Preparation of 6-azauracil derivatives as IL-5 biosynthesis inhibitors
IN Lacrampe, Jean Fernand Armand; Freyne, Eddy Jean Edgard; Venet, Marc Gaston; Boeckx, Gustaaf Maria
PA Janssen Pharmaceutica N.V., Belg.
SO PCT Int. Appl., 83 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9902505	A1	19990121	WO 1998-EP4191	19980707

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HR, HU, ID, IL, IS, JP, KE,

KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW,
MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR,
TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
CM, GA, GN, ML, MR, NE, SN, TD, TG

			EP 1997-202118 A	19970710
AU 9889738	A1	19990208	AU 1998-89738	19980707
AU 742145	B2	20011220		
			EP 1997-202118 A	19970710
			WO 1998-EP4191 W	19980707
EP 1000040	A1	20000517	EP 1998-941299	19980707
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
			EP 1997-202118 A	19970710
			WO 1998-EP4191 W	19980707
EE 200000016	A	20001016	EE 2000-20000001619	19980707
			EP 1997-202118 A	19970710
			WO 1998-EP4191 W	19980707
NZ 502180	A	20001124	NZ 1998-502180	19980707
			EP 1997-202118 A	19970710
			WO 1998-EP4191 W	19980707
TW 496865	B	20020801	TW 1998-87111014	19980708
			EP 1997-202118 A	19970710
ZA 9806089	A	20000110	ZA 1998-6089	19980709
			EP 1997-202118 A	19970710
BR 9811678	A	20000919	BR 1998-11678	19980710
			EP 1997-202118 A	19970710
			WO 1998-EP4191 W	19980707
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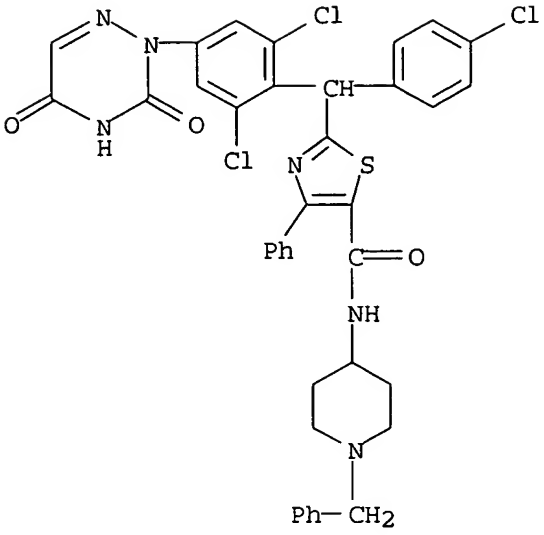
NO 2000000063	A	20000310	NO 2000-63	20000106
			EP 1997-202118 A	19970710
			WO 1998-EP4191 W	19980707
US 2002072603	A1	20020613	US 2001-891888	20010626
			EP 1997-202118 A	19970710
			WO 1998-EP4191 W	19980707
			US 2000-462320 B1	20000105

OS MARPAT 130:139366

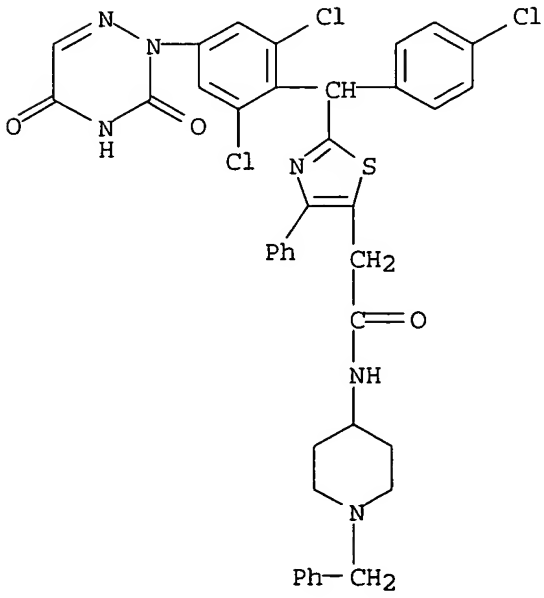
IT **219979-02-9P 219979-22-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 6-azauracil derivs. as IL-5 biosynthesis inhibitors)

RN 219979-02-9 CAPLUS

CN 5-Thiazolecarboxamide, 2-[(4-chlorophenyl)[2,6-dichloro-4-(4,5-dihydro-3,5-dioxo-1,2,4-triazin-2(3H)-yl)phenyl]methyl]-4-phenyl-N-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 219979-22-3 CAPLUS
CN 5-Thiazoleacetamide, 2-[(4-chlorophenyl)[2,6-dichloro-4-(4,5-dihydro-3,5-dioxo-1,2,4-triazin-2(3H)-yl)phenyl]methyl]-4-phenyl-N-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



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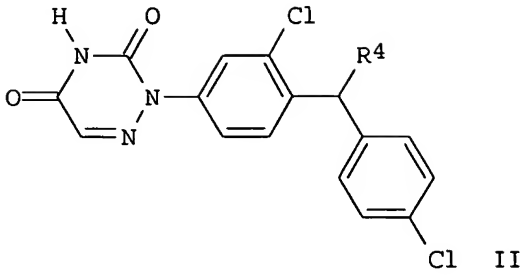


II

AB RZCR1(XR2)R3 [I; R= 3,5-dioxo-1,2,4-triazin-2(3H)-yl; R1 = H, halo, alkyl, alkoxy, etc.; R2 = CONH2, (un)substituted alkyl, (hetero)aryl, etc.; R3 = (un)substituted Ph; X = bond, O, s, (alkyl)imino; Z = (un)substituted phenylene] were prepd. Thus, title compd. II (R4 = Cl) was etherified by Me2CHCH2OH to give II (R4 = OCH2CHMe2). Data for biol. activity of I were given.

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 13 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1994:30773 CAPLUS
DN 120:30773
TI **Oxadiazole** derivatives having acetylcholinesterase-inhibitory and muscarinic receptor agonist activity
IN Takasugi, Hisashi; Kuno, Atsushi; Ohkubo, Mitsuru
PA Fujisawa Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 149 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

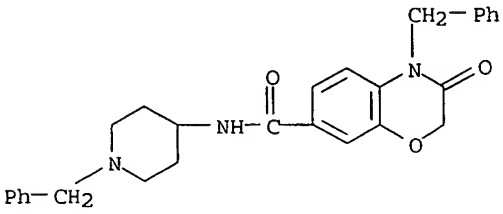
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9313083	A1	19930708	WO 1992-JP1658	19921218
	W: AU, CA, HU, JP, KR, RU, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
				GB 1991-27533	19911231
				GB 1992-20904	19921005
	AU 9331714	A1	19930728	AU 1993-31714	19921218
				GB 1991-27533	19911231
				GB 1992-20904	19921005
				WO 1992-JP1658	19921218
	EP 619814	A1	19941019	EP 1993-900416	19921218
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
				GB 1991-27533	19911231
				GB 1992-20904	19921005
				WO 1992-JP1658	19921218
	JP 07502529	T2	19950316	JP 1992-511547	19921218
				GB 1991-27533	19911231
				GB 1992-20904	19921005
				WO 1992-JP1658	19921218
	US 5622976	A	19970422	US 1994-244904	19940624
				GB 1991-27533	19911231
				GB 1992-20904	19921005
				WO 1992-JP1658	19921218

L6 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1998:631744 CAPLUS
DN 129:310895
TI Benzamide compounds and their use as neovascularization inhibitors
IN Inaba, Takayuki; Tada, Hiroki; Iwamura, Hiroyuki

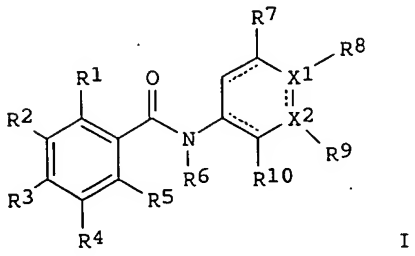
PA Japan Tobacco, Inc., Japan
SO Jpn. Kokai Tokkyo Koho, 106 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 10259176	A2	19980929	JP 1997-84463	19970317
			JP 1997-84463	19970317

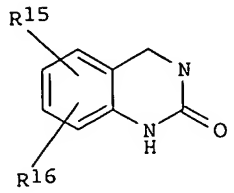
OS MARPAT 129:310895
IT **214846-51-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(Benzamide compds. and their use as neovascularization inhibitors)
RN 214846-51-2 CAPLUS
CN 2H-1,4-Benzoxazine-7-carboxamide, 3,4-dihydro-3-oxo-4-(phenylmethyl)-N-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



GI



I



II

Patel <10/13/2003>

AB The inhibitors contain benzamides I [R1 = H, NO2, halo, cyano, lower alkoxy, NR11R12 (R11, R12 = H, acyl); R2 = H, NO2, halo, OR13 (R13 = lower alkyl, aralkyl, cycloalkyl); R3 = X3(CH2)mR14 [R14 = (un)substituted Ph, (un)substituted heteroaryl, (un)substituted amino, (un)substituted lower alkyl, cycloalkyl, acyl, alkenyl, H; X3 = O, NHCO, OSO2, NR17 (R17 = H, lower alkyl); m = 0-5], II (R15, R16 = H, lower alkoxy, amino, lower alkyl, CO2H, OH); R2 and R3 may be bonded to form a condensed 1,3-**oxazole** ring; R4 = H, OR19 (R19 = lower alkyl, aralkyl, cycloalkyl); R3 and R4 may be bonded to form a condensed 1,3-**oxazole**, 1,4-oxazine, or pyrimidine ring; R5 = H, NO2, alkenyl; NHR28 (R28 = H, acyl, lower alkoxycarbonyl); R6 = H, (un)substituted lower alkyl; R5 and R6 may be bonded to form a condensed pyrimidine, diazepine, or pyridine ring; R7 = H, lower alkoxy; R8 = X4(CH2)tR30 [X4 = O, CH2, CO, CONH, OSO2, SO2NH, NR31 (R31 = H, lower alkyl, aralkyl), direct bond], t = 0-5; R30 = (un)substituted Ph, (un)substituted heteroaryl, (un)substituted amino, H, OH, halo, lower alkyl, lower alkoxy, cycloalkyl, acyl, cyano, CO2R32 (R32 = H, lower alkyl); R9 = H, lower alkoxycarbonyl, halo, OR33 (R33 = H, lower alkyl, aralkyl), CONHR34 (R34 = H, lower alkyl, aralkyl); R7 and R8, R8 and R9 may be bonded to form a 1,3-**oxazole** ring; X1, X2 =X, N; dotted line represents an optional double bond]. I are useful for treatment of rheumatoid arthritis, diabetic retinopathy, neoplasms, etc. IC50 of 4-benzyloxy-N-(4-benzyloxyphenyl)-3-methoxybenzamide (prepn. given) against bFGF- or VEGF-induced proliferation of HUVEC was 0.85 .mu.M.

L10 ANSWER 18 OF 20 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1998:682229 CAPLUS

DN 129:302552
TI Preparation of 1,4-disubstituted cyclic amine derivatives as serotonin antagonists
IN Kitazawa, Noritaka; Ueno, Kohshi; Takahashi, Keiko; Kimura, Teiji; Sasaki, Atsushi; Kawano, Koki; Okabe, Tadashi; Komatsu, Makoto; Matsunaga, Manabu; Kubota, Atsuhiko
PA Eisai Co., Ltd., Japan
SO PCT Int. Appl., 635 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

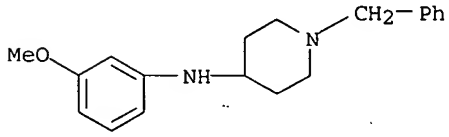
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9843956	A1	19981008	WO 1998-JP1481	19980331
	W: AU, CA, CN, HU, JP, KR, MX, NO, NZ, RU, US				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
				JP 1997-98433 A	19970331
				JP 1997-366764 A	19971226
	AU 9865209	A1	19981022	AU 1998-65209	19980331
	AU 748038	B2	20020530		
				JP 1997-98433 A	19970331
				JP 1997-366764 A	19971226
				WO 1998-JP1481 W	19980331
	ZA 9802707	A	19991020	ZA 1998-2707	19980331
				JP 1997-98433 A	19970331
	EP 976732	A1	20000202	EP 1998-911137	19980331
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
				JP 1997-98433 A	19970331
				JP 1997-366764 A	19971226
				WO 1998-JP1481 W	19980331
	NZ 337651	A	20020426	NZ 1998-337651	19980331
				JP 1997-98433 A	19970331
				JP 1997-366764 A	19971226
				WO 1998-JP1481 W	19980331
	RU 2203275	C2	20030427	RU 1999-123039	19980331
				JP 1997-98433 A	19970331
				JP 1997-366764 A	19971226
				WO 1998-JP1481 W	19980331
	US 6448243	B1	20020910	US 1999-367227	19990811
				JP 1997-98433 A	19970331
				JP 1997-366764 A	19971226
				WO 1998-JP1481 W	19980331
	NO 9904720	A	19991130	NO 1999-4720	19990928
				JP 1997-98433 A	19970331
				JP 1997-366764 A	19971226
				WO 1998-JP1481 W	19980331
	US 2002086999	A1	20020704	US 2001-846259	20010502
				JP 1997-98433 A	19970331
				JP 1997-366764 A	19971226
				WO 1998-JP1481 W	19980331
				US 1999-367227 A3	19990811
	US 2002019531	A1	20020214	US 2001-859517	20010518
	US 6579881	B2	20030617		
				JP 1997-98433 A	19970331
				JP 1997-366764 A	19971226
				WO 1998-JP1481 W	19980331
				US 1999-367227 A3	19990811

OS MARPAT 129:302552

IT **202859-14-1P 214611-21-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of 1,4-disubstituted cyclic amine derivs. as serotonin antagonists)

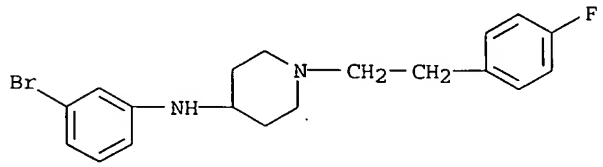
RN 202859-14-1 CAPLUS

CN 4-Piperidinamine, N-(3-methoxyphenyl)-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 214611-21-9 CAPLUS

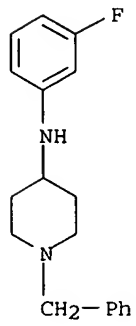
CN 4-Piperidinamine, N-(3-bromophenyl)-1-[2-(4-fluorophenyl)ethyl]- (9CI) (CA INDEX NAME)



IT **131587-28-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of 1,4-disubstituted cyclic amine derivs. as serotonin antagonists)

RN 131587-28-5 CAPLUS

CN 4-Piperidinamine, N-(3-fluorophenyl)-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 13 OF 13 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1994:30773 CAPLUS
DN 120:30773
TI **Oxadiazole** derivatives having acetylcholinesterase-inhibitory and muscarinic receptor agonist activity
IN Takasugi, Hisashi; Kuno, Atsushi; Ohkubo, Mitsuru
PA Fujisawa Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 149 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9313083	A1	19930708	WO 1992-JP1658	19921218
	W: AU, CA, HU, JP, KR, RU, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
				GB 1991-27533	19911231
				GB 1992-20904	19921005
	AU 9331714	A1	19930728	AU 1993-31714	19921218
				GB 1991-27533	19911231
				GB 1992-20904	19921005
				WO 1992-JP1658	19921218
	EP 619814	A1	19941019	EP 1993-900416	19921218
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
				GB 1991-27533	19911231
				GB 1992-20904	19921005
				WO 1992-JP1658	19921218
	JP 07502529	T2	19950316	JP 1992-511547	19921218
				GB 1991-27533	19911231
				GB 1992-20904	19921005
				WO 1992-JP1658	19921218
	US 5622976	A	19970422	US 1994-244904	19940624
				GB 1991-27533	19911231
				GB 1992-20904	19921005
				WO 1992-JP1658	19921218

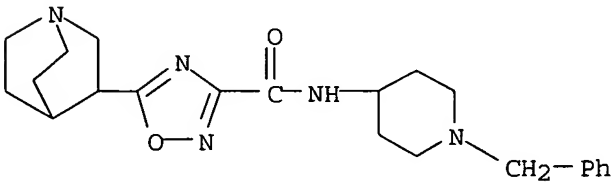
Patel <10/13/2003>

OS MARPAT 120:30773

IT **151097-86-8P 151097-87-9P 151307-60-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and acetylcholineesterase inhibitory and muscarinic receptor agonist activity of)

RN 151097-86-8 CAPLUS

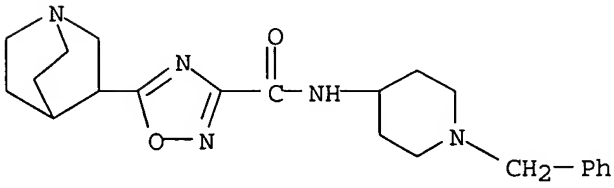
CN 1,2,4-Oxadiazole-3-carboxamide, 5-(1-azabicyclo[2.2.2]oct-3-yl)-N-[1-(phenylmethyl)-4-piperidinyl]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 151097-87-9 CAPLUS

CN 1,2,4-Oxadiazole-3-carboxamide, 5-(1-azabicyclo[2.2.2]oct-3-yl)-N-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

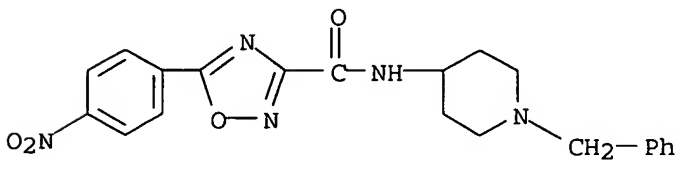


RN 151307-60-7 CAPLUS

CN 1,2,4-Oxadiazole-3-carboxamide, 5-(4-nitrophenyl)-N-[1-(phenylmethyl)-4-piperidinyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 151307-59-4
CMF C21 H21 N5 O4

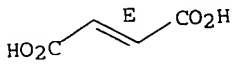


CM 2

10069215.2

CRN 110-17-8
CMF C4 H4 O4

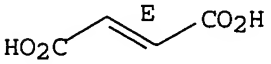
Double bond geometry as shown.



AB The title compds. R1QZXAM [A = direct bond, lower alkylene, lower alkynylene; M = (un)substituted heterocyclic group contg. .gtoreq.1 N atom(s); Q = oxadiazolediyl; R1 = lower alkyl, (un)substituted heterocyclic group, (un)substituted aryl, (un)substituted arylalkyl, (un)substituted aralkenyl; X = direct bond, CONR4, R8CN; R4 = H, alkyl; R8 = HO, protected HO group, CO, NHCO; Z = direct bond, vinyl (sic)], useful for the treatment of central nervous system disorders (e.g., amnesia, Alzheimer's disease, vascular dementia, etc.) mode data, are prepd. Thus, 3-ethoxycarbonyl-5-(quinucilidin-3-yl)-1,2,4-**oxadiazole** and 1-benzyl-4-(2-aminoethyl)piperidine were heated together in soln. at 100.degree. for 2 h and treated with an ethanolic soln. of HCl, producing 5-(quinuclidin-3-yl)-3-[[2-(1-benzylpiperidin-4-yl)ethyl]carbamoyl]-1,2,4-**oxadiazole** dihydrochloride, m.p. 210.degree. (decompn.).

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



AB The title compds. R1QZXAM [A = direct bond, lower alkylene, lower alkynylene; M = (un)substituted heterocyclic group contg. .gtoreq.1 N atom(s); Q = oxadiazolediyl; R1 = lower alkyl, (un)substituted heterocyclic group, (un)substituted aryl, (un)substituted arylalkyl, (un)substituted aralkenyl; X = direct bond, CONR4, R8CN; R4 = H, alkyl; R8 = HO, protected HO group, CO, NHCO; Z = direct bond, vinyl (sic)], useful for the treatment of central nervous system disorders (e.g., amnesia, Alzheimer's disease, vascular dementia, etc.) mode data, are prepd. Thus, 3-ethoxycarbonyl-5-(quinucilidin-3-yl)-1,2,4-**oxadiazole** and 1-benzyl-4-(2-aminoethyl)piperidine were heated together in soln. at 100.degree. for 2 h and treated with an ethanolic soln. of HCl, producing 5-(quinuclidin-3-yl)-3-[[2-(1-benzylpiperidin-4-yl)ethyl]carbamoyl]-1,2,4-**oxadiazole** dihydrochloride, m.p. 210.degree. (decompn.).

=> d l6 fbib hitstr abs total

L6 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2003:319886 CAPLUS
DN 138:338155
TI Preparation of oxadiazolyl-biphenylcarboxamides as p38 kinase inhibitors
IN Angell, Richard Martyn; Bamborough, Paul; Cockerill, George Stuart; Smith, Kathryn Jane; Walker, Ann Louise
PA Glaxo Group Limited, UK
SO PCT Int. Appl., 44 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

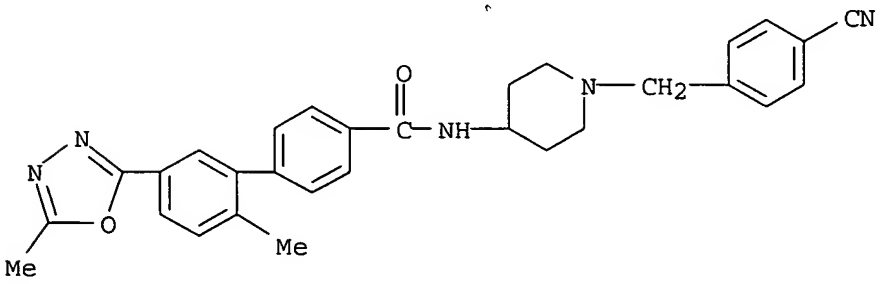
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003033482	A1	20030424	WO 2002-EP11574	20021016

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

GB 2001-24932 A 20011017

OS MARPAT 138:338155
IT **515143-78-9P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of oxadiazolyl-biphenylcarboxamides as p38 kinase inhibitors)
RN 515143-78-9 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-[1-[(4-cyanophenyl)methyl]-4-piperidinyl]-2'-methyl-5'-(5-methyl-1,3,4-oxadiazol-2-yl)- (9CI) (CA INDEX NAME)



GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; X = a bond, (un)substituted Ph; R1 = (un)substituted 5-7 membered heterocyclyl, 5-7 membered heteroaryl, fused bicyclyl; R2 = H, alkyl, (CH2)pcycloalkyl; or when X = a bond and m and n are both zero, NR1R2 = 5-6 membered heterocyclyl optionally contg. one addnl. heteroatom selected from O and N which can be optionally substituted by alkyl; R3 = II (wherein R4 = H, alkyl); U = Me, halo; V, Y = H, Me, halo; m, n = 0-2; m + n = 0-4; p = 0-1; r = 0-2; with the provisos], useful as pharmaceuticals, particularly as p38 kinase inhibitors, were prepd. E.g., a 6-step synthesis of the carboxamide III, starting from 3-bromo-4-methylbenzoic acid, was given.
RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2003:42265 CAPLUS
DN 138:106699
TI Preparation of (indazolyl)benzimidazoles and analogs as tyrosine and serine/threonine kinase inhibitors
IN Renhowe, Paul A.; Shafer, Cynthia M.; McBride, Chris; Silver, Joel; Pecchi, Sabina; Machajewski, Tim; Mccrea, Bill; Poon, Daniel; Thomas, Teresa
PA Chiron Corporation, USA
SO PCT Int. Appl., 435 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003004488	A1	20030116	WO 2002-US20844	20020702

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,

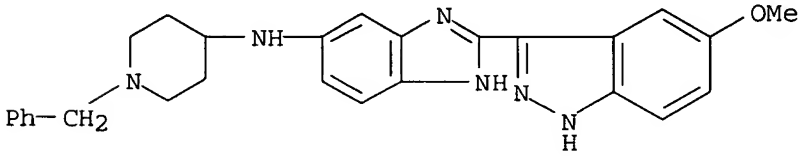
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2001-302791PP 20010703

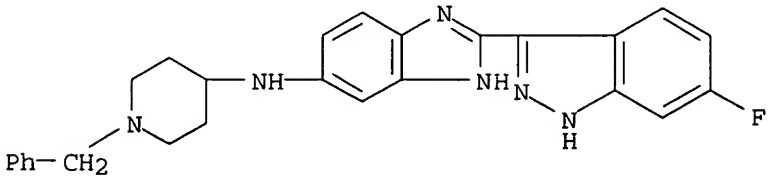
OS MARPAT 138:106699

IT **485837-39-6P**, N-(1-Benzylpiperidin-4-yl)-2-(5-methoxy-1H-indazol-3-yl)-1H-benzimidazol-6-amine **485837-40-9P**, N-(1-Benzylpiperidin-4-yl)-2-(6-fluoro-1H-indazol-3-yl)-1H-benzimidazol-6-amine **485841-19-8P**, N-(1-Benzylpiperidin-4-yl)-3-[6-(1,4'-bipiperidin-1'-yl)-1H-benzimidazol-2-yl]-1H-indazol-5-amine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(kinase inhibitor; prepn. of (indazolyl)benzimidazole kinase inhibitors by cyclizing indazolyl aldehydes or ketones with phenylenediamines)

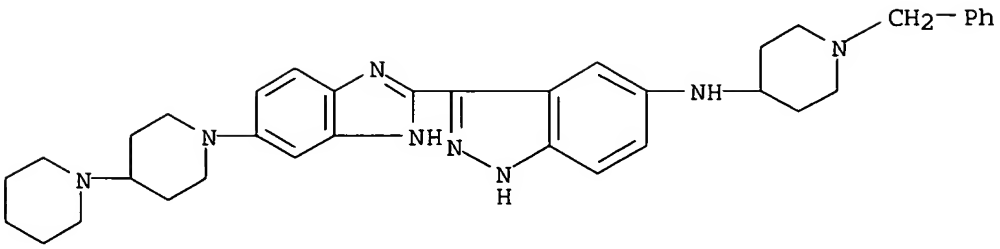
RN 485837-39-6 CAPLUS
CN 1H-Benzimidazol-5-amine, 2-(5-methoxy-1H-indazol-3-yl)-N-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



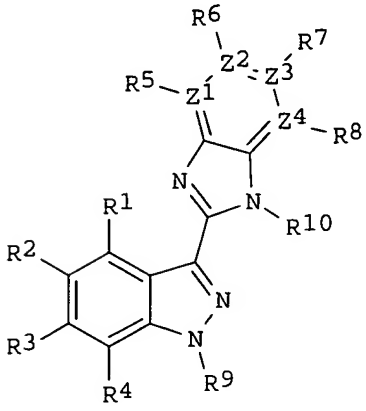
RN 485837-40-9 CAPLUS
CN 1H-Benzimidazol-5-amine, 2-(6-fluoro-1H-indazol-3-yl)-N-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 485841-19-8 CAPLUS
CN 1H-Indazol-5-amine, 3-(5-[1,4'-bipiperidin]-1'-yl-1H-benzimidazol-2-yl)-N-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



GI



I

AB Title compds. I [wherein Z1-Z4 = C independently C or N; R1 = H, F, Cl, or Br; R2 = H, F, Cl, Br, CN, NO2, or (un)substituted CO2H, NH2, CONH2, NHCONH2, etc.; R3 = H, F, Cl, Br, or (un)substituted alkoxy; R4, R9, and R10 = H; R5 and R8 = independently H, F, Cl, or (un)substituted alkyl, alkoxy, NH2, heterocyclyl, etc.; R6 and R7 = independently H, F, Cl, Br, CF3, CO2H, or (un)substituted alkyl, (heterocyclyl)alkoxy, arylalkoxy, alkoxyalkoxy, (heterocyclyl)heterocyclyl, arylheterocyclyl, heterocyclyloxy, aryloxy, NH2, CONH2, etc.; or R5 is absent if Z1 = N; or R6 is absent if Z2 = N; or R7 is absent if Z3 = N; or R8 is absent if Z4 = N; with the proviso that at least one of R1, R2, R3, R5, R6, R7, or R8 .noteq. H; and tautomers and pharmaceutically acceptable salts thereof] were prepd. as tyrosine and serine/threonine kinase inhibitors. For example, dimerization of indazole-3-carboxylic acid with PO3 followed by addn. of 1,2-phenylenediamine in toluene gave 3-(1H-benzimidazol-2-yl)-1H-indazole. Seven hundred twenty-eight exemplary compds. were assays for serine/threonine kinase activity in vitro, and the majority displayed an IC50 value of less than 10 .mu.M with respect to VEGFR1, Flk-1, bFGF, Tie-2, CHK-1, cdc2, GSK-3, NEK-2, and PDGF.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2001:115087 CAPLUS
DN 134:163028
TI Solid phase synthesis of **oxazoles** and thiazoles

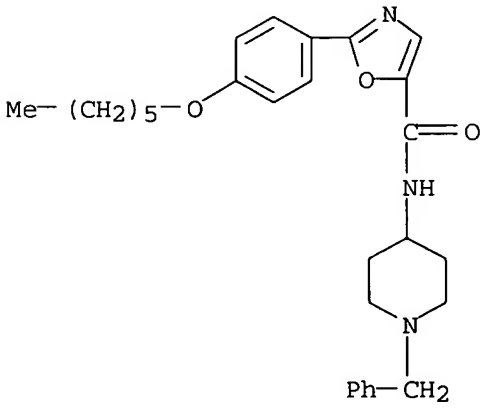
IN Bunin, Barry A.; Tushup, Steven P.
PA ChemRx Advanced Technologies, Inc., USA
SO PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001010798	A1	20010215	WO 2000-US21051	20000802

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 1999-147451PP 19990804

OS CASREACT 134:163028; MARPAT 134:163028
IT **325709-13-5P**
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(solid phase synthesis of **oxazoles** and thiazoles)
RN 325709-13-5 CAPLUS
CN 5-Oxazolecarboxamide, 2-[4-(hexyloxy)phenyl]-N-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



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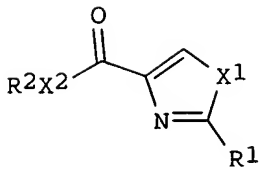

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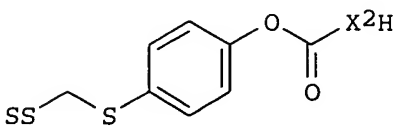


II

AB Title compds. [I; X1 = O, S; R1 = R3, NR3R4, NR3C(:NR4)NR3R4, NR3CONR3R4; R3 = alkyl, (substituted) aryl(alkyl), polycycloaryl(alkyl), heateroaryl(alkyl), etc.; R4 = H, alkyl; X2 = piperidinyl, pyrrolidinyl, CHR8NR7, C6H4CH2NR7; R7 = H, alkyl; R8 = H, (substituted) alkyl, cycloalkylalkyl, heterocycloalkylalkyl, aralkyl, heteroarylalkyl, polycycloaryl(alkyl), heteropolycycloarylalkyl; R2 = CH2OH, CONR9R10, CO2R11; R9-R11 = H, alkyl, cycloalkylalkyl, heterocyclylalkyl, aryl(alkyl), heteroaryl(alkyl), polycycloaryl(alkyl), heteropolycyclo(alkyl), etc.], and arrays thereof were prepd. by (1) treatment of 4-(SSCH2S)C6H4O2CX2H (SS = solid support; X2 as above) with I (R2X2 = OH) to give supported intermediates (II; variables as above), and (2) treatment of II or arrays thereof with reducing agents, amines, or alcs. Thus, II [SS = Merrifield resin; X2 = CH(CH2Ph)NH] was swelled in CH2Cl2 and added to a mixt. of 2-p-tolyloxazole-4-carboxylic acid and DIC in CH2Cl2 followed by stirring, addn. of dimethylaminopyridine, and stirring for 15 h to give functionalized resin. This was suspended in dioxane and stirred 10-24 h with BuNH2 to give 2-p-tolyl-4-carboxylic acid (1-butylcarbamoyl-2-phenylethyl)amide.

RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2000:227639 CAPLUS
DN 132:251141
TI Preparation of **oxazole** compounds as prostaglandin E2 agonists or antagonists
IN Hattori, Kouji; Tanaka, Akira; Kono, Yutaka; Nakazato, Shoko
PA Fujisawa Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 121 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000018744	A1	20000406	WO 1999-JP5212	19990924
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
				AU 1998-6176	A 19980925
				AU 1999-9822	A 19990419
	CA 2345474	AA	20000406	CA 1999-2345474	19990924
				AU 1998-6176	A 19980925
				AU 1999-9822	A 19990419
				WO 1999-JP5212	W 19990924
	AU 9957590	A1	20000417	AU 1999-57590	19990924
				AU 1998-6176	A 19980925
				AU 1999-9822	A 19990419
				WO 1999-JP5212	W 19990924
	BR 9914451	A	20010522	BR 1999-14451	19990924
				AU 1998-6176	A 19980925
				AU 1999-9822	A 19990419

			WO 1999-JP5212	W 19990924
EP 1115712	A1	20010718	EP 1999-944806	19990924
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
			AU 1998-6176	A 19980925
			AU 1999-9822	A 19990419
			WO 1999-JP5212	W 19990924
JP 2002525361	T2	20020813	JP 2000-572204	19990924
			AU 1998-6176	A 19980925
			AU 1999-9822	A 19990419
			WO 1999-JP5212	W 19990924
US 6437146	B1	20020820	US 2001-787433	20010420
			AU 1998-6176	A 19980925
			AU 1999-9822	A 19990419
			WO 1999-JP5212	W 19990924

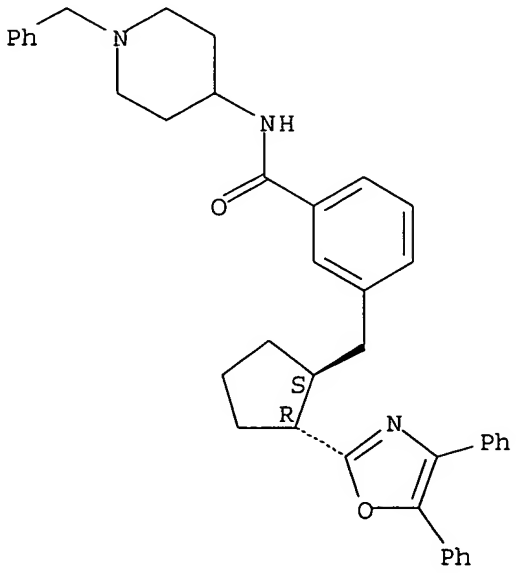
OS MARPAT 132:251141

IT **262594-98-9P 262595-76-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of **oxazole** compds. as prostaglandin E2 agonists or antagonists)

RN 262594-98-9 CAPLUS

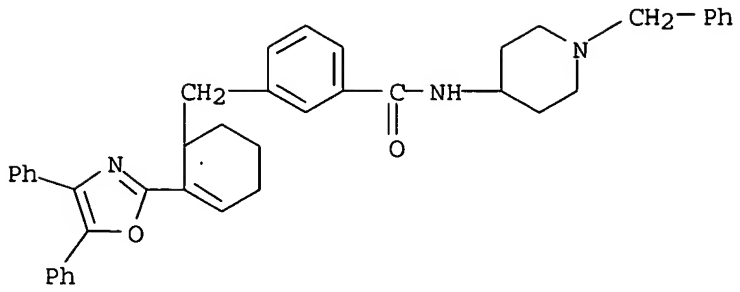
CN Benzamide, 3-[[(1S,2R)-2-(4,5-diphenyl-2-oxazolyl)cyclopentyl]methyl]-N-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

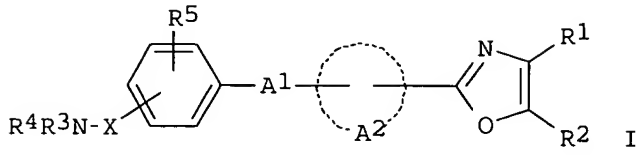


RN 262595-76-6 CAPLUS

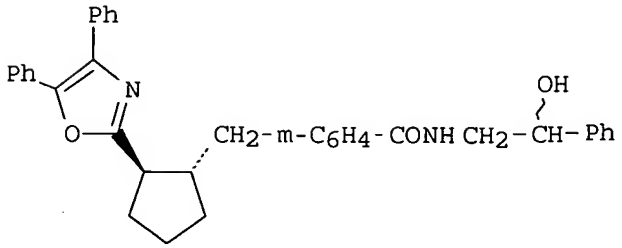
CN Benzamide, 3-[[2-(4,5-diphenyl-2-oxazolyl)-2-cyclohexen-1-yl]methyl]-N-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



GI



I



II

AB **Oxazole** compds. of formula I [R1 = aryl which may be substituted with halogen(s); R2 = aryl which may be substituted with halogen(s), X = single bond, or SO2, R3, R4 = H or suitable substituent, (wherein X is neither R3 nor R4 is hydrogen), R3 and R4 may be linked together to form an N-contg. heterocyclic group which may be substituted with one or more suitable substituent(s), R5 = H, etc., A1 = lower alkylene or single bond, A2 = cyclo(C3-C9)alkane or cyclo(C5-C9)alkene] or a pro-drug thereof, or a pharmaceutically acceptable salt thereof, which are useful as medicament. Biol. data for compd. II is given.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

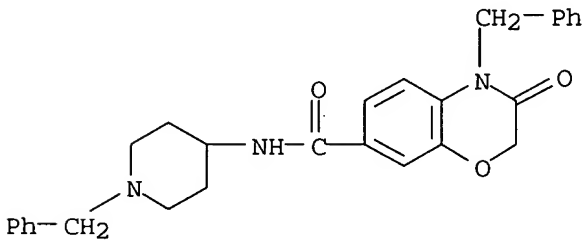
L6 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1998:631744 CAPLUS
DN 129:310895
TI Benzamide compounds and their use as neovascularization inhibitors
IN Inaba, Takayuki; Tada, Hiroki; Iwamura, Hiroyuki

L6 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1998:631744 CAPLUS
DN 129:310895
TI Benzamide compounds and their use as neovascularization inhibitors
IN Inaba, Takayuki; Tada, Hiroki; Iwamura, Hiroyuki

PA Japan Tobacco, Inc., Japan
SO Jpn. Kokai Tokkyo Koho, 106 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 10259176	A2	19980929	JP 1997-84463	19970317
			JP 1997-84463	19970317

OS MARPAT 129:310895
IT **214846-51-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(Benzamide compds. and their use as neovascularization inhibitors)
RN 214846-51-2 CAPLUS
CN 2H-1,4-Benzoxazine-7-carboxamide, 3,4-dihydro-3-oxo-4-(phenylmethyl)-N-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



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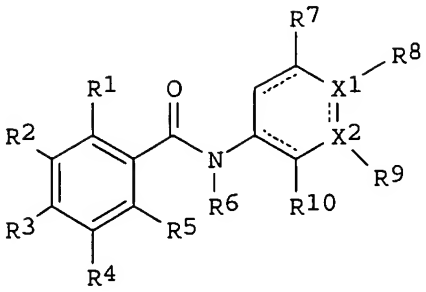

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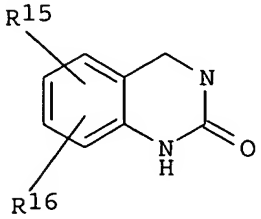


II

AB The inhibitors contain benzamides I [R1 = H, NO2, halo, cyano, lower alkoxy, NR11R12 (R11, R12 = H, acyl); R2 = H, NO2, halo, OR13 (R13 = lower alkyl, aralkyl, cycloalkyl); R3 = X3(CH2)mR14 [R14 = (un)substituted Ph, (un)substituted heteroaryl, (un)substituted amino, (un)substituted lower alkyl, cycloalkyl, acyl, alkenyl, H; X3 = O, NHCO, OSO2, NR17 (R17 = H, lower alkyl); m = 0-5], II (R15, R16 = H, lower alkoxy, amino, lower alkyl, CO2H, OH); R2 and R3 may be bonded to form a condensed 1,3-**oxazole** ring; R4 = H, OR19 (R19 = lower alkyl, aralkyl, cycloalkyl); R3 and R4 may be bonded to form a condensed 1,3-**oxazole**, 1,4-oxazine, or pyrimidine ring; R5 = H, NO2, alkenyl; NHR28 (R28 = H, acyl, lower alkoxycarbonyl); R6 = H, (un)substituted lower alkyl; R5 and R6 may be bonded to form a condensed pyrimidine, diazepine, or pyridine ring; R7 = H, lower alkoxy; R8 = X4(CH2)tR30 [X4 = O, CH2, CO, CONH, OSO2, SO2NH, NR31 (R31 = H, lower alkyl, aralkyl), direct bond], t = 0-5; R30 = (un)substituted Ph, (un)substituted heteroaryl, (un)substituted amino, H, OH, halo, lower alkyl, lower alkoxy, cycloalkyl, acyl, cyano, CO2R32 (R32 = H, lower alkyl); R9 = H, lower alkoxycarbonyl, halo, OR33 (R33 = H, lower alkyl, aralkyl), CONHR34 (R34 = H, lower alkyl, aralkyl); R7 and R8, R8 and R9 may be bonded to form a 1,3-**oxazole** ring; X1, X2 =X, N; dotted line represents an optional double bond]. I are useful for treatment of rheumatoid arthritis, diabetic retinopathy, neoplasms, etc. IC50 of 4-benzyloxy-N-(4-benzyloxyphenyl)-3-methoxybenzamide (prepn. given) against bFGF- or VEGF-induced proliferation of HUVEC was 0.85 .mu.M.

AB The inhibitors contain benzamides I [R1 = H, NO2, halo, cyano, lower alkoxy, NR11R12 (R11, R12 = H, acyl); R2 = H, NO2, halo, OR13 (R13 = lower alkyl, aralkyl, cycloalkyl); R3 = X3(CH2)mR14 [R14 = (un)substituted Ph, (un)substituted heteroaryl, (un)substituted amino, (un)substituted lower alkyl, cycloalkyl, acyl, alkenyl, H; X3 = O, NHCO, OSO2, NR17 (R17 = H, lower alkyl); m = 0-5], II (R15, R16 = H, lower alkoxy, amino, lower alkyl, CO2H, OH); R2 and R3 may be bonded to form a condensed 1,3-**oxazole** ring; R4 = H, OR19 (R19 = lower alkyl, aralkyl, cycloalkyl); R3 and R4 may be bonded to form a condensed 1,3-**oxazole**, 1,4-oxazine, or pyrimidine ring; R5 = H, NO2, alkenyl; NHR28 (R28 = H, acyl, lower alkoxycarbonyl); R6 = H, (un)substituted lower alkyl; R5 and R6 may be bonded to form a condensed pyrimidine, diazepine, or pyridine ring; R7 = H, lower alkoxy; R8 = X4(CH2)tR30 [X4 = O, CH2, CO, CONH, OSO2, SO2NH, NR31 (R31 = H, lower alkyl, aralkyl), direct bond], t = 0-5; R30 = (un)substituted Ph, (un)substituted heteroaryl, (un)substituted amino, H, OH, halo, lower alkyl, lower alkoxy, cycloalkyl, acyl, cyano, CO2R32 (R32 = H, lower alkyl); R9 = H, lower alkoxycarbonyl, halo, OR33 (R33 = H, lower alkyl, aralkyl), CONHR34 (R34 = H, lower alkyl, aralkyl); R7 and R8, R8 and R9 may be bonded to form a 1,3-**oxazole** ring; X1, X2 =X, N; dotted line represents an optional double bond]. I are useful for treatment of rheumatoid arthritis, diabetic retinopathy, neoplasms, etc. IC50 of 4-benzyloxy-N-(4-benzyloxyphenyl)-3-methoxybenzamide (prepn. given) against bFGF- or VEGF-induced proliferation of HUVEC was 0.85 .mu.M.

L6 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1992:255611 CAPLUS
DN 116:255611
TI Preparation of oxazolyl derivatives
IN Janssens, Frans Eduard; Sommen, Francois Maria; Dierckx, Ann Christina Joannes; Cooymans, Ludwig Paul
PA Janssen Pharmaceutica N. V., Belg.
SO PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9201687	A1	19920206	WO 1991-EP1291	19910709
	W: AU, BB, BG, BR, CA, FI, HU, JP, KP, KR, LK, MC, MG, NO, PL, RO, SU				
	RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GN, GR, IT, LU, ML, MR, NL, SE, SN, TD, TG				
				US 1990-554326 A	19900719
	US 5217980	A	19930608	US 1991-723862	19910701
				US 1990-554326 B2	19900719
	AU 9182141	A1	19920218	AU 1991-82141	19910709
	AU 644202	B2	19931202		
				US 1990-554326 A	19900719
				WO 1991-EP1291 A	19910709
	EP 539421	A1	19930505	EP 1991-912700	19910709
	EP 539421	B1	19980923		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
				US 1990-554326 A	19900719
				WO 1991-EP1291 W	19910709
	JP 05508839	T2	19931209	JP 1991-511644	19910709
	JP 3070951	B2	20000731		

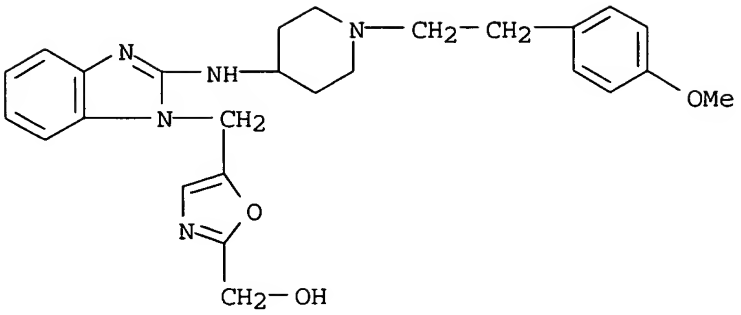
			US 1990-554326 A 19900719
			WO 1991-EP1291 W 19910709
HU 64340	A2	19931228	HU 1993-97 19910709
			US 1990-554326 A 19900719
RU 2059636	C1	19960510	RU 1992-16607 19910709
			US 1990-554326 A 19900719
			WO 1991-EP1291 W 19910709
PL 169361	B1	19960731	PL 1991-297611 19910709
			US 1990-554326 A 19900719
			WO 1991-EP1291 W 19910709
RO 111768	B1	19970130	RO 1946-93000 19910709
			US 1990-554326 A 19900719
			WO 1991-EP1291 W 19910709
RO 111768	B1	19970130	RO 1993-46 19910709
			US 1990-554326 A 19900719
			WO 1991-EP1291 W 19910709
AT 171449	E	19981015	AT 1991-912700 19910709
			US 1990-554326 A 19900719
ES 2121784	T3	19981216	ES 1991-912700 19910709
			US 1990-554326 A 19900719
IL 98864	A1	19951208	IL 1991-98864 19910717
			US 1990-554326 A 19900719
ZA 9105653	A	19930331	ZA 1991-5653 19910718
			US 1990-554326 A 19900719
CZ 279344	B6	19950412	CZ 1991-2240 19910718
			US 1990-554326 A 19900719
SK 278133	B6	19960207	SK 1991-2240 19910718
			US 1990-554326 A 19900719
CN 1058215	A	19920129	CN 1991-104902 19910719
CN 1043640	B	19990616	
			US 1990-554326 A 19900719
NO 9300156	A	19930118	NO 1993-156 19930118
			US 1990-554326 A 19900719
			WO 1991-EP1291 W 19910709
US 5278165	A	19940111	US 1993-35854 19930323
			US 1990-554326 B2 19900719
			US 1991-723862 A3 19910701

OS MARPAT 116:255611

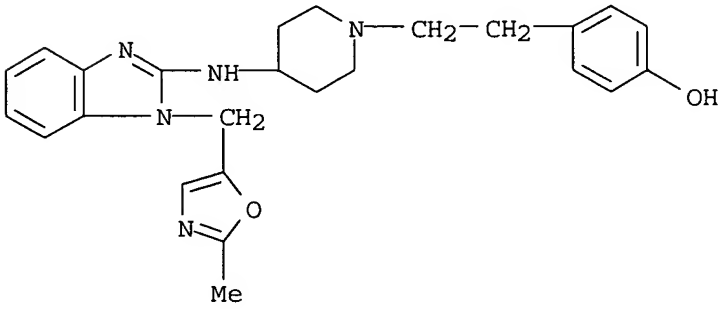
IT **141567-66-0P 141567-90-0P 141567-91-1P**
141568-16-3P 141568-38-9P 141568-88-9P
141568-95-8P 141569-03-1P 141569-11-1P
141569-15-5P 141569-44-0P 141569-52-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as antiallergic)

RN 141567-66-0 CAPLUS

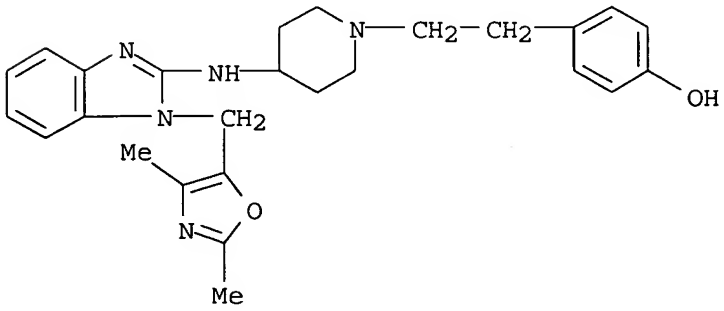
CN 2-Oxazolemethanol, 5-[[2-[[1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl]amino]-1H-benzimidazol-1-yl]methyl]- (9CI) (CA INDEX NAME)



RN 141567-90-0 CAPLUS
CN Phenol, 4-[2-[4-[[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

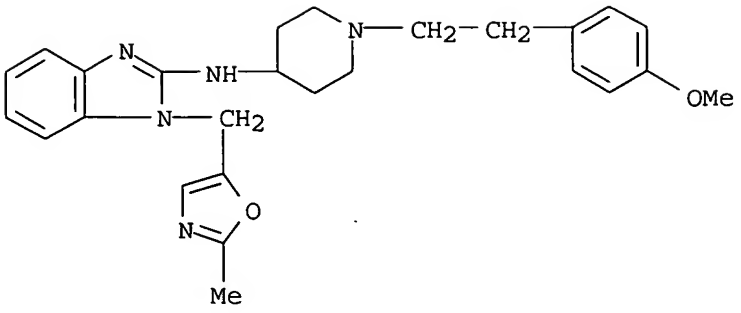


RN 141567-91-1 CAPLUS
CN Phenol, 4-[2-[4-[[1-[(2,4-dimethyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-, trihydrobromide (9CI) (CA INDEX NAME)

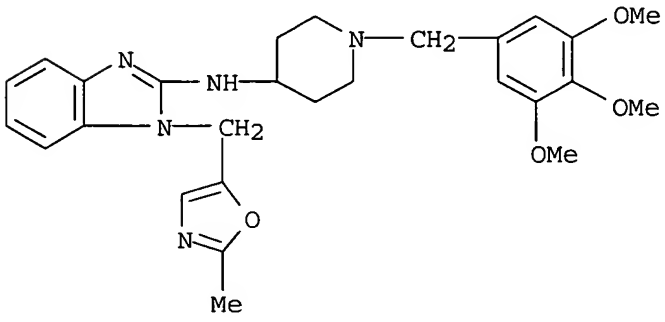


●3 HBr

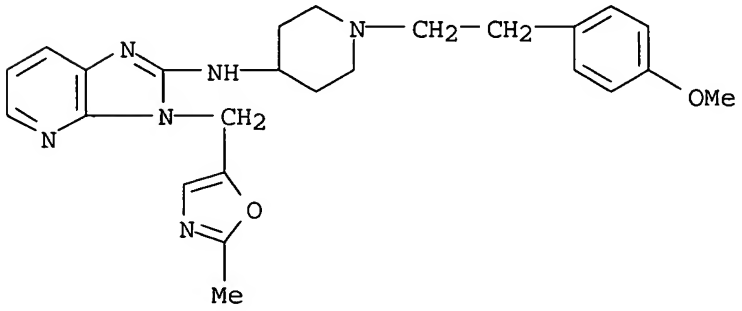
RN 141568-16-3 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl]-1-[(2-methyl-5-oxazolyl)methyl]- (9CI) (CA INDEX NAME)



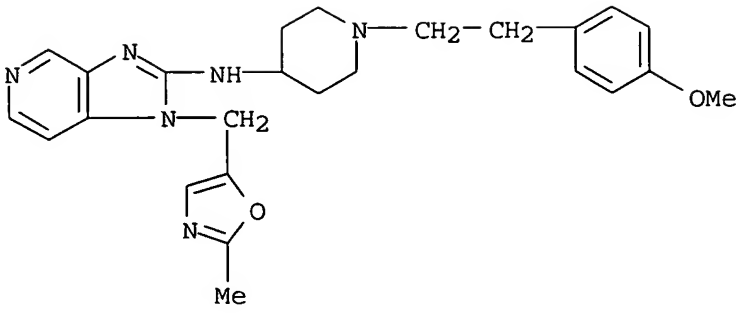
RN 141568-38-9 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[(2-methyl-5-oxazolyl)methyl]-N-[1-[(3,4,5-trimethoxyphenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



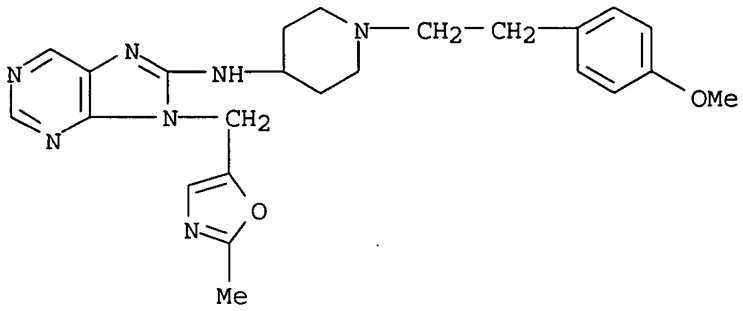
RN 141568-88-9 CAPLUS
CN 3H-Imidazo[4,5-b]pyridin-2-amine, N-[1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl]-3-[(2-methyl-5-oxazolyl)methyl]- (9CI) (CA INDEX NAME)



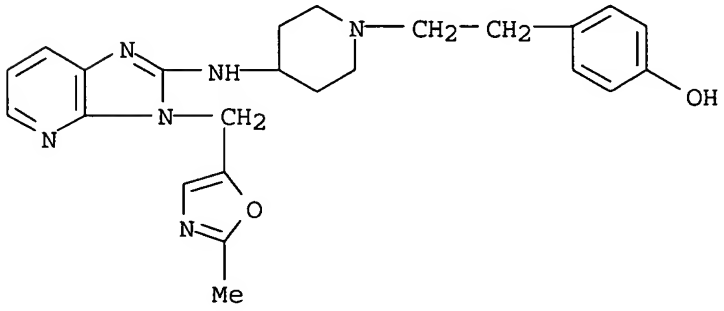
RN 141568-95-8 CAPLUS
CN 1H-Imidazo[4,5-c]pyridin-2-amine, N-[1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl]-1-[(2-methyl-5-oxazolyl)methyl]- (9CI) (CA INDEX NAME)



RN 141569-03-1 CAPLUS
CN 9H-Purin-8-amine, N-[1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl]-9-[(2-methyl-5-oxazolyl)methyl]- (9CI) (CA INDEX NAME)



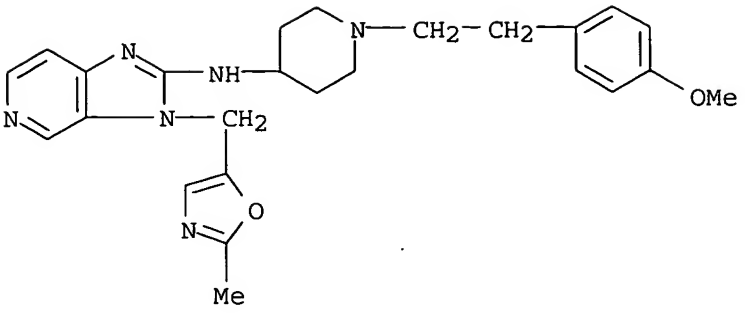
RN 141569-11-1 CAPLUS
CN Phenol, 4-[2-[4-[[3-[(2-methyl-5-oxazolyl)methyl]-3H-imidazo[4,5-b]pyridin-2-yl]amino]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 141569-15-5 CAPLUS
CN 3H-Imidazo[4,5-c]pyridin-2-amine, N-[1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl]-3-[(2-methyl-5-oxazolyl)methyl]-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

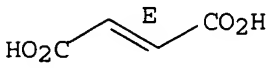
CRN 141569-14-4
CMF C25 H30 N6 O2



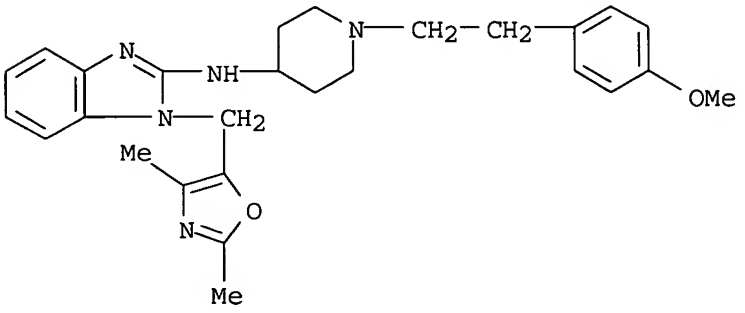
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



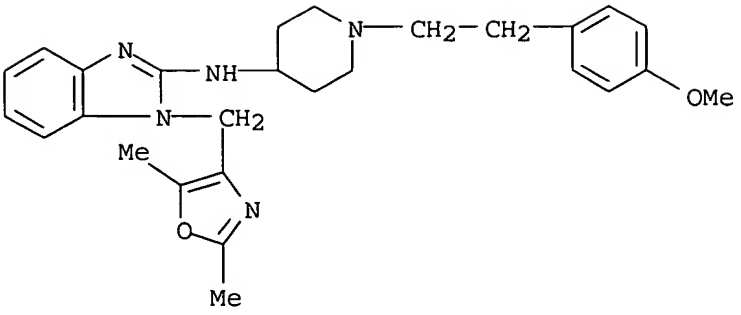
RN 141569-44-0 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[(2,4-dimethyl-5-oxazolyl)methyl]-N-[1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 141569-52-0 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[(2,5-dimethyl-4-oxazolyl)methyl]-N-[1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl]-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

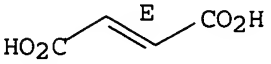
CRN 141569-51-9
CMF C27 H33 N5 O2



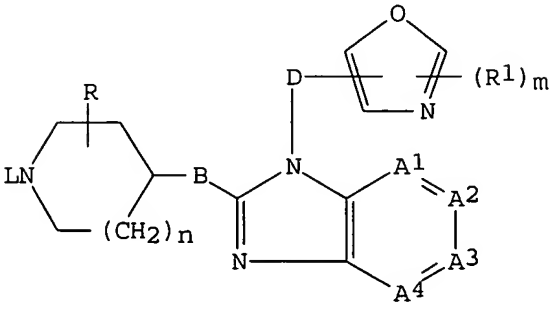
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



GI



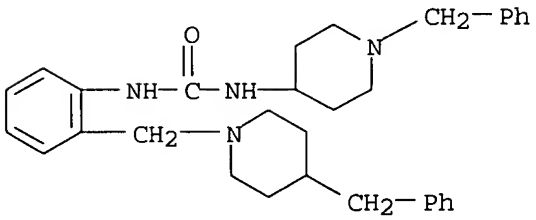
I

AB Title compds. I (A1-A4 = CH:CHCH:CH, N:CHCH:CH, CH:NCH:CH, CH:CHN:CH, CH:CHCH:N, N:CHN:CH, CH:NCH:N wherein 1 or 2 H may be replaced by halo, C1-6 alkyl, C1-6 alkoxy, HO, F3C; R = H, C1-4 alkyl; R1 = H, C1-6 alkyl, HO-C1-6-alkyl; D = C1-4 alkanediyl; B = R2N wherein R2 = H, C1-4 alkyl, H2C, O, S, SO, SO2; L = H, C1-12 alkyl, C3-6 cycloalkyl, (aryl) C3-6 alkenyl, C1-6 alkylcarbonyl, C1-6 alkoxycarbonl, arylcarbonyl, etc.; m = 1,2; n = 0-2), stereoisomer or salt thereof, useful as antiallergic (no data), are prepd. 5-(Bromomethyl)-2-methyloxazole, Et, 4-[(1H-benzimidazol-2-yl)amino]-1-piperidinecarboxylate, Na2CO3 and DMF were stirred for 18 h at 80.degree. to give after work-up I [A1-A4 = CH:CHCH:CH, R = H, (R1)m = 2-Me, D = H2C, B = NH, L = EtO2C, n = 1].

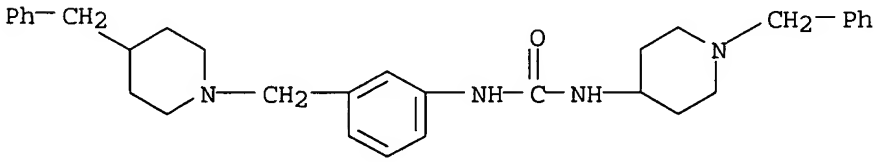
=> d l9 fbib hitstr abs total

L9 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2002:515125 CAPLUS
DN 137:210415
TI Discovery and structure-activity relationship of N-(ureidoalkyl)-benzyl-piperidines as potent small molecule CC **chemokine** receptor-3 (**CCR3**) antagonists
AU De Lucca, George V.; Kim, Ui T.; Johnson, Curt; Vargo, Brian J.; Welch, Patricia K.; Covington, Maryanne; Davies, Paul; Solomon, Kimberly A.; Newton, Robert C.; Trainor, George L.; Decicco, Carl P.; Ko, Soo S.
CS Experimental Station, Bristol-Myers Squibb Company, Wilmington, DE, 19880-0336, USA
SO Journal of Medicinal Chemistry (2002), 45(17), 3794-3804
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
IT **275810-47-4P 275810-58-7P**
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(discovery and structure-activity relationship of N-(ureidoalkyl)benzylpiperidines as **CCR3** receptors antagonists)
RN 275810-47-4 CAPLUS
CN Urea, N-[1-(phenylmethyl)-4-piperidinyl]-N'-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



RN 275810-58-7 CAPLUS
CN Urea, N-[1-(phenylmethyl)-4-piperidinyl]-N'-[3-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



AB Structure-activity relationship (SAR) studies of initial screening hits from our corporate library of compds. and a structurally related series of **CCR1** receptor antagonists were used to det. that an N-(alkyl)benzylpiperidine is an essential pharmacophore for selective **CCR3** antagonists. Further SAR studies that introduced N-(ureidoalkyl) substituents improved the binding potency of these compds. from the micromolar to the low nanomolar range. This new series of compds. also displays highly potent, in vitro functional **CCR3** -mediated antagonism of eotaxin-induced Ca2+ mobilization and chemotaxis of human eosinophils.

RE.CNT 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d l11 fbib hitstr abs total

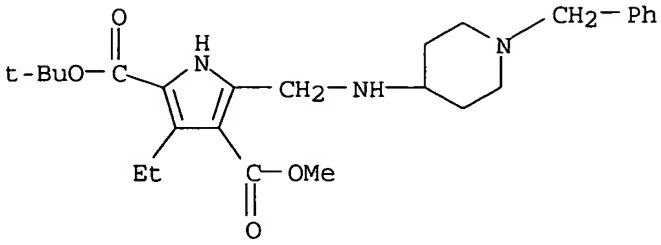
L11 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2003:335076 CAPLUS
DN 138:353831
TI Preparation of 2-carboxypyrroles as tyrosine kinase inhibitors
IN Trotter, B. Wesley; Bell, Ian M.; Zartman, C. Blair; Lindsley, Craig; Zhao, Zhijian
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 208 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003035615	A2	20030501	WO 2002-US33920	20021021

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2001-343119PP 20011025

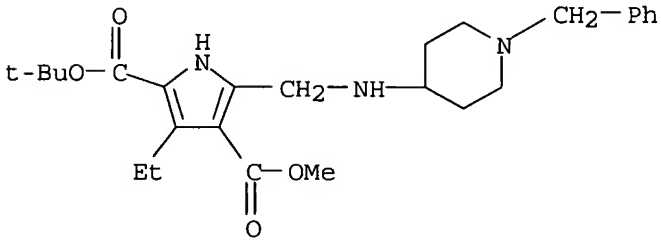
OS MARPAT 138:353831
IT **518067-63-5P**, 2-tert-Butoxycarbonyl-4-methoxycarbonyl-5-[[(1-benzylpiperidin-4-yl)amino]methyl]-3-ethyl-1H-pyrrole **518067-64-6P**, 2-tert-Butoxycarbonyl-4-methoxycarbonyl-5-[[(1-benzylpiperidin-4-yl)amino]methyl]-3-ethyl-1H-pyrrole trifluoroacetate
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(tyrosine kinase inhibitor; prepn. of carboxypyrroles as tyrosine kinase inhibitors for treatment cancer, diabetes, autoimmune disorders, hyperproliferation disorders, aging, acromegaly, and Crohn's disease)
RN 518067-63-5 CAPLUS
CN 1H-Pyrrole-2,4-dicarboxylic acid, 3-ethyl-5-[[[1-(phenylmethyl)-4-piperidinyl]amino]methyl]-, 2-(1,1-dimethylethyl) 4-methyl ester (9CI) (CA INDEX NAME)



RN 518067-64-6 CAPLUS
CN 1H-Pyrrole-2,4-dicarboxylic acid, 3-ethyl-5-[[[1-(phenylmethyl)-4-piperidinyl]amino]methyl]-, 2-(1,1-dimethylethyl) 4-methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

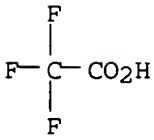
CM 1

CRN 518067-63-5
CMF C26 H37 N3 O4

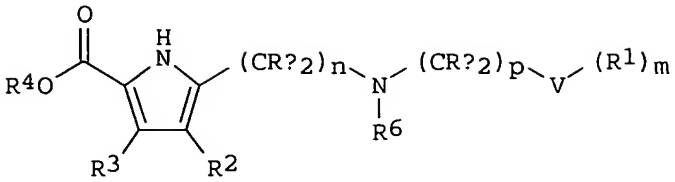


CM 2

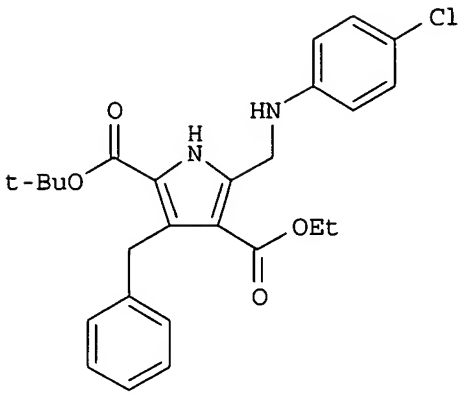
CRN 76-05-1
CMF C2 H F3 O2



GI



I

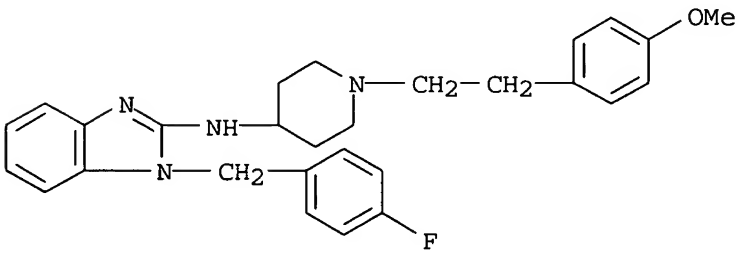


II

AB Title compds. I [wherein V = (cyclo)alkyl, aryl, heterocyclyl, or CO; Ra and Rb = independently H, OR7, or (un)substituted alkyl, aryl, or heterocyclyl; R1 = independently H, halo, OR7, COR7, CO2R7, CON(R6)2, N(R7)2, SO2N(R5)2, or (un)substituted (cyclo)alkyl, aryl, or heterocyclyl; R2 = CO2R7, (CRb2)nN(R7)2, CON(R7)2, CONR7OR7, CONH(CRb2)qR7, CONR7NHCOR7, CONR7SO2OR7, (CRb2)nOR7, CONH(CRb2)qCON(R7)2, or (un)substituted alkyl or aryl; R3 and R7 = independently H or (un)substituted alkyl, aralkyl, aryl, or heterocyclyl(alkyl); R4 = (un)substituted alkyl, aryl, aralkyl, or heterocyclyl; R5 = independently H or (un)substituted alkyl, aryl, or heterocyclyl; R6 = independently H, OR7, or (un)substituted alkyl, aralkyl, aryl, or heterocyclyl(alkyl); m = 0-6; n = 0-6; p = 0-6; q = 0-5; and pharmaceutically acceptable salts or stereoisomers thereof] were prepd. for inhibiting, modulating, and/or regulating signal transduction of both receptor type and non-receptor type tyrosine kinases. For example, addn. of PhCH2COCl to Meldrum's acid and subsequent treatment with t-BuOH gave tert-Bu 3-oxo-4-phenylbutanoate (no data). Cyclization with NaNO2 and Et 3-oxobutanoate in the presence of Zn and NH4OAc, followed by oxidn. and reductive addn. of 4-chloroaniline provided II. Compds. of the invention inhibited insulin-like growth factor I receptor (IGF-1R) or insulin receptor (IR) kinase activity with IC50 values of .ltoreq.100 .mu.M. Thus, I are useful for the treatment of protein kinase related disorders, such as cancer, diabetes, autoimmune disorders, hyperproliferation disorders, aging, acromegaly, and Crohn's disease (no data).

L11 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2003:331563 CAPLUS
DN 139:32012
TI Predicting the Genotoxicity of Secondary and Aromatic Amines Using Data Subsetting To Generate a Model Ensemble
AU Mattioni, Brian E.; Kauffman, Gregory W.; Jurs, Peter C.; Custer, Laura L.; Durham, Stephen K.; Pearl, Greg M.
CS Department of Chemistry, The Pennsylvania State University, University

Park, PA, 16802, USA
SO Journal of Chemical Information and Computer Sciences (2003), 43(3), 949-963
CODEN: JCISD8; ISSN: 0095-2338
PB American Chemical Society
DT Journal
LA English
IT **68844-77-9**, Astemizole
RL: ADV (Adverse effect, including toxicity); PRP (Properties); BIOL (Biological study)
(predicting genotoxicity of secondary and arom. amines using genetic algorithm search engine for data subsetting to generate model ensembles based on various mol. descriptors)
RN 68844-77-9 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[(4-fluorophenyl)methyl]-N-[1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



AB Binary quant. structure-activity relationship (QSAR) models are developed to classify a data set of 334 arom. and secondary amine compds. as genotoxic or nongenotoxic based on information calcd. solely from chem. structure. Genotoxic endpoints for each compd. were detd. using the SOS Chromotest in both the presence and absence of an S9 rat liver homogenate. Compds. were considered genotoxic if assay results indicated a pos. genotoxicity hit for either the S9 inactivated or S9 activated assay. Each compd. in the data set was encoded through the calcn. of numerical descriptors that describe various aspects of chem. structure (e.g. topol., geometric, electronic, polar surface area). Furthermore, five addnl. descriptors that focused on the secondary and arom. nitrogen atoms in each mol. were calcd. specifically for this study. Descriptor subsets were examd. using a genetic algorithm search engine interfaced with a k-Nearest Neighbor fitness evaluator to find the most information-rich subsets, which ultimately served as the final predictive models. Models were chosen for their ability to minimize the total no. of misclassifications, with special attention given to those models that possessed fewer occurrences of pos. toxicity hits being misclassified as nontoxic (false negatives). In addn., a subsetting procedure was used to form an ensemble of models using different combinations of compds. in the training and prediction sets. This was done to ensure that consistent results could be obtained regardless of training set compn. The procedure also allowed for each compd. to be externally validated three times by different training set data with the resultant predictions being used in a "majority rules" voting scheme to produce a consensus prediction for each member of the data set. The individual models produced an av. training set classification rate of 71.6% and an av. prediction set classification rate of 67.7%. However, the model ensemble was able to correctly classify the genotoxicity of 72.2% of all prediction set compds.

RE.CNT 83 THERE ARE 83 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 3 OF 15 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2003:98039 CAPLUS
DN 138:153534
TI Preparation of benzimidazolyl-substituted quinolinone derivatives and analogs, with inhibitory action against vascular endothelial growth factor receptor tyrosine kinase, and useful as anticancer agents
IN Renhowe, Paul A.; Pecchi, Sabina; Machajewski, Timothy D.; Shafer, Cynthia M.; Taylor, Clarke; McCrea, William R.; McBride, Christopher; Jazan, Elisa
PA Chiron Coporation, USA
SO U.S. Pat. Appl. Publ., 69 pp., Cont.-in-part of U.S. Pat. Appl. 2002 107,392.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2003028018	A1	20030206	US 2002-116117	20020405
				US 2000-232159PP	20000911
				US 2001-951265 A2	20010911
	US 2002107392	A1	20020808	US 2001-951265	20010911
	US 6605617	B2	20030812		
				US 2000-232159PP	20000911
	US 2003158224	A1	20030821	US 2002-284017	20021030
				US 2000-232159PP	20000911
				US 2001-951265 A1	20010911

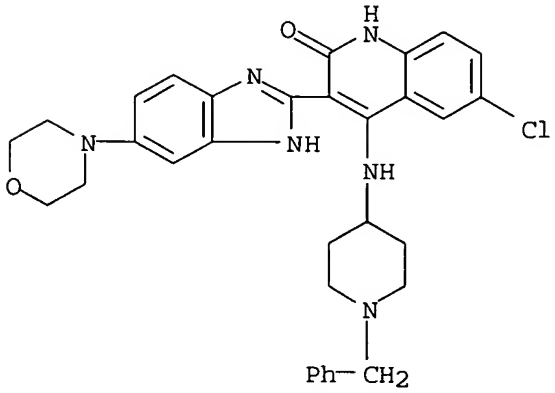
PATENT FAMILY INFORMATION:
FAN 2002:220574

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002022598	A1	20020321	WO 2001-US42131	20010911
	WO 2002022598	C1	20021121		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
				US 2000-232159PP	20000911
	AU 2001093275	A5	20020326	AU 2001-93275	20010911
				US 2000-232159PP	20000911
				WO 2001-US42131W	20010911
	EP 1317442	A1	20030611	EP 2001-973722	20010911
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
				US 2000-232159PP	20000911
				WO 2001-US42131W	20010911
	NO 2003001097	A	20030325	NO 2003-1097	20030310
				US 2000-232159PP	20000911
				WO 2001-US42131W	20010911

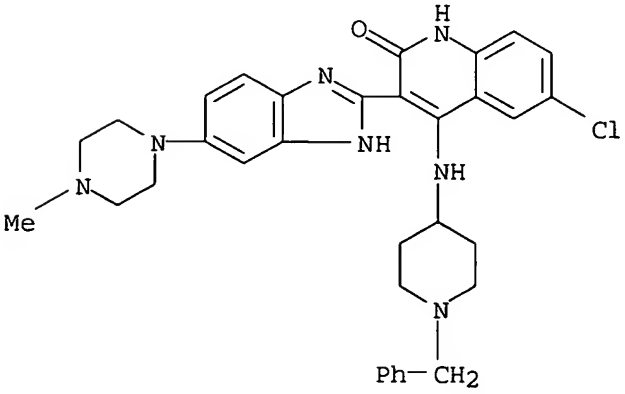
OS MARPAT 138:153534
IT **405170-47-0P**, 6-Chloro-3-(5-(morpholin-4-yl)-1H-benzimidazol-2-yl)-

4-[[1-(phenylmethyl)piperidin-4-yl]amino]quinolin-2(1H)-one
405170-62-9P, 6-Chloro-3-[5-(4-methylpiperazin-1-yl)-1H-benzimidazol-2-yl]-4-[[1-(phenylmethyl)piperidin-4-yl]amino]quinolin-2(1H)-one
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of benzimidazolyl-substituted quinolinone derivs. and analogs as VEGFR tyrosine kinase-inhibiting anticancer agents)

RN 405170-47-0 CAPLUS
CN 2(1H)-Quinolinone, 6-chloro-3-[5-(4-morpholinyl)-1H-benzimidazol-2-yl]-4-[[1-(phenylmethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)



RN 405170-62-9 CAPLUS
CN 2(1H)-Quinolinone, 6-chloro-3-[5-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]-4-[[1-(phenylmethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)



GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. of formulas I and II are provided [for I: Z = O, S, (un)substituted NH; Y = certain OH derivs., CHO, esters and amides of CO2H, certain NH2 derivs.; R1-R4 = H, halo, cyano, NO2, OH or derivs., NH2 or derivs., (un)substituted amidinyl, guanidinyl, alk(en/yn)yl, aryl, heterocyclyl, CHO, CO2H and esters and amides; R5-R8 = H, halo, NO2, OH or derivs., NH2 or derivs., SH or derivs., cyano, etc.; R9 = H, OH, (un)substituted alkoxy or aryloxy, NH2 or derivs., (un)substituted alkyl or aryl, CHO, alkanoyl, aroyl; for II: A, B, D, E = C or N, with at least one being N; Y = H, OH or derivs., SH or derivs., NH2 or derivs., cyano, various acyl groups, (un)substituted alk(en/yn)yl, aralkyl, heterocycloalkyl, aryl, etc.; R1-R8 = H, halo, NO2, cyano, OH or derivs., NH2 or derivs., acyl, SH or derivs., etc.; R9 = H, OH, (un)substituted alkoxy, aryloxy, NH2 or derivs., aryl, CHO, alkanoyl, aroyl]. Also provided are pharmaceutical formulations including the compds. or their pharmaceutically acceptable salts and a pharmaceutically acceptable carrier, which may be prepd. by mixing the compds. or salts with a carrier and water. A disclosed method of treating a patient includes administering a pharmaceutical formulation according to the invention to a patient. Claims include tautomers of the compds., pharmaceutically acceptable salts, and pharmaceutically acceptable salts of the tautomers. I and II are inhibitors of receptor tyrosine kinases, and particularly of vascular endothelial growth factor receptor (VEGFR) tyrosine kinase. As such, they are inhibitors of angiogenesis, and thereby act as anticancer agents. Approx 270 invention compds. are listed, with detailed prepns. given for about 50 compds. Several general preparatory methods are discussed in detail. For instance, cyclocondensation of Et 2-(benzimidazol-2-yl)acetate with the corresponding ortho-amino nitrile (prepns. given), carried out in refluxing ClCH2CH2Cl in the presence of SnCl4, gave the invention quinolinone III. Many compds. I and II had in vitro IC50 values of less than 10 .mu.M with respect to flt-1 (VEGFR1), KDR (VEGFR2) and bFGF kinases (recombinant, expressed in Sf9 insect cells).

L11 ANSWER 4 OF 15 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2002:906175 CAPLUS
DN 138:14074
TI Preparation of benzo[g]quinoxalines for use against infectious diseases
IN Pato, Janos; Keri, Gyoergy; Oerfi, Laszlo; Waczek, Frigyes; Horvath, Zoltan; Banhegyi, Peter; Szabadkai, Istvan; Marosfalvi, Jenoe; Hegymegi-barakonyi, Balint; Szekelyhidi, Zsolt; Greff, Zoltan; Choidas, Axel; Bacher, Gerald; Daub, Henrik; Obert, Sabine; Kurtenbach, Alexander; Habenberger, Peter
PA Axxima Pharmaceuticals Ag, Germany; et al.
SO PCT Int. Appl., 237 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002094796	A2	20021128	WO 2002-EP5573	20020521

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,

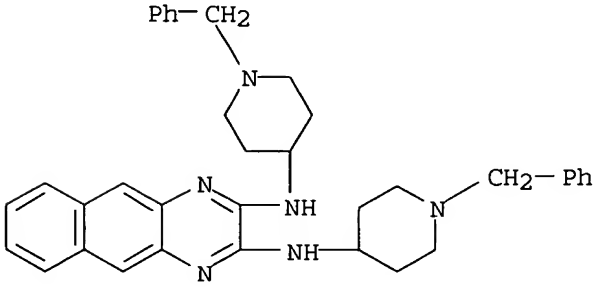
TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
EP 2001-112289 A 20010518
US 2001-292325PP 20010522
US 2001-298902PP 20010619
EP 2001-115508 A 20010627

OS MARPAT 138:14074

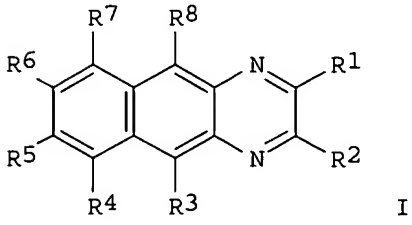
IT **476637-76-0P**, N,N'-Bis(1-benzylpiperidin-4-yl)benzo[g]quinoxaline-2,3-diamine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of benzo[g]quinoxalines for use against infectious diseases)

RN 476637-76-0 CAPLUS

CN Benzo[g]quinoxaline-2,3-diamine, N,N'-bis[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



GI



I

AB The present invention relates to benzo[g]quinoxaline derivs. (shown as I; e.g. 2,3-bis(2-thienyl)benzo[g]quinoxaline and benzo[g]quinoxalin-2-yl(3-bromophenyl)amine), processes for manufg. said benzo[g]quinoxaline derivs., the use of the benzo[g]quinoxaline derivs. as pharmaceutically active agents, esp. for the prophylaxis and/or treatment of infectious diseases and opportunistic infections, diabetes, cancer, inflammation, as well as compns. contg. at least one benzo[g]quinoxaline deriv. and/or pharmaceutically acceptable salt thereof. Further, the present invention is directed to methods for preventing and/or treating of infectious diseases, diabetes, cancer, and inflammation using the inventive benzo[g]quinoxaline derivs. The inventive benzo[g]quinoxaline derivs.

exert their antiproliferative effect on M. bovis BCG and M. tuberculosis Erdmann at concns. between <<1 .mu.M and 32 .mu.M. In contrast, growth of E. coli XI-1 blue was not affected by benzo[g]quinoxaline derivs. at concns. >10 .mu.M. The benzo[g]quinoxaline compds. are able to inhibit HI virus replication up to 63% after 6 days at a concn. of 1 .mu.M. 5,10-Dibromo-2-(thiophen-3-yl)-3-(thiophen-2-yl)benzo[g]quinoxaline is able to decrease the activity of the herpes viral target UL-97 by 75%. Results for inhibition of HCMV target RICK for 5 I, of influenza replication for 7 I, of hepatitis B virus for 5 I, of TNF.alpha. signaling for 11 I, of human cellular protein kinases (Akt, Abl, PDGFR, Src) for 7 I, of A549 and Jurkat cells for 18 I, of human cellular protein kinase Akt known as a target for diabetes for 4 I, and of human protein kinases SRPK1 and SRPK2 (indicative of hepatitis B virus replication inhibition) for 8 and 1 I, resp., are tabulated. Results for activation of the insulin receptor InsR by 3 I, effect of 2 I on viability of Huh-5-2 replicon cells by the Alamar Blue toxicity assay, effect of 2 I on autonomous replication of hepatitis C virus replicons in the Huh-5-2 cell line by luciferase reporter assay, are tabulated. In I: R1 and R2 = -(CH2)p-NH-(CH2)n-R9, -(CH2)s-S-(CH2)m-R10, -(CH2)m-O-(CH2)p-R11, -(CH2)r-R3, -CH:CH-R11, -(CH2)m-CH(OH)(CH2)p-R11, -(CH2)q-R11, -R9, R10, -R12, -R13, etc. R3, R4, R5, R6, R7, and R8 = -H, -F, -Cl, -Br, -I, -SO3H, -SO3NH2, -(CH2)s-COOR16, -(CH2)p-COOR17, -OR16, -SR16, -NR16R17, -OOCR16, -OOCR17, -NH-CO-R16, -NH-CO-R17, -CO-NH-R16, -CO-NH-R17, -NO2, -N3, -CN, -OCN, -NCO, -SCN, -NCS, CO-R16, CO-R17, -COCN, -CONR16R17, -SOR16, -SO2R16, -SO2R17, -SO3R16, -SO3R17, OCF3. R9, R10, and R11 = -CN, NR16R17, -NHR16, NHR17, etc. R12, R13, R14, and R15 = R3, R4, R5, R6, R16, R17, CH(CO2R16)(CO2R17), CH(CN)(CO2R16), CH(CN)C(O)NHAr (Ar = R14- and R15-substituted phenyl); R16 and R17 = -H, -CH3, -C2H5, -Pr, -CHMe2, -Bu, -C5H11, -C6H13, -cyclo-C6H11, -cyclo-C5H9, -cyclo-C4H7, -cyclo-C3H5, -(CH2)r-CHMe2, -CHMeEt, -CMe3, -CH:CH2, -CH2-CH:CH2, Ph, --CH2Ph, -C2H4Ph, -CH(CN)2, -CF3, -CCl3, -CBr3, -C2F5, -(CH2)r-OH, -CH2F, -CH2Cl, -CH2Br, -CH2I, -CHF2, -CHCl2, -CHBr2, -(CH2)r-SH, -C6H4-CH3, -C6H3Me2, pyridyl, 2-pyrimidinyl, etc. M = 0-6, n = 0-6, p = 0-6, q = 0-6, r = 1-6, s = 0-6. Also claimed are the corresponding N-oxides in position 1 and/or 4 of these compds., the corresponding reduced forms of these compds. wherein the double bond in position 1 and/or 3 is hydrogenated, and pharmaceutically acceptable salts of I. About 42 example prepns. and 406 compds. with characterization data are included. 1H-benzo[g]quinoxaline-2-one was prepd. in 90% yield by dissolving 20 mmol 2,3-diaminonaphthalene in a mixt. of 5 mL DMF and 50 mL EtOH and adding 5 mL aq. soln. (50%) of glyoxalic acid and the mixt. was stirred for 2 h at reflux temp. The reaction mixt. was cooled to room temp. and the product was filtered, washed two times with Et2O and dried.

L11 ANSWER 5 OF 15 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2002:888714 CAPLUS
DN 137:384765
TI Preparation of novel 4-anilinoquinoline-3-carboxamides as JAK3 kinase inhibitors
IN Larsson, Joakim; Sjoe, Peter
PA Astrazeneca AB, Swed.
SO PCT Int. Appl., 97 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

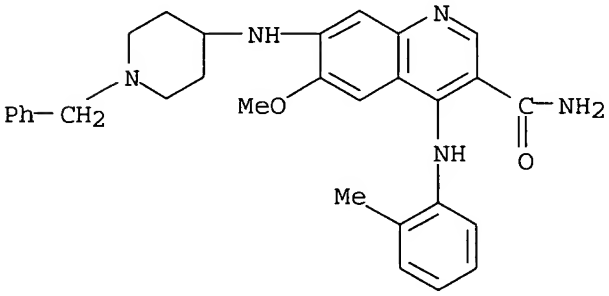
PI WO 2002092571 A1 20021121 WO 2002-SE875 20020506
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
SE 2001-1675 A 20010511

OS MARPAT 137:384765

IT **476190-02-0P**, 7-[(1-Benzyl-4-piperidinyl)amino)-6-methoxy-4-(2-methylphenylamino)-3-quinolinecarboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of novel 4-anilinoquinoline-3-carboxamides as JAK3 kinase inhibitors)

RN 476190-02-0 CAPLUS

CN 3-Quinolinecarboxamide, 6-methoxy-4-[(2-methylphenyl)amino]-7-[[1-(phenylmethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)



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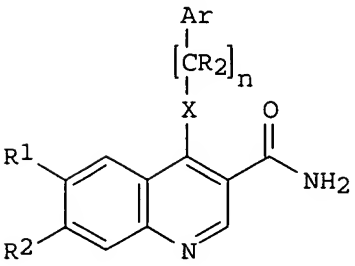

I

AB The title compds. [I; n = 0-1; X = NR3, O; Ar = (un)substituted Ph, indolyl, pyrazolyl, etc.; R = H, alkyl; R1, R2 = H, halo, NO2, etc.; or R1 and R2 are linked together as OCH2O or OCH2CH2O] which are JAK3 kinase inhibitors, useful in treating asthma, host vs. graft rejection/transplantation or rheumatoid arthritis, were prepd. E.g., a 7-step synthesis of I [X = NH; n = 0; Ar = 3-(hydroxymethyl)-2-

methylphenyl; R1 = OCH2Ph; R2 = OMe], starting from 4-nitroguaiacol potassium salt, was given. The exemplified compds. I showed IC50 of < 25 .mu.M in JAK3 HTRF assay.
RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 6 OF 15 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2002:594844 CAPLUS
DN 137:140518
TI Preparation of thiazolyl-, oxazolyl-, pyrrolyl-, and imidazolyl- acid amide derivatives as inhibitors of phosphodiesterase IV isozymes
IN Marfat, Anthony; McKechney, Michael William
PA Pfizer Products Inc., USA
SO PCT Int. Appl., 249 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002060898	A1	20020808	WO 2001-IB2728	20011224
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
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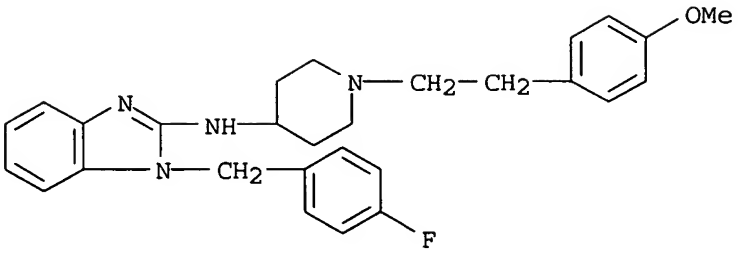
			US 2001-265486PP	20010131
US 2002123520	A1	20020905	US 2002-62145	20020131
US 6559168	B2	20030506		
			US 2001-265486PP	20010131
US 2003130254	A1	20030710	US 2002-300959	20021120
			US 2001-265486PP	20010131
			US 2002-62145 A3	20020131
US 2003186974	A1	20031002	US 2002-300950	20021120
			US 2001-265486PP	20010131
			US 2002-62145 A3	20020131

OS MARPAT 137:140518
IT **68844-77-9**, Astemizole
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination therapy with PDE4 inhibitors; prepn. of thiazolyl-, oxazolyl-, pyrrolyl-, and imidazolyl- acid amide derivs. as inhibitors of PDE4 isoenzymes)
RN 68844-77-9 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[(4-fluorophenyl)methyl]-N-[1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



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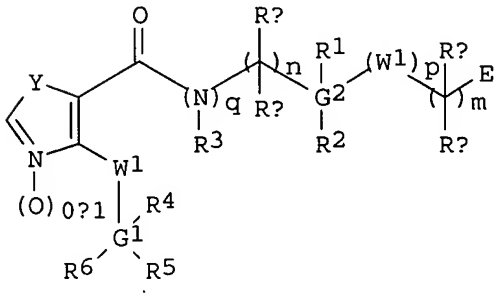

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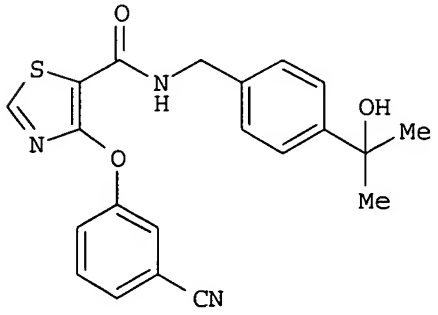


II

AB Title compds. I [wherein p = 0-1; q = 0-1; provided that when q = 0, n = 2; m = 0-3; n = 1-2; W1 and W2 = independently O, SO0-2, or NR3; or W2 = (un)substituted methylene; Y = SO0-2, O, NO0-1, NR3, or (un)substituted methylene; ; RA and RB = independently H, F, CF3, alkyl, or (un)substituted cycloalkyl, Ph, or benzyl; or when m = 1, CRARB = (un)substituted spiro; RC and RD have the same meaning as RA and RB except that one of them must be H; R1 and R2 = H, F, Cl, CN, NO2, (fluoro)alkyl, alkynyl, alkoxy, phenoxy, carbamoyl, etc.; R3 = H, alkyl, Ph, benzyl, alkoxy, phenoxy, etc.; R4, R5, and R6 = H, F, Cl, and (un)substituted (cyclo)alkyl, alkenyl, alkynyl, Ph, benzyl, pyridyl, alkoxy, phenoxy, acyl, carboxy, CN, NO2, carbamoyl, ureido, (hetero)aryl, etc.; G1 and G2 = independently (un)satd. carbocyclyl or heterocyclyl; E = (un)substituted carboxy, carbamoyl, acyl, hydroxyalkyl, cyanoalkyl, acylamino, ureido, amino, heterocyclyl, etc.] were prepd. as inhibitors of PDE4 (no data). For example, 4-(3-cyanophenoxy)thiazole-5-carboxylic acid was treated with

2-(4-aminomethylphenyl)propan-2-ol in the presence of EDCl and HOBT in DMF to give the thiazolamide II. I are useful in the treatment of diseases regulated by the activation and degranulation of eosinophils, esp. asthma, chronic bronchitis, and chronic obstructive pulmonary disease (no data). In addn., I may be used in combination therapy with a wide variety of other therapeutic agents.

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 7 OF 15 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2002:293652 CAPLUS
DN 136:325531
TI Preparation of (poly)azanaphthalenyl carboxamides as HIV integrase inhibitors
IN Anthony, Neville J.; Gomez, Robert P.; Young, Steven D.; Egbertson, Melissa; Wai, John S.; Zhuang, Linghang; Embrey, Mark; Tran, Lekhanh; Melamed, Jeffrey Y.; Langford, H. Marie; Guare, James P.; Fisher, Thorsten E.; Jolly, Samson M.; Kuo, Michelle S.; Perlow, Debra S.; Bennett, Jennifer J.; Funk, Timothy W.
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 434 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002030930	A2	20020418	WO 2001-US31456	20011009
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
				US 2000-239707PP	20001012
				US 2001-281656PP	20010405
	AU 2002011527	A5	20020422	AU 2002-11527	20011009
				US 2000-239707PP	20001012
				US 2001-281656PP	20010405
				WO 2001-US31456W	20011009
	EP 1326865	A2	20030716	EP 2001-979582	20011009
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
				US 2000-239707PP	20001012
				US 2001-281656PP	20010405
				WO 2001-US31456W	20011009
	US 2003055071	A1	20030320	US 2001-973853	20011010
				US 2000-239707PP	20001012
				US 2001-281656PP	20010405

PATENT FAMILY INFORMATION:

FAN 2002:293653

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002030931	A2	20020418	WO 2001-US42564	20011009
	WO 2002030931	A3	20021024		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

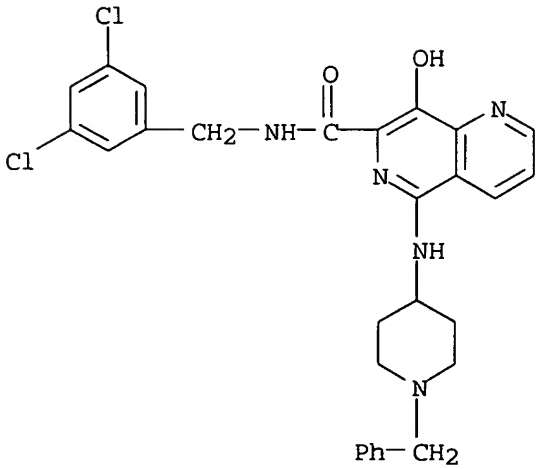
			US 2000-239707PP	20001012
			US 2001-281656PP	20010405
AU 2002011874	A5	20020422	AU 2002-11874	20011009
			US 2000-239707PP	20001012
			US 2001-281656PP	20010405
			WO 2001-US42564W	20011009
EE 200300145	A	20030616	EE 2003-145	20011009
			US 2000-239707PP	20001012
			US 2001-281656PP	20010405
			WO 2001-US42564W	20011009
US 2003055071	A1	20030320	US 2001-973853	20011010
			US 2000-239707PP	20001012
			US 2001-281656PP	20010405
NO 2003001672	A	20030605	NO 2003-1672	20030411
			US 2000-239707PP	20001012
			US 2001-281656PP	20010405
			WO 2001-US42564W	20011009

OS MARPAT 136:325531

IT **410543-58-7P**, 5-[(1-Benzylpiperidin-4-yl)amino]-N-(3,5-dichlorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(HIV integrase inhibitor; prepn. of (poly)azanaphthalenyl carboxamides as HIV integrase inhibitors for treatment of AIDS)

RN 410543-58-7 CAPLUS

CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-[[1-(phenylmethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)



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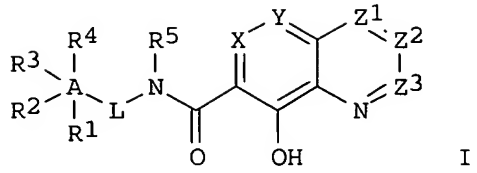

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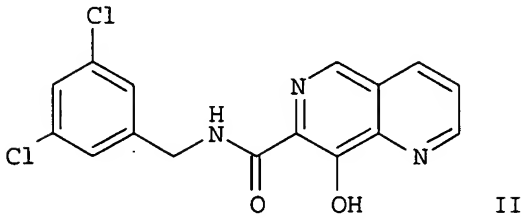


II

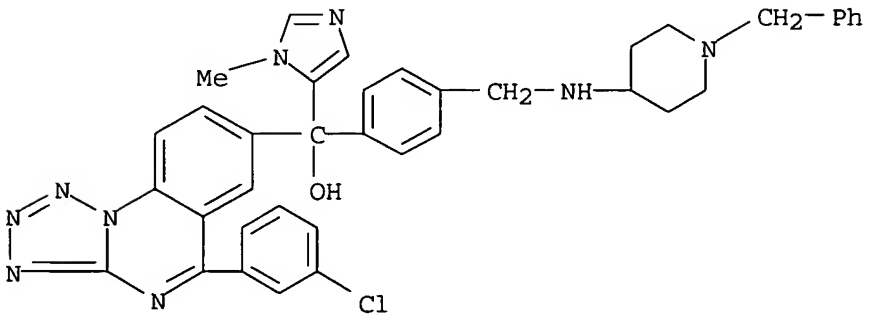
AB Title compds., including certain quinoline carboxamide and naphthyridine carboxamide derivs., I [wherein A = (un)substituted Ph or Ph fused to a carbocycle; L = a single bond, or (un)substituted alkyl, alkenyl, alkylcycloalkylalkyl, or alkyl-M-alkyl; M = NRa, OCO, or CO2; X = N or CQ1; Y = N or CQ2, provided that X and Y are not both N; Z1 = N or CQ3; Z2 = N or CQ4; Z3 = N or CH; Q1-Q4 = independently H, halo, CN, NR1CR1O, or (un)substituted alkyl, alkoxy, alkenyl, alkynyl, carbamoyl, carboximidamido, amino, etc.; or C2Q2Q3 = (un)substituted 5- or 6-membered carbocycle or heterocycle; R1 and R2 = independently H, OH, halo, NO2, CN, or (un)substituted alkyl, alkenyl, alkoxy, amino, sulfonylamino, etc.; R3 and R4 = independently H, halo, CN, NO2, OH, alkenyl, or (un)substituted alkyl, amino, sulfonylamino, etc.; R5 = H, CN, CN, or (un)substituted alkyl or aryl; Ra = independently H or (halo)alkyl; or pharmaceutically acceptable salts thereof] were prepd. I are inhibitors of HIV integrase and inhibitors of HIV replication, and are useful in the prevention or treatment of infection by HIV and the treatment of AIDS, as compds. or pharmaceutically acceptable salts, or as ingredients in pharmaceutical compns., optionally in combination with other antivirals, immunomodulators, antibiotics, or vaccines. For example, Mitsunobu reaction of iso-Pr 3-(hydroxymethyl)pyridine-2-carboxylate with Me N-[(4-methylphenyl)sulfonyl]glycinate, followed by cyclization in the presence on NaOMe, afforded Me 8-hydroxy-1,6-naphthyridine-7-carboxylate. Coupling with 3,5-dichlorobenzylamine in toluene gave II. Representative compds. were assayed for the inhibition of acute HIV infection of T-lymphoid cells and demonstrated IC95 values of < 20 .mu.M.

L11 ANSWER 8 OF 15 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2002:240760 CAPLUS
DN 136:279470
TI Preparation of 6-[(substituted phenyl)methyl]quinoline and quinazoline derivatives as farnesyl transferase inhibitors for treatment of tumors and proliferative diseases
IN Angibaud, Patrick Rene; Venet, Marc Gaston; Saha, Ashis Kumar; Mevellec, Laurence Anne
PA Janssen Pharmaceutica N.V., Belg.
SO PCT Int. Appl., 97 pp.

CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002024683	A1	20020328	WO 2001-EP10895	20010918
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
			EP 2000-203366 A	20000925
AU 2001093829	A5	20020402	AU 2001-93829	20010918
			EP 2000-203366 A	20000925
			WO 2001-EP10895W	20010918
EP 1322636	A1	20030702	EP 2001-974276	20010918
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
			EP 2000-203366 A	20000925
			WO 2001-EP10895W	20010918

OS MARPAT 136:279470
IT **406164-50-9P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(farnesyl transferase inhibitor; prepn. of quinoline and quinazoline derivs. as farnesyl transferase inhibitors for treatment of tumors and proliferative diseases)
RN 406164-50-9 CAPLUS
CN Tetrazolo[1,5-a]quinazoline-7-methanol, 5-(3-chlorophenyl)-.alpha.-(1-methyl-1H-imidazol-5-yl)-.alpha.-[4-[[[1-(phenylmethyl)-4-piperidinyl]amino]methyl]phenyl]- (9CI) (CA INDEX NAME)



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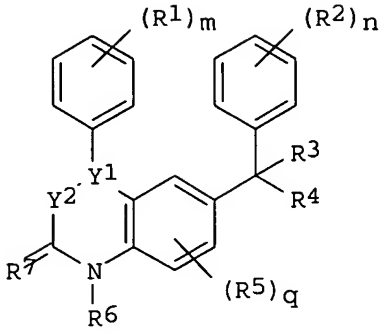

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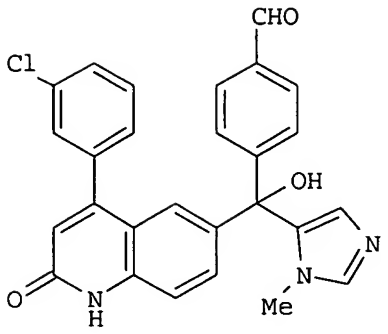


II

AB Title compds. I [wherein m and n = independently 0-5; q = 0-3; Y1Y2 = C:N, C:CR9, CHNR9, or CHCHR9; C9 = H, halo, CN, (cyclo)alkyl, hydroxyalkyl, alkoxy(alkyl), aminoalkyl, (amino)alkenyl, (amino)alkynyl, halocarbonyl, hydroxycarbonyl, alkoxycarbonyl, aryl, (un)substituted amino or carbamoyl, etc.; R1 and R2 = independently azido, OH, halo, CN, NO2, trihalomethyl, alkoxy, aryloxy, heterocyclyloxy, alkylthio, or (un)substituted (cyclo)alkyl, alkenyl, alkynyl, carbamoyl, amino, sulfamoyl, etc.; or 2 adjacent R1 = OCH2O, OCH2CH2O, OCH:CH, OCH2CH2, OCH2CH2CH2, CH:CHCH:CH; R3 = H, halo, CN, alkenyl, alkynyl, hydroxycarbonyl, alkoxycarbonyl, aryl, heterocyclyl, alkoxy, alkylthio, (un)substituted (cyclo)alkyl or amino, etc.; R4 = (un)substituted imidazolyl, triazolyl, or pyridyl; R5 = CN, OH, halo, alkenyl, alkynyl, hydroxycarbonyl, alkoxycarbonyl, or (un)substituted (cyclo)alkyl, alkoxy, amino, or carbamoyl, etc.; R6 = halo or (un)substituted (cyclo)alkyl, alkenyl, alkynyl, alkylthio, carboxy, carbamoyl, acyl(amino), etc.; R7 = O or S; or R6R7 = (un)substituted CH:CHN:, CH:NN:, CONHN:, N;NN:, N:CHN:, CH:CHCH:, CH:NCH:, CONHCH:, N:NCH:, or CH2(CH2)0-1CH2N: ; or pharmaceutically acceptable salts, N-oxides, or stereochem. isomeric forms thereof] were prepd. For example, 6-bromo-2-chloro-4-(3-chlorophenyl)quinoline (6-step prepn. given) was coupled with 4-(diethoxymethyl)benzaldehyde in the presence of BuLi in THF to give the 6-quinolinemethanol (64%), which was treated with MnO2 in 1,4-dioxane to afford the methanone. Methoxylation using MeONa in MeOH (74%), followed by addn. of 1-methyl-1H-imidazole in the presence of BULi and ClSiEt3 in THF, gave 4-(3-chlorophenyl)-.alpha.-[4-(diethoxymethyl)phenyl]-2-methoxy-.alpha.-(1-methyl-1H-imidazol-5-yl)-6-quinolinemethanol (56%). The latter was refluxed in HCl for 24 h, cooled, poured out into H2O, and stirred at room temp. for 1 h to afford the quinolinone II.bul.HCl (98%). I have potent farnesyl transferase inhibitory effect and are useful for inhibiting proliferative diseases and growth of tumors expressing an activated ras oncogene (no data).

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 9 OF 15 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2002:220574 CAPLUS
DN 136:263158
TI Benzimidazolyl-substituted quinolinone derivatives and analogs, with inhibitory action against vascular endothelial growth factor receptor tyrosine kinase, and useful as anticancer agents
IN Renhowe, Paul; Pecchi, Sabina; Machajewski, Tim; Shafer, Cynthia; Taylor, Clarke; McCrea, Bill; McBride, Chris; Jazan, Elisa; Wernette-Hammond, Mary-Ellen; Harris, Alex
PA Chiron Corporation, USA

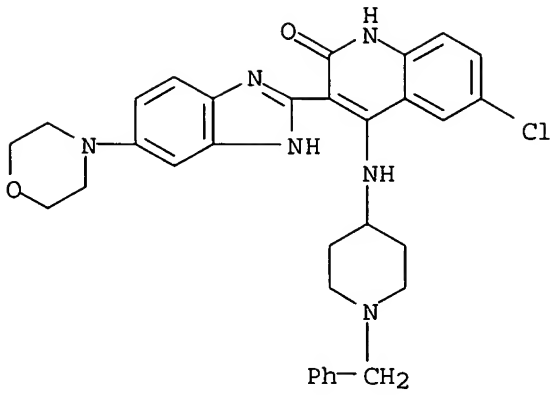
SO PCT Int. Appl., 207 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002022598	A1	20020321	WO 2001-US42131	20010911
WO 2002022598	C1	20021121		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
			US 2000-232159PP	20000911
AU 2001093275	A5	20020326	AU 2001-93275	20010911
			US 2000-232159PP	20000911
			WO 2001-US42131W	20010911
EP 1317442	A1	20030611	EP 2001-973722	20010911
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
			US 2000-232159PP	20000911
			WO 2001-US42131W	20010911
NO 2003001097	A	20030325	NO 2003-1097	20030310
			US 2000-232159PP	20000911
			WO 2001-US42131W	20010911

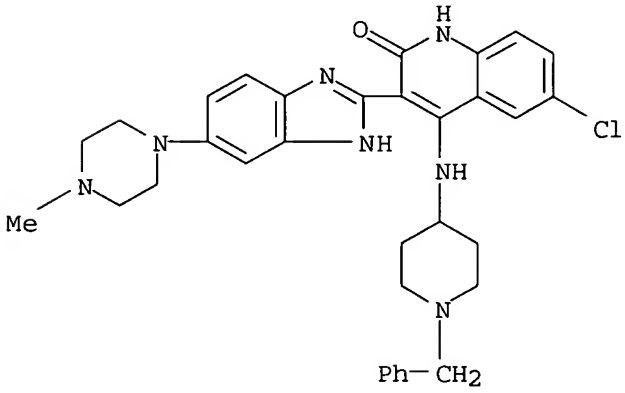
PATENT FAMILY INFORMATION:
FAN 2003:98039

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2003028018	A1	20030206	US 2002-116117	20020405
			US 2000-232159PP	20000911
			US 2001-951265 A2	20010911
US 2002107392	A1	20020808	US 2001-951265	20010911
US 6605617	B2	20030812		
			US 2000-232159PP	20000911
US 2003158224	A1	20030821	US 2002-284017	20021030
			US 2000-232159PP	20000911
			US 2001-951265 A1	20010911

OS MARPAT 136:263158
IT **405170-47-0P**, 6-Chloro-3-(5-(morpholin-4-yl)-1H-benzimidazol-2-yl)-4-[[1-(phenylmethyl)piperidin-4-yl]amino]quinolin-2(1H)-one
405170-62-9P, 6-Chloro-3-[5-(4-methylpiperazin-1-yl)-1H-benzimidazol-2-yl]-4-[[1-(phenylmethyl)piperidin-4-yl]amino]quinolin-2(1H)-one
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of benzimidazolyl-substituted quinolinone derivs. and analogs as VEGFR tyrosine kinase-inhibiting anticancer agents)
RN 405170-47-0 CAPLUS
CN 2(1H)-Quinolinone, 6-chloro-3-[5-(4-morpholinyl)-1H-benzimidazol-2-yl]-4-[[1-(phenylmethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)



RN 405170-62-9 CAPLUS
CN 2(1H)-Quinolinone, 6-chloro-3-[5-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]-4-[[1-(phenylmethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)



GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. of formulas I and II are provided [for I: Z = O, S, (un)substituted NH; Y = certain OH derivs., CHO, esters and amides of CO2H, certain NH2 derivs.; R1-R4 = H, halo, cyano, NO2, OH or derivs., NH2 or derivs., (un)substituted amidinyl, guanidinyl, alk(en/yn)yl, aryl, heterocyclyl, CHO, CO2H and esters and amides; R5-R8 = H, halo, NO2, OH or derivs., NH2 or derivs., SH or derivs., cyano, etc.; R9 = H, OH, (un)substituted alkoxy or aryloxy, NH2 or derivs., (un)substituted alkyl or aryl, CHO, alkanoyl, aroyl; for II: A, B, D, E = C or N, with at least one being N; Y = H, OH or derivs., SH or derivs., NH2 or derivs., cyano, various acyl groups, (un)substituted alk(en/yn)yl, aralkyl, heterocycloalkyl, aryl, etc.; R1-R8 = H, halo, NO2, cyano, OH or derivs., NH2 or derivs., acyl, SH or derivs., etc.; R9 = H, OH, (un)substituted

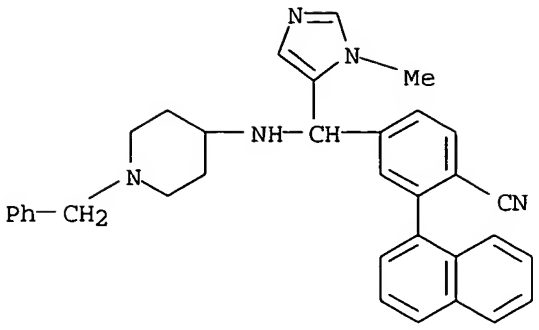
alkoxy, aryloxy, NH2 or derivs., aryl, CHO, alkanoyl, aroyl]. Also provided are pharmaceutical formulations including the compds. or their pharmaceutically acceptable salts and a pharmaceutically acceptable carrier, which may be prepd. by mixing the compds. or salts with a carrier and water. A disclosed method of treating a patient includes administering a pharmaceutical formulation according to the invention to a patient. Claims include tautomers of the compds., pharmaceutically acceptable salts, and pharmaceutically acceptable salts of the tautomers. I and II are inhibitors of receptor tyrosine kinases, and particularly of vascular endothelial growth factor receptor (VEGFR) tyrosine kinase. As such, they are inhibitors of angiogenesis, and thereby act as anticancer agents. Approx 270 invention compds. are listed, with detailed prepns. given for about 50 compds. Several general preparatory methods are discussed in detail. For instance, cyclocondensation of Et 2-(benzimidazol-2-yl)acetate with the corresponding ortho-amino nitrile (prepns. given), carried out in refluxing ClCH2CH2Cl in the presence of SnCl4, gave the invention quinolinone III. Many compds. I and II had in vitro IC50 values of less than 10 .mu.M with respect to flt-1 (VEGFR1), KDR (VEGFR2) and bFGF kinases (recombinant, expressed in Sf9 insect cells).

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 10 OF 15 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2002:123617 CAPLUS
DN 136:183819
TI Preparation of (imidazolylalkyl)biphenylcarbonitriles and analogs as farnesyltransferase inhibitors
IN Wang, Wei-Bo; Curtin, Michael L.; Fakhoury, Stephen A.; Gwaltney, Stephen L.; Hasvold, Lisa A.; Hutchins, Charles W.; Li, Qun; Lin, Nan-Horng; Nelson, Lissa Taka Jennings; O'Connor, Steve; Sham, Hing L.; Sullivan, Gerard M.; Wang, Gary T.; Wang, Xilu
PA USA
SO U.S. Pat. Appl. Publ., 189 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

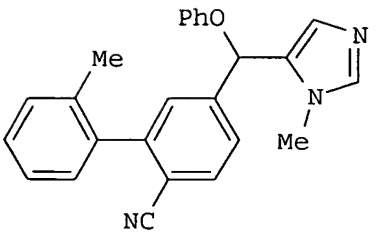
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002019527	A1	20020214	US 2001-842391	20010425
			US 2000-200165PP	20000427

OS MARPAT 136:183819
IT **371761-79-4P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of (imidazolylalkyl)biphenylcarbonitriles and analogs as farnesyltransferase inhibitors)
RN 371761-79-4 CAPLUS
CN Benzonitrile, 4-[(1-methyl-1H-imidazol-5-yl)[[1-(phenylmethyl)-4-piperidinyl]amino]methyl]-2-(1-naphthalenyl)-, trihydrochloride (9CI) (CA INDEX NAME)



●3 HCl

GI



II

AB Title compds. (I) were prepd. Thus, 2-MeC6H4C6H3(CN)(CHO)-2,5 was condensed with 1-methyl-2-triethylsilyl-1H-imidazole (prepn. each given) and the product O-arylated to give title compd. II. Data for biol. activity of I were given.

L11 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2002:107318 CAPLUS
DN 136:151163
TI Preparation of indazole derivatives as JNK enzyme inhibitors
IN Bhagwat, Shripad S.; Satoh, Yoshitaka; Sakata, Steven T.
PA Signal Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 412 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002010137	A2	20020207	WO 2001-US23890	20010730
	WO 2002010137	C2	20030206		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO,

RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
US 2000-221799PP 20000731

US 2002103229 A1 20020801 US 2001-910950 20010723
US 2000-221799PP 20000731

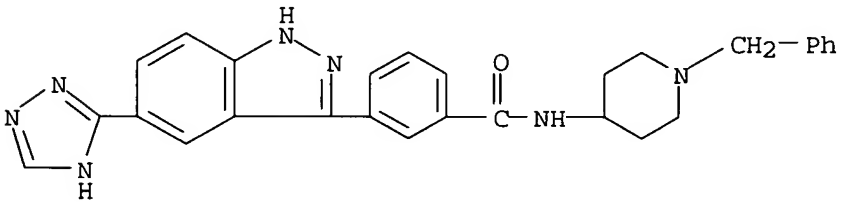
EP 1313711 A2 20030528 EP 2001-957332 20010730
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
US 2000-221799PP 20000731
WO 2001-US23890W 20010730

OS MARPAT 136:151163

IT **395107-63-8P**, N-[1-Benzyl-4-piperidyl]-3-[5-(1H-1,2,4-triazol-3-yl)-1H-indazol-3-yl]benzamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of indazole derivs. as JNK enzyme inhibitors)

RN 395107-63-8 CAPLUS

CN Benzamide, N-[1-(phenylmethyl)-4-piperidinyl]-3-[5-(1H-1,2,4-triazol-3-yl)-1H-indazol-3-yl]- (9CI) (CA INDEX NAME)



AB Indazole derivs., 3-R1A-5-R2-1H-indazoles (1), having activity as selective inhibitors of JNK are disclosed. In 1: A is a direct bond, -(CH2)a-, -(CH2)bCH:CH(CH2)c-, or -(CH2)bC.tplbond.C(CH2)c-; R1 is aryl, heteroaryl or heterocycle fused to Ph, each being optionally substituted with 1-4 R3; R2 is -R3, -R4, -(CH2)bC(O)R5, -(CH2)bC(:O)OR5, -(CH2)bC(O)NR5R6, -(CH2)bC(O)NR5(CH2)cC(O)R6, -(CH2)bNR5C(O)R6, -(CH2)bNR5C(O)NR6R7, -(CH2)bNR5R6, -(CH2)bOR5, -(CH2)bSOdR5 or -(CH2)bSO2NR5R6. A is 1-6; b and c are the same or different and are 0-4; d is 0-2. R3 is at each occurrence independently halogen, hydroxy, carboxy, alkyl, alkoxy, haloalkyl, acyloxy, thioalkyl, sulfinylalkyl, sulfonylalkyl, hydroxyalkyl, aryl, substituted aryl, arylalkyl, substituted arylalkyl, heterocycle, substituted heterocycle, heterocyclealkyl, substituted heterocyclealkyl, -C(O)OR8, -C(O)R8, -C(O)NR8R9, -C(O)NR8OR9, -SO2NR8R9, -NR8SO2R9, -CN, -NO2, -NR8R9, -NR8C(O)R9, -NR8C(O)(CH2)bOR9, -NR8C(O)(CH2)bR9, -O(CH2)bNR5R9, or heterocycle fused to Ph. R4 is alkyl, aryl, arylalkyl, heterocycle or heterocyclealkyl, each being optionally substituted with 1-4 R3, or R4 is halogen or hydroxy. R5, R6and R7 are the same or different and are H, alkyl, aryl, arylalkyl, heterocycle or heterocyclealkyl, wherein each of R5, R6 and R7 are optionally substituted with 1-4 R3. R8 and R9 are the same or different and at each occurrence independently H, alkyl, aryl, arylalkyl, heterocycle, or heterocyclealkyl, or R8 and R9 taken together with the atom or atoms to which they are bonded form a heterocycle, wherein each of R8, R9, and R8 and R9 taken together to form a heterocycle

are optionally substituted with 1-4 R3 with the proviso that: when A is a direct bond and R1 is Ph, R2 is not Me, methoxy, C(O)CH3 or C(O)H; when A is a direct bond and R1 is 4-Me-Ph, R2 is not Me; when A is a direct bond and R1 is 4-F-Ph, R2 is not trifluoromethyl; when A is a direct bond or -C.tplbond.C- and R1 is Ph, R2 is not -COOEt; and when A is a direct bond and R1 is 6,7-dimethoxyisoquinolin-1-yl, R2 is not hydroxy. Such compds. have utility in the treatment of a wide range of conditions that are responsive to JNK inhibition. Thus, methods of treating such conditions are also disclosed, as are pharmaceutical compns. contg. one or more compds. of the above compds. Many of the claimed compds. have IC50 values .ltoreq.0.5 .mu.M in the JNK2 assay, e.g. 5-[3-(4-fluorophenyl)-1H-indazol-5-yl]-2H-1,2,3,4-tetrazole. Although the methods of prepn. are not claimed, >400 example prepns. are included.

L11 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2001:798200 CAPLUS
DN 135:344482
TI Preparation of substituted 4-(heteroarylmethyl)benzonitriles as farnesyltransferase inhibitors
IN Wang, Wei-Bo; Curtin, Michael L.; Fakhoury, Stephen A.; Gwaltney, Stephen L., II; Hasvold, Lisa A.; Hutchins, Charles W.; Li, Qui; Lin, Nan-Horng; Jennings Nelson, Lissa Taka; O'Connor, Stephen J.; Sham, Hing L.; Sullivan, Gerald M.; Wang, Gary T.; Wang, Xilu
PA Abbott Laboratories, USA
SO PCT Int. Appl., 305 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001081316	A2	20011101	WO 2001-US13678	20010425
WO 2001081316	A3	20020523		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

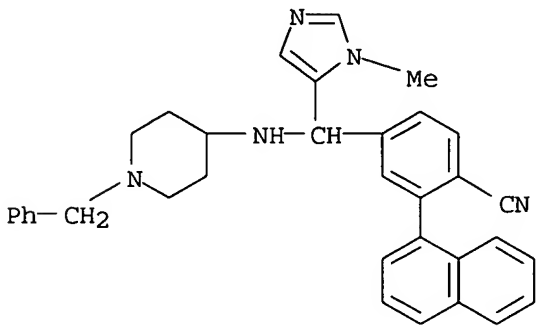
			US 2000-563256 A	20000427
			US 2001-822205 A	20010402
EP 1276726	A2	20030122	EP 2001-932712	20010425

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

			US 2000-563256 A	20000427
			US 2001-822205 A	20010402
			WO 2001-US13678W	20010425

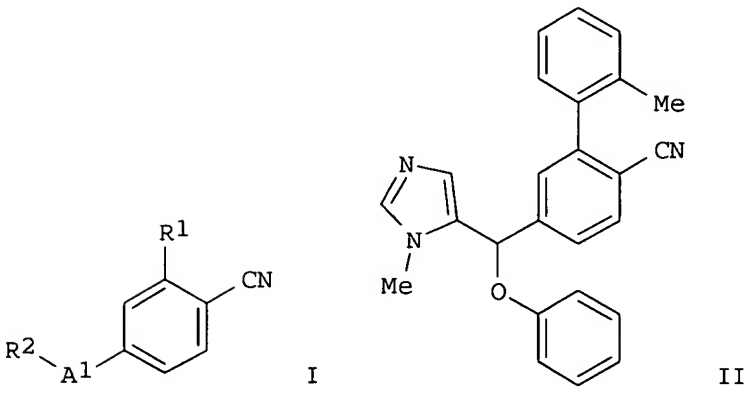
OS MARPAT 135:344482
IT **371761-79-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of substituted 4-(heteroarylmethyl)benzonitriles as farnesyltransferase inhibitors)
RN 371761-79-4 CAPLUS

CN Benzonitrile, 4-[(1-methyl-1H-imidazol-5-yl)[[1-(phenylmethyl)-4-piperidinyl]amino]methyl]-2-(1-naphthalenyl)-, trihydrochloride (9CI) (CA INDEX NAME)



● 3 HCl

GI



I II

AB The title compds. [I; A1 = (un)substituted alkylene, etc.; R1 = halo, cycloalkyl, aryl, heteroaryl; R2 = heteroaryl selected from imidazolyl, pyrazolyl, pyrrolyl, etc.] and their pharmaceutically acceptable salts which farnesyltransferase, were prepd. E.g., 3-step synthesis of the benzonitrile II.HCl which 88% inhibition of farnesyltransferase at 10-6 M, was given.

L11 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2001:31473 CAPLUS
DN 134:100864
TI Indazole compounds and pharmaceutical compositions for inhibiting protein kinases, and methods for their use
IN Kania, Robert Steven; Bender, Steven Lee; Borchardt, Allen J.; Braganza,

John F.; Cripps, Stephan James; Hua, Ye; Johnson, Michael David; Johnson, Theodore Otto, Jr.; Luu, Hiep The; Palmer, Cynthia Louise; Reich, Siegfried Heinz; Tempczyk-russell, Anna Maria; Teng, Min; Thomas, Christine; Varney, Michael David; Wallace, Michael Brennan

PA Agouron Pharmaceuticals, Inc., USA

SO PCT Int. Appl., 439 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001002369	A2	20010111	WO 2000-US18263	20000630
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
			US 1999-142130PP	19990702
BR 2000012352	A	20020514	BR 2000-12352	20000630
			US 1999-142130PP	19990702
			WO 2000-US18263W	20000630
EP 1218348	A2	20020703	EP 2000-943375	20000630
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
			US 1999-142130PP	19990702
			WO 2000-US18263W	20000630
JP 2003503481	T2	20030128	JP 2001-507809	20000630
			US 1999-142130PP	19990702
			WO 2000-US18263W	20000630
US 6531491	B1	20030311	US 2001-983786	20011025
			US 1999-142130PP	19990702
			US 2000-609335 B3	20000630
US 6534524	B1	20030318	US 2001-983783	20011025
			US 1999-142130PP	19990702
			US 2000-609335 B3	20000630
NO 2001005797	A	20020301	NO 2001-5797	20011128
			US 1999-142130PP	19990702
			WO 2000-US18263W	20000630
ZA 2001010061	A	20030206	ZA 2001-10061	20011206
			US 1999-142130PP	19990702
BG 106380	A	20020930	BG 2002-106380	20020201
			US 1999-142130PP	19990702
			WO 2000-US18263W	20000630

OS MARPAT 134:100864

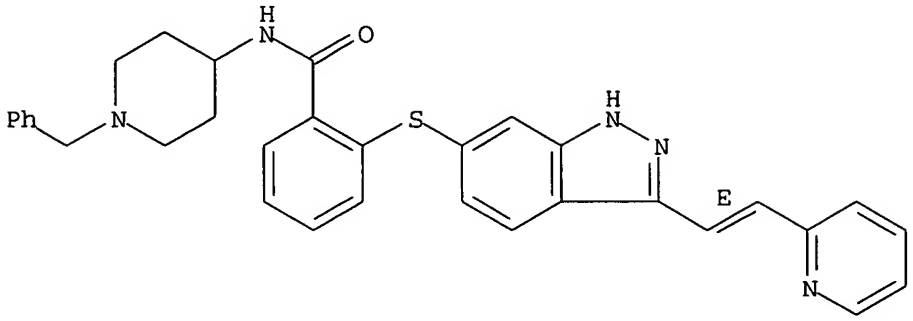
IT **319466-31-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of combinatorial libraries of aryl-substituted indazole derivs. as modulators and inhibitors of protein kinases in the treatment of tumor growth, cellular proliferation, and angiogenesis)

RN 319466-31-4 CAPLUS

CN Benzamide, N-[1-(phenylmethyl)-4-piperidinyl]-2-[[3-[(1E)-2-(2-

pyridinyl)ethenyl]-1H-indazol-6-yl]thio]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



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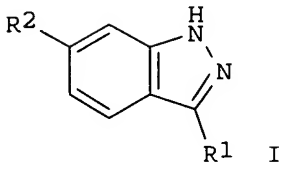

AB Indazole compds. I [R1 = substituted or unsubstituted aryl or heteroaryl, R3CH:CH, R3N:CH; R2 = substituted or unsubstituted aryl, heteroaryl, Y-X; R3 = substituted or unsubstituted alkyl alkenyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl; Y = O, S, C(:CH2), CO, SO, SO2, alkylidene, NH, N(C1-C8 alkyl); X = substituted or unsubstituted aryl, heteroaryl, NH(alkyl), NH(cycloalkyl), NH(heterocycloalkyl), NH(aryl), NH(heteroaryl), NH(alkoxy), NH(dialkylamide)] and their pharmaceutically acceptable prodrugs, active metabolites, and salts are disclosed. The compds. modulate and/or inhibit the activity of certain protein kinases. In particular, I and pharmaceutical compns. contg. them are capable of mediating tyrosine kinase signal transduction, and thereby modulate and/or inhibit unwanted cell proliferation. The invention is also directed to the therapeutic or prophylactic use of pharmaceutical compns. contg. such compds., and to methods of treating cancer and other disease states assocd. with unwanted angiogenesis and/or cellular proliferation, such as diabetic retinopathy, neovascular glaucoma, rheumatoid arthritis, and psoriasis, by administering effective amts. of such compds. E.g., I [R1 = (E)-3,4-(MeO)2C6H3CH:CH; R2 = 4-HO-3-MeOC6H3] (II) was prepd. from 6-aminoindazole by diazotization and substitution with iodide, protection of the indazole nitrogen with 2,4,6-Me3C6H2SO2Cl, coupling of the regioisomeric mixt. with 4-(methoxymethoxy)-3-methoxybenzeneboronic acid in the presence of dichlorobis(triphenylphosphine)palladium, and deprotection of the indazole moiety and iodination at the 3-position of the indazole. Treatment of the 3-indazolyl iodide with sec-butyllithium, phenyllithium, and DMF, regioselective protection of the indazole with 2,4,6-Me3C6H2SO2Cl, olefination with 3,4-dimethoxybenzyltriphenylphosphonium bromide, deprotection of the indazole, deprotection of the methoxymethyl group, and equilibration of the double bond with iodine gave II. Biol. data on protein kinase inhibition, cell proliferation

inhibition, neovascularization inhibition, and i.p. and oral bioavailability, are given.

L11 ANSWER 14 OF 15 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1995:772553 CAPLUS
DN 123:199300
TI Preparation of diaminopurinylribofuranuronamide derivatives as antiinflammatories.
IN Gregson, Michael; Ayres, Barry Edward; Ewan, George Blanch; Ellis, Frank; Knight, John
PA Glaxo Group Ltd., UK
SO PCT Int. Appl., 112 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9417090	A1	19940804	WO 1994-EP145	19940118
	W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, UZ, VN				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
				GB 1993-1000	A 19930120
	CA 2153688	AA	19940804	CA 1994-2153688	19940118
				GB 1993-1000	A 19930120
	AU 9458851	A1	19940815	AU 1994-58851	19940118
	AU 679714	B2	19970710		
				GB 1993-1000	A 19930120
				WO 1994-EP145	W 19940118
	ZA 9400335	A	19941024	ZA 1994-335	19940118
				GB 1993-1000	A 19930120
	EP 680488	A1	19951108	EP 1994-905100	19940118
	EP 680488	B1	19980408		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
				GB 1993-1000	A 19930120
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	CN 1119440	A	19960327	CN 1994-191527	19940118
	CN 1043997	B	19990707		
				GB 1993-1000	A 19930120
	JP 08505864	T2	19960625	JP 1994-516652	19940118
				GB 1993-1000	A 19930120
				WO 1994-EP145	W 19940118
	AT 164849	E	19980415	AT 1994-905100	19940118
				GB 1993-1000	A 19930120
	ES 2117249	T3	19980801	ES 1994-905100	19940118
				GB 1993-1000	A 19930120
	RU 2129561	C1	19990427	RU 1995-122754	19940118
				GB 1993-1000	A 19930120
				WO 1994-EP145	W 19940118
	SK 281229	B6	20010118	SK 1995-918	19940118
				GB 1993-1000	A 19930120
				WO 1994-EP145	W 19940118
	IL 108372	A1	19980615	IL 1994-108372	19940119
				GB 1993-1000	A 19930120
	FI 9503489	A	19950913	FI 1995-3489	19950719
				GB 1993-1000	A 19930120

			WO 1994-EP145 W 19940118
NO 9502872	A	19950913	NO 1995-2872 19950719
			GB 1993-1000 A 19930120
			WO 1994-EP145 W 19940118
US 5925624	A	19990720	US 1995-446727 19950918
			GB 1993-1000 A 19930120
			WO 1994-EP145 W 19940118
US 5889178	A	19990330	US 1997-934540 19970922
			GB 1993-1000 A 19930120
			US 1995-446727 A319950918

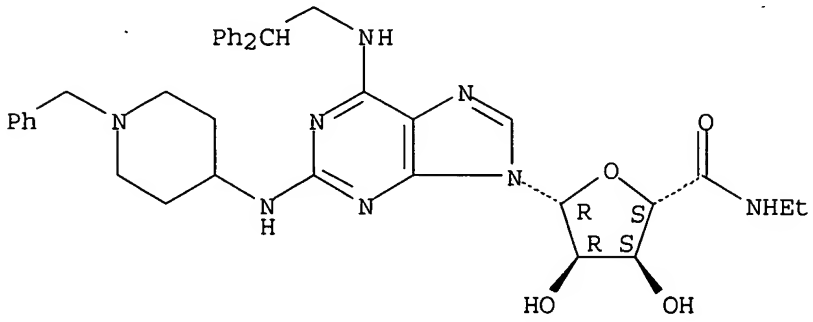
OS MARPAT 123:199300

IT **167297-77-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of diaminopurinylribofuranuronamide derivs. as antiinflammatories)

RN 167297-77-0 CAPLUS

CN .beta.-D-Ribofuranuronamide, 1-deoxy-1-[6-[(2,2-diphenylethyl)amino]-2-[[1-(phenylmethyl)-4-piperidinyl]amino]-9H-purin-9-yl]-N-ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

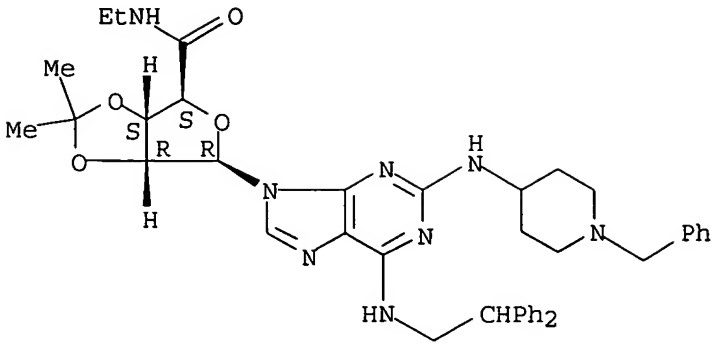


IT **167297-68-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of diaminopurinylribofuranuronamide derivs. as antiinflammatories)

RN 167297-68-9 CAPLUS

CN .beta.-D-Ribofuranuronamide, 1-deoxy-1-[6-[(2,2-diphenylethyl)amino]-2-[[1-(phenylmethyl)-4-piperidinyl]amino]-9H-purin-9-yl]-N-ethyl-2,3-O-(1-methylethylidene)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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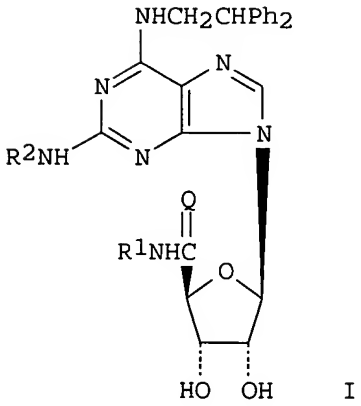

I

AB Title compds. [I; R1 = H, C3-8 cycloalkyl, C1-6 alkyl; R2 = (substituted) C3-8 cycloalkyl, C3-8 cycloalkyl-C1-6 alkyl, pyrrolidin-3-yl, 2-oxopyrrolidin-4-yl, 2-oxopyrrolidin-5-yl, piperidin-3-yl, piperidin-4-yl, etc.; Q = O, S], were prepd. Title compds. are useful as antiinflammatory agents, particularly in the treatment of patients with inflammatory conditions who are susceptible to leukocyte-induced tissue damage. Thus, (trans)-1-[2-[(4-aminocyclohexyl)amino]-6-[(2,2-diphenylethyl)amino]-9H-purin-9-yl]-1-deoxy-N-ethyl-2,3-O-(1-methylethylidene)-.beta.-D-ribofuranuronamide was stirred with aq. CF3CO2H to give (trans)-1-[2-[(4-aminocyclohexyl)amino]-6-[(2,2-diphenylethyl)amino]-9H-purin-9-yl]-1-deoxy-N-ethyl-.beta.-D-ribofuranonamide. The latter was 25 times more potent than NECA for inhibiting O2- generation from neutrophils stimulated with fMLP, and inhibited ovalbumin-induced eosinophil accumulation in sensitized guinea pigs with ED50 = 10 .mu.g/kg i.p.

L11 ANSWER 15 OF 15 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1989:574103 CAPLUS
DN 111:174103
TI Preparation of piperidine-containing heterocycles as analgesics and anesthetics
IN Lin, Bor Sheng; Scheblein, Joseph W.

PA BOC Inc., USA
SO U.S., 28 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4831192	A	19890516	US 1987-139896	19871231
	EP 328830	A1	19890823	EP 1988-312149	19881221
	EP 328830	B1	19940601		
	R: BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
				US 1987-139896	19871231
				US 1987-139899	19871231
	ES 2054836	T3	19940816	ES 1988-312149	19881221
				US 1987-139896	19871231
				US 1987-139899	19871231
	JP 01301676	A2	19891205	JP 1988-332751	19881228
				US 1987-139896	19871231

PATENT FAMILY INFORMATION:
FAN 1989:423391

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4791120	A	19881213	US 1987-139899	19871231
	US 4871749	A	19891003	US 1988-256792	19881011
				US 1987-139899	19871231
	AU 8826604	A1	19890713	AU 1988-26604	19881206
	AU 616708	B2	19911107		
				US 1987-139899	19871231
	NO 8805463	A	19890703	NO 1988-5463	19881208
	NO 174553	B	19940214		
	NO 174553	C	19940525		
				US 1987-139899	19871231
	IL 88645	A1	19930708	IL 1988-88645	19881209
				US 1987-139899	19871231
	EP 328830	A1	19890823	EP 1988-312149	19881221
	EP 328830	B1	19940601		
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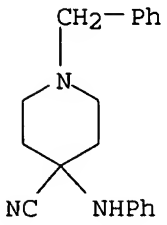
				US 1987-139899	19871231
	CN 1035285	A	19890906	CN 1989-100056	19881230
	CN 1023010	B	19931208		
				US 1987-139899	19871231

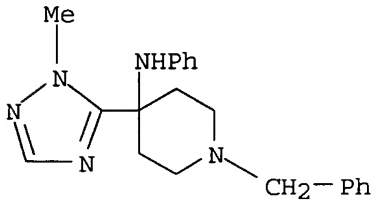
OS CASREACT 111:174103; MARPAT 111:174103
IT **968-86-5P 120070-52-2P 120070-54-4P**
120070-55-5P 120115-93-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)
(prepn. and reaction of, in prepn. of analgesics and anesthetics)

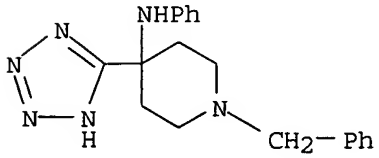
RN 968-86-5 CAPLUS
CN 4-Piperidinecarbonitrile, 4-(phenylamino)-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



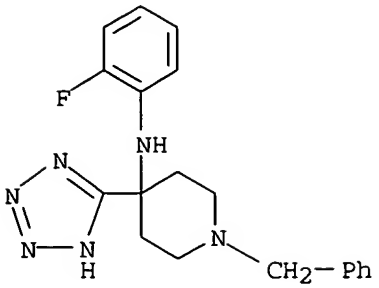
RN 120070-52-2 CAPLUS
CN 4-Piperidinamine, 4-(1-methyl-1H-1,2,4-triazol-5-yl)-N-phenyl-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



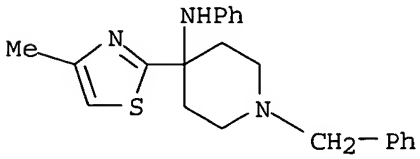
RN 120070-54-4 CAPLUS
CN 4-Piperidinamine, N-phenyl-1-(phenylmethyl)-4-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



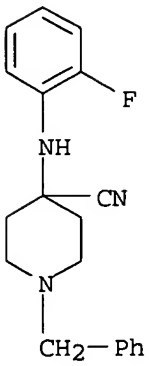
RN 120070-55-5 CAPLUS
CN 4-Piperidinamine, N-(2-fluorophenyl)-1-(phenylmethyl)-4-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



RN 120115-93-7 CAPLUS
CN 4-Piperidinamine, 4-(4-methyl-2-thiazolyl)-N-phenyl-1-(phenylmethyl)-
(9CI) (CA INDEX NAME)



IT **120070-56-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in prepn. of analgesics and anesthetics)
RN 120070-56-6 CAPLUS
CN 4-Piperidinecarbonitrile, 4-[(2-fluorophenyl)amino]-1-(phenylmethyl)-
(9CI) (CA INDEX NAME)



GI For diagram(s), see printed CA Issue.
AB Title compds. I [R1 = (substituted) oxadiazolyl, imidazolyl, triazolyl, tetrazolyl, thiazolyl; R2 = (substituted) Ph; R3 = acyl, alkoxycarbonyl; L = alkyl, alkoxy, thienylalkyl, (substituted) thiazolylalkyl, etc.] are prepd. from I (R1 = cyano; R3 = H). Treatment of I (R1 = cyano; R2 = Ph; R3 = H; L = PhCH2) (prepd. from KCN, PhNH2, and N-benzyl-4-piperidone, CAUTION: HCN evolution) with NaN3 in THF in the presence of AlCl3 gave I (R1 = 1H-tetrazol-5-yl), which was refluxed with Ac2O to afford I (R1 = 5-methyl-1,3,4-oxadiazol-2-yl; R2 = Ph; R3 = Ac; L = PhCH2). The oxalate of the latter showed ED50 >5.0 mg/kg in mice in a hot-plate analgesia

test.

=> d his

(FILE 'HOME' ENTERED AT 10:51:12 ON 13 OCT 2003)

FILE 'REGISTRY' ENTERED AT 10:52:17 ON 13 OCT 2003
L1 STRUCTURE UPLOADED
L2 4255 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 10:53:24 ON 13 OCT 2003
L3 1572 S L2
L4 13 S L3 AND OXADIAZOLE
L5 98 S L3 AND IMIDAZOLE
L6 6 S L3 AND OXAZOLE
L7 295 S L3 AND ONE
L8 0 S L3 AND CHEMIKINE
L9 1 S L3 AND CHEMOKINE AND CCR1 AND CCR3
L10 20 S L3 AND TRIAZOLE
L11 15 S L3 AND 1,2,4-TRIAZOLE

=> d l10 fbib hitstr abs total

L10 ANSWER 1 OF 20 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2003:356269 CAPLUS
DN 138:348761
TI Type 4 phosphodiesterase inhibitors and therapeutic uses thereof
IN Eggenweiler, Hans-Michael; Wolf, Michael
PA Merck Patent G.m.b.H., Germany
SO PCT Int. Appl., 122 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

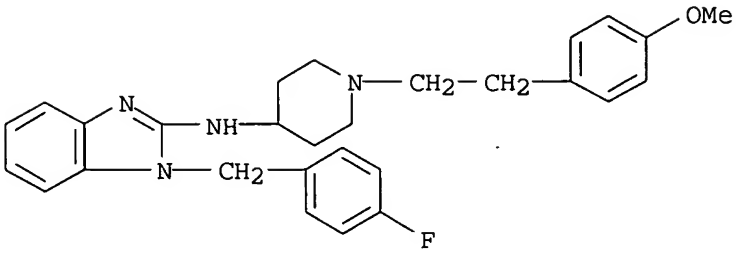
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003037349	A1	20030508	WO 2002-EP9596	20020828

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 2001-125394 A 20011031

OS MARPAT 138:348761
IT **68844-77-9**, Astemizole
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(phosphodiesterase IV inhibitors, therapeutic uses, and use with other agents)
RN 68844-77-9 · CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[(4-fluorophenyl)methyl]-N-[1-[2-(4-

methoxyphenyl)ethyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



AB The invention discloses the use of type 4 phosphodiesterase inhibitors (PDE IV inhibitors) to treat diseases, as well as combinations of PDE IV inhibitors with other drugs.

RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 2 OF 20 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2003:335076 CAPLUS
DN 138:353831
TI Preparation of 2-carboxypyrroles as tyrosine kinase inhibitors
IN Trotter, B. Wesley; Bell, Ian M.; Zartman, C. Blair; Lindsley, Craig; Zhao, Zhijian
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 208 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003035615	A2	20030501	WO 2002-US33920	20021021

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

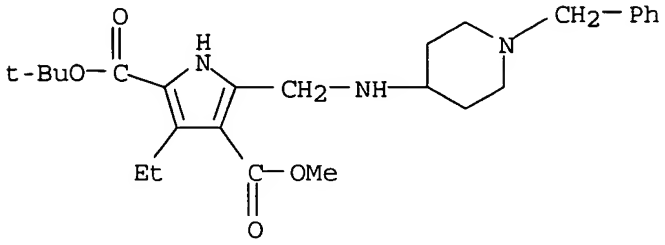
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2001-343119PP 20011025

OS MARPAT 138:353831

IT **518067-63-5P**, 2-tert-Butoxycarbonyl-4-methoxycarbonyl-5-[[(1-benzylpiperidin-4-yl)amino]methyl]-3-ethyl-1H-pyrrole **518067-64-6P**, 2-tert-Butoxycarbonyl-4-methoxycarbonyl-5-[[(1-benzylpiperidin-4-yl)amino]methyl]-3-ethyl-1H-pyrrole trifluoroacetate
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(tyrosine kinase inhibitor; prepn. of carboxypyrroles as tyrosine kinase inhibitors for treatment cancer, diabetes, autoimmune disorders, hyperproliferation disorders, aging, acromegaly, and Crohn's disease)

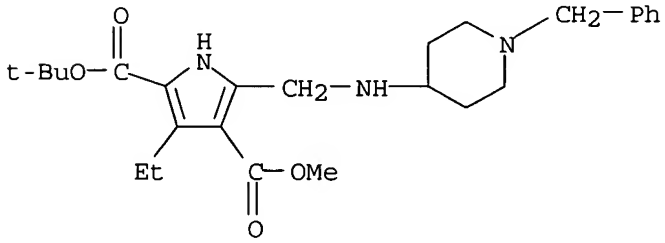
RN 518067-63-5 CAPLUS
CN 1H-Pyrrole-2,4-dicarboxylic acid, 3-ethyl-5-[[[1-(phenylmethyl)-4-piperidinyl]amino]methyl]-, 2-(1,1-dimethylethyl) 4-methyl ester (9CI) (CA INDEX NAME)



RN 518067-64-6 CAPLUS
CN 1H-Pyrrole-2,4-dicarboxylic acid, 3-ethyl-5-[[[1-(phenylmethyl)-4-piperidinyl]amino]methyl]-, 2-(1,1-dimethylethyl) 4-methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

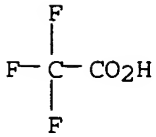
CM 1

CRN 518067-63-5
CMF C26 H37 N3 O4

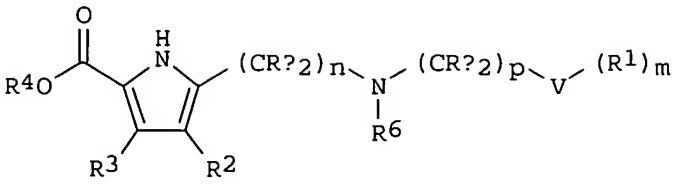


CM 2

CRN 76-05-1
CMF C2 H F3 O2



GI



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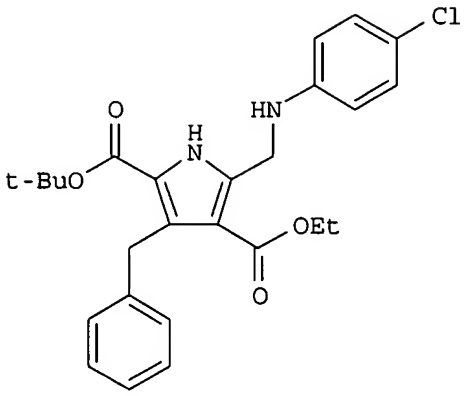
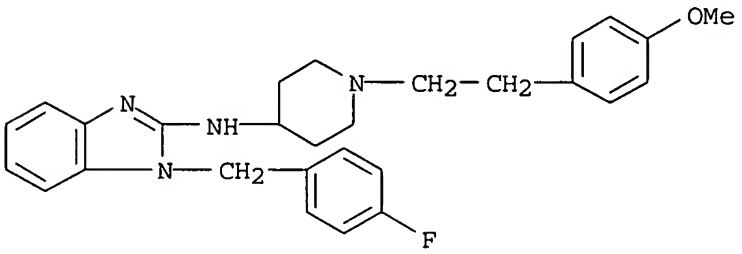


II

AB Title compds. I [wherein V = (cyclo)alkyl, aryl, heterocyclyl, or CO; Ra and Rb = independently H, OR7, or (un)substituted alkyl, aryl, or heterocyclyl; R1 = independently H, halo, OR7, COR7, CO2R7, CON(R6)2, N(R7)2, SO2N(R5)2, or (un)substituted (cyclo)alkyl, aryl, or heterocyclyl; R2 = CO2R7, (CRb2)nN(R7)2, CON(R7)2, CONR7OR7, CONH(CRb2)qR7, CONR7NHCOR7, CONR7SO2OR7, (CRb2)nOR7, CONH(CRb2)qCON(R7)2, or (un)substituted alkyl or aryl; R3 and R7 = independently H or (un)substituted alkyl, aralkyl, aryl, or heterocyclyl(alkyl); R4 = (un)substituted alkyl, aryl, aralkyl, or heterocyclyl; R5 = independently H or (un)substituted alkyl, aryl, or heterocyclyl; R6 = independently H, OR7, or (un)substituted alkyl, aralkyl, aryl, or heterocyclyl(alkyl); m = 0-6; n = 0-6; p = 0-6; q = 0-5; and pharmaceutically acceptable salts or stereoisomers thereof] were prepd. for inhibiting, modulating, and/or regulating signal transduction of both receptor type and non-receptor type tyrosine kinases. For example, addn. of PhCH2COCl to Meldrum's acid and subsequent treatment with t-BuOH gave tert-Bu 3-oxo-4-phenylbutanoate (no data). Cyclization with NaNO2 and Et 3-oxobutanoate in the presence of Zn and NH4OAc, followed by oxidn. and reductive addn. of 4-chloroaniline provided II. Compds. of the invention inhibited insulin-like growth factor I receptor (IGF-1R) or insulin receptor (IR) kinase activity with IC50 values of .ltoreq.100 .mu.M. Thus, I are useful for the treatment of protein kinase related disorders, such as cancer, diabetes, autoimmune disorders, hyperproliferation disorders, aging, acromegaly, and Crohn's disease (no data).

L10 ANSWER 3 OF 20 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2003:331563 CAPLUS
DN 139:32012
TI Predicting the Genotoxicity of Secondary and Aromatic Amines Using Data Subsetting To Generate a Model Ensemble
AU Mattioni, Brian E.; Kauffman, Gregory W.; Jurs, Peter C.; Custer, Laura L.; Durham, Stephen K.; Pearl, Greg M.
CS Department of Chemistry, The Pennsylvania State University, University

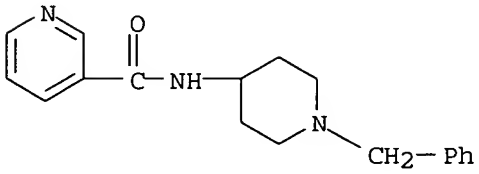
Park, PA, 16802, USA
SO Journal of Chemical Information and Computer Sciences (2003), 43(3), 949-963
CODEN: JCISD8; ISSN: 0095-2338
PB American Chemical Society
DT Journal
LA English
IT **68844-77-9**, Astemizole
RL: ADV (Adverse effect, including toxicity); PRP (Properties); BIOL (Biological study)
(predicting genotoxicity of secondary and arom. amines using genetic algorithm search engine for data subsetting to generate model ensembles based on various mol. descriptors)
RN 68844-77-9 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[(4-fluorophenyl)methyl]-N-[1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



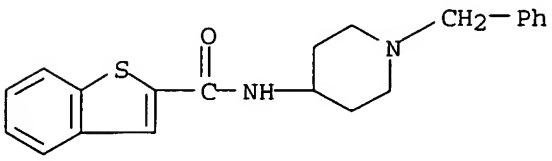
AB Binary quant. structure-activity relationship (QSAR) models are developed to classify a data set of 334 arom. and secondary amine compds. as genotoxic or nongenotoxic based on information calcd. solely from chem. structure. Genotoxic endpoints for each compd. were detd. using the SOS Chromotest in both the presence and absence of an S9 rat liver homogenate. Compds. were considered genotoxic if assay results indicated a pos. genotoxicity hit for either the S9 inactivated or S9 activated assay. Each compd. in the data set was encoded through the calcn. of numerical descriptors that describe various aspects of chem. structure (e.g. topol., geometric, electronic, polar surface area). Furthermore, five addnl. descriptors that focused on the secondary and arom. nitrogen atoms in each mol. were calcd. specifically for this study. Descriptor subsets were examd. using a genetic algorithm search engine interfaced with a k-Nearest Neighbor fitness evaluator to find the most information-rich subsets, which ultimately served as the final predictive models. Models were chosen for their ability to minimize the total no. of misclassifications, with special attention given to those models that possessed fewer occurrences of pos. toxicity hits being misclassified as nontoxic (false negatives). In addn., a subsetting procedure was used to form an ensemble of models using different combinations of compds. in the training and prediction sets. This was done to ensure that consistent results could be obtained regardless of training set compn. The procedure also allowed for each compd. to be externally validated three times by different training set data with the resultant predictions being used in a "majority rules" voting scheme to produce a consensus prediction for each member of the data set. The individual models produced an av. training set classification rate of 71.6% and an av. prediction set classification rate of 67.7%. However, the model ensemble was able to correctly classify the genotoxicity of 72.2% of all prediction set compds.

RE.CNT 83 THERE ARE 83 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

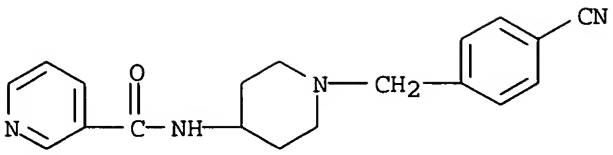
L10 ANSWER 4 OF 20 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2003:295477 CAPLUS
DN 139:69208
TI Click Linker: Efficient and High-Yielding Synthesis of a New Family of SPOS Resins by 1,3-Dipolar Cycloaddition
AU Loeber, Stefan; Rodriguez-Loaiza, Pilar; Gmeiner, Peter
CS Department of Medicinal Chemistry, Emil Fischer Center, Friedrich-Alexander University, Erlangen, D-91052, Germany
SO Organic Letters (2003), 5(10), 1753-1755
CODEN: ORLEF7; ISSN: 1523-7060
PB American Chemical Society
DT Journal
LA English
OS CASREACT 139:69208
IT **135385-46-5P 552311-89-4P 552311-97-4P**
552312-06-8P 552312-12-6P 552312-16-0P
552312-21-7P 552312-25-1P
RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation)
(prepn. and dopamine D4 receptor selectivity and binding of a combinatorial library of amides prepd. on solid-phase using a novel methylindolylmethyltriazolyl linker)
RN 135385-46-5 CAPLUS
CN 3-Pyridinecarboxamide, N-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



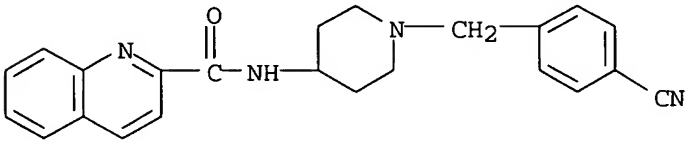
RN 552311-89-4 CAPLUS
CN Benzo[b]thiophene-2-carboxamide, N-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



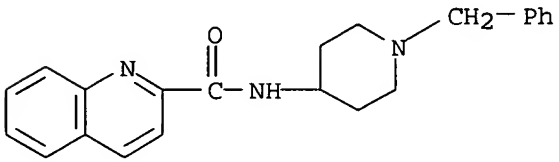
RN 552311-97-4 CAPLUS
CN 3-Pyridinecarboxamide, N-[1-[(4-cyanophenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



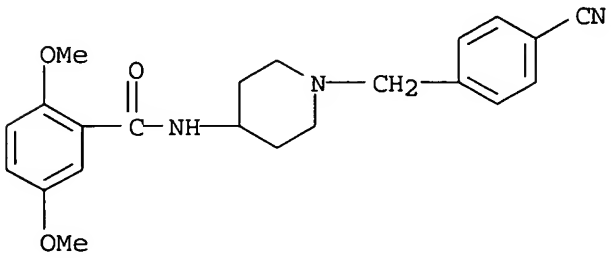
RN 552312-06-8 CAPLUS
CN 2-Quinolinecarboxamide, N-[1-[(4-cyanophenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



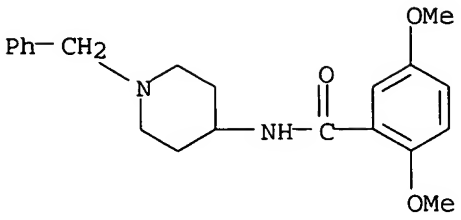
RN 552312-12-6 CAPLUS
CN 2-Quinolinecarboxamide, N-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



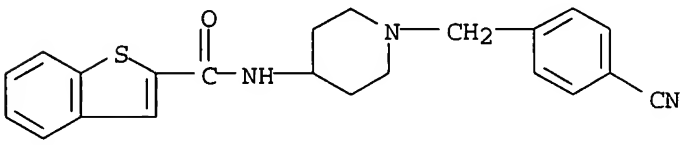
RN 552312-16-0 CAPLUS
CN Benzamide, N-[1-[(4-cyanophenyl)methyl]-4-piperidinyl]-2,5-dimethoxy- (9CI) (CA INDEX NAME)



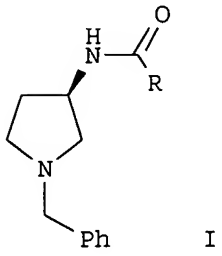
RN 552312-21-7 CAPLUS
CN Benzamide, 2,5-dimethoxy-N-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 552312-25-1 CAPLUS
CN Benzo[b]thiophene-2-carboxamide, N-[1-[(4-cyanophenyl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



GI



I

AB Functionalized resins for the prepn. of combinatorial libraries are prepd. using the 1,3-dipolar cycloaddn. of a resin-bound azide with propargyl-substituted aryl aldehydes to yield a variety of resin-bound **triazole**-contg. aryl aldehydes as the key step. Reductive amination of the resin-bound aldehydes with amines followed by carbodiimide-mediated coupling of the resin-bound amines with carboxylic acids and cleavage of the amides from the resin with trifluoroacetic acid yields amides. This method allows the prepn. of a variety of linkers for solid-phase synthesis of combinatorial libraries and thus allows the linker to be readily optimized for the prepn. of the desired combinatorial library. In the case of an amide library, a 3-methylindolylmethyltriazolyl linker is found to provide the amide products in high purity and yield. Using the 3-methylindolylmethyltriazolyl linker, a library of twenty amides are prepd. and tested for binding to dopamine D4 receptors; I (R = 2-quinolyl, 2-benzothienyl) are found to bind selectively to D4 dopamine receptors in preference to D2(long), D2(short), and D3 dopamine receptors.

RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 5 OF 20 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2003:98039 CAPLUS
DN 138:153534
TI Preparation of benzimidazolyl-substituted quinolinone derivatives and analogs, with inhibitory action against vascular endothelial growth factor receptor tyrosine kinase, and useful as anticancer agents
IN Renhowe, Paul A.; Pecchi, Sabina; Machajewski, Timothy D.; Shafer, Cynthia M.; Taylor, Clarke; McCrea, William R.; McBride, Christopher; Jazan, Elisa
PA Chiron Coporation, USA
SO U.S. Pat. Appl. Publ., 69 pp., Cont.-in-part of U.S. Pat. Appl. 2002 107,392.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2003028018	A1	20030206	US 2002-116117	20020405
				US 2000-232159PP	20000911
				US 2001-951265 A2	20010911
	US 2002107392	A1	20020808	US 2001-951265	20010911
	US 6605617	B2	20030812		
				US 2000-232159PP	20000911
	US 2003158224	A1	20030821	US 2002-284017	20021030
				US 2000-232159PP	20000911
				US 2001-951265 A1	20010911

PATENT FAMILY INFORMATION:
FAN 2002:220574

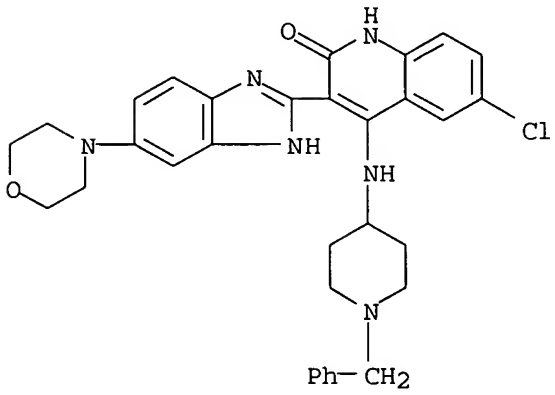
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002022598	A1	20020321	WO 2001-US42131	20010911
	WO 2002022598	C1	20021121		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
				US 2000-232159PP	20000911
	AU 2001093275	A5	20020326	AU 2001-93275	20010911
				US 2000-232159PP	20000911
				WO 2001-US42131W	20010911
	EP 1317442	A1	20030611	EP 2001-973722	20010911
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
				US 2000-232159PP	20000911
				WO 2001-US42131W	20010911
	NO 2003001097	A	20030325	NO 2003-1097	20030310
				US 2000-232159PP	20000911
				WO 2001-US42131W	20010911

OS MARPAT 138:153534
IT **405170-47-0P**, 6-Chloro-3-(5-(morpholin-4-yl)-1H-benzimidazol-2-yl)-4-[[1-(phenylmethyl)piperidin-4-yl]amino]quinolin-2(1H)-one
405170-62-9P, 6-Chloro-3-[5-(4-methylpiperazin-1-yl)-1H-benzimidazol-2-yl]-4-[[1-(phenylmethyl)piperidin-4-yl]amino]quinolin-2(1H)-one

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of benzimidazolyl-substituted quinolinone derivs. and analogs as VEGFR tyrosine kinase-inhibiting anticancer agents)

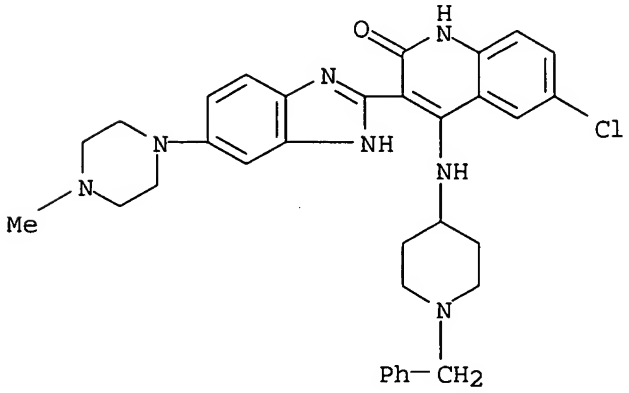
RN 405170-47-0 CAPLUS

CN 2(1H)-Quinolinone, 6-chloro-3-[5-(4-morpholinyl)-1H-benzimidazol-2-yl]-4-[[1-(phenylmethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)



RN 405170-62-9 CAPLUS

CN 2(1H)-Quinolinone, 6-chloro-3-[5-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]-4-[[1-(phenylmethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)



GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. of formulas I and II are provided [for I: Z = O, S, (un)substituted NH; Y = certain OH derivs., CHO, esters and amides of CO2H, certain NH2 derivs.; R1-R4 = H, halo, cyano, NO2, OH or derivs., NH2

or derivs., (un)substituted amidinyl, guanidinyl, alk(en/yn)yl, aryl, heterocyclyl, CHO, CO2H and esters and amides; R5-R8 = H, halo, NO2, OH or derivs., NH2 or derivs., SH or derivs., cyano, etc.; R9 = H, OH, (un)substituted alkoxy or aryloxy, NH2 or derivs., (un)substituted alkyl or aryl, CHO, alkanoyl, aroyl; for II: A, B, D, E = C or N, with at least one being N; Y = H, OH or derivs., SH or derivs., NH2 or derivs., cyano, various acyl groups, (un)substituted alk(en/yn)yl, aralkyl, heterocycloalkyl, aryl, etc.; R1-R8 = H, halo, NO2, cyano, OH or derivs., NH2 or derivs., acyl, SH or derivs., etc.; R9 = H, OH, (un)substituted alkoxy, aryloxy, NH2 or derivs., aryl, CHO, alkanoyl, aroyl]. Also provided are pharmaceutical formulations including the compds. or their pharmaceutically acceptable salts and a pharmaceutically acceptable carrier, which may be prepd. by mixing the compds. or salts with a carrier and water. A disclosed method of treating a patient includes administering a pharmaceutical formulation according to the invention to a patient. Claims include tautomers of the compds., pharmaceutically acceptable salts, and pharmaceutically acceptable salts of the tautomers. I and II are inhibitors of receptor tyrosine kinases, and particularly of vascular endothelial growth factor receptor (VEGFR) tyrosine kinase. As such, they are inhibitors of angiogenesis, and thereby act as anticancer agents. Approx 270 invention compds. are listed, with detailed prepns. given for about 50 compds. Several general preparatory methods are discussed in detail. For instance, cyclocondensation of Et 2-(benzimidazol-2-yl)acetate with the corresponding ortho-amino nitrile (prepns. given), carried out in refluxing ClCH2CH2Cl in the presence of SnCl4, gave the invention quinolinone III. Many compds. I and II had in vitro IC50 values of less than 10 .mu.M with respect to flt-1 (VEGFR1), KDR (VEGFR2) and bFGF kinases (recombinant, expressed in Sf9 insect cells).

L10 ANSWER 6 OF 20 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2002:906175 CAPLUS
DN 138:14074
TI Preparation of benzo[g]quinoxalines for use against infectious diseases
IN Pato, Janos; Keri, Gyoergy; Oerfi, Laszlo; Waczek, Frigyes; Horvath, Zoltan; Banhegyi, Peter; Szabadkai, Istvan; Marosfalvi, Jenoe; Hegymegi-barakonyi, Balint; Szekelyhidi, Zsolt; Greff, Zoltan; Choidas, Axel; Bacher, Gerald; Daub, Henrik; Obert, Sabine; Kurtenbach, Alexander; Habenberger, Peter
PA Axxima Pharmaceuticals Ag, Germany; et al.
SO PCT Int. Appl., 237 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002094796	A2	20021128	WO 2002-EP5573	20020521

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

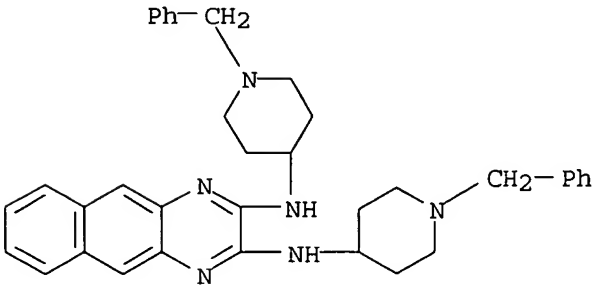
EP 2001-112289 A 20010518
US 2001-292325PP 20010522
US 2001-298902PP 20010619
EP 2001-115508 A 20010627

OS MARPAT 138:14074

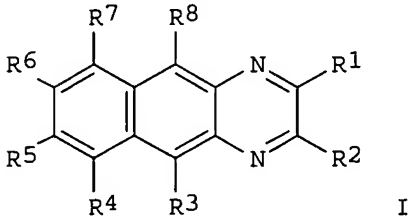
IT **476637-76-0P**, N,N'-Bis(1-benzylpiperidin-4-yl)benzo[g]quinoxaline-2,3-diamine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of benzo[g]quinoxalines for use against infectious diseases)

RN 476637-76-0 CAPLUS

CN Benzo[g]quinoxaline-2,3-diamine, N,N'-bis[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



GI



I

AB The present invention relates to benzo[g]quinoxaline derivs. (shown as I; e.g. 2,3-bis(2-thienyl)benzo[g]quinoxaline and benzo[g]quinoxalin-2-yl(3-bromophenyl)amine), processes for manufg. said benzo[g]quinoxaline derivs., the use of the benzo[g]quinoxaline derivs. as pharmaceutically active agents, esp. for the prophylaxis and/or treatment of infectious diseases and opportunistic infections, diabetes, cancer, inflammation, as well as compns. contg. at least one benzo[g]quinoxaline deriv. and/or pharmaceutically acceptable salt thereof. Further, the present invention is directed to methods for preventing and/or treating of infectious diseases, diabetes, cancer, and inflammation using the inventive benzo[g]quinoxaline derivs. The inventive benzo[g]quinoxaline derivs. exert their antiproliferative effect on M. bovis BCG and M. tuberculosis Erdmann at concns. between <<1 .mu.M and 32 .mu.M. In contrast, growth of E. coli XI-1 blue was not affected by benzo[g]quinoxaline derivs. at concns. >10 .mu.M. The benzo[g]quinoxaline compds. are able to inhibit HI

virus replication up to 63% after 6 days at a concn. of 1 .mu.M. 5,10-Dibromo-2-(thiophen-3-yl)-3-(thiophen-2-yl)benzo[g]quinoxaline is able to decrease the activity of the herpes viral target UL-97 by 75%. Results for inhibition of HCMV target RICK for 5 I, of influenza replication for 7 I, of hepatitis B virus for 5 I, of TNF.alpha. signaling for 11 I, of human cellular protein kinases (Akt, Abl, PDGFR, Src) for 7 I, of A549 and Jurkat cells for 18 I, of human cellular protein kinase Akt known as a target for diabetes for 4 I, and of human protein kinases SRPK1 and SRPK2 (indicative of hepatitis B virus replication inhibition) for 8 and 1 I, resp., are tabulated. Results for activation of the insulin receptor InsR by 3 I, effect of 2 I on viability of Huh-5-2 replicon cells by the Alamar Blue toxicity assay, effect of 2 I on autonomous replication of hepatitis C virus replicons in the Huh-5-2 cell line by luciferase reporter assay, are tabulated. In I: R1 and R2 = -(CH2)p-NH-(CH2)n-R9, -(CH2)s-S-(CH2)m-R10, -(CH2)m-O-(CH2)p-R11, -(CH2)r-R3, -CH:CH-R11, -(CH2)m-CH(OH)(CH2)p-R11, -(CH2)q-R11, -R9, R10, -R12, -R13, etc. R3, R4, R5, R6, R7, and R8 = -H, -F, -Cl, -Br, -I, -SO3H, -SO3NH2, -(CH2)s-COOR16, -(CH2)p-COOR17, -OR16, -SR16, -NR16R17, -OOCR16, -OOCR17, -NH-CO-R16, -NH-CO-R17, -CO-NH-R16, -CO-NH-R17, -NO2, -N3, -CN, -OCN, -NCO, -SCN, -NCS, CO-R16, CO-R17, -COCN, -CONR16R17, -SOR16, -SO2R16, -SO2R17, -SO3R16, -SO3R17, OCF3. R9, R10, and R11 = -CN, NR16R17, -NHR16, NHR17, etc. R12, R13, R14, and R15 = R3, R4, R5, R6, R16, R17, CH(CO2R16)(CO2R17), CH(CN)(CO2R16), CH(CN)C(O)NHAr (Ar = R14- and R15-substituted phenyl); R16 and R17 = -H, -CH3, -C2H5, -Pr, -CHMe2, -Bu, -C5H11, -C6H13, -cyclo-C6H11, -cyclo-C5H9, -cyclo-C4H7, -cyclo-C3H5, -(CH2)r-CHMe2, -CHMeEt, -CMe3, -CH:CH2, -CH2-CH:CH2, Ph, --CH2Ph, -C2H4Ph, -CH(CN)2, -CF3, -CCl3, -CBr3, -C2F5, -(CH2)r-OH, -CH2F, -CH2Cl, -CH2Br, -CH2I, -CHF2, -CHCl2, -CHBr2, -(CH2)r-SH, -C6H4-CH3, -C6H3Me2, pyridyl, 2-pyrimidinyl, etc. M = 0-6, n = 0-6, p = 0-6, q = 0-6, r = 1-6, s = 0-6. Also claimed are the corresponding N-oxides in position 1 and/or 4 of these compds., the corresponding reduced forms of these compds. wherein the double bond in position 1 and/or 3 is hydrogenated, and pharmaceutically acceptable salts of I. About 42 example prepns. and 406 compds. with characterization data are included. 1H-benzo[g]quinoxaline-2-one was prepd. in 90% yield by dissolving 20 mmol 2,3-diaminonaphthalene in a mixt. of 5 mL DMF and 50 mL EtOH and adding 5 mL aq. soln. (50%) of glyoxalic acid and the mixt. was stirred for 2 h at reflux temp. The reaction mixt. was cooled to room temp. and the product was filtered, washed two times with Et2O and dried.

L10 ANSWER 7 OF 20 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2002:888714 CAPLUS
DN 137:384765
TI Preparation of novel 4-anilinoquinoline-3-carboxamides as JAK3 kinase inhibitors
IN Larsson, Joakim; Sjoe, Peter
PA Astrazeneca AB, Swed.
SO PCT Int. Appl., 97 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002092571	A1	20021121	WO 2002-SE875	20020506

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,

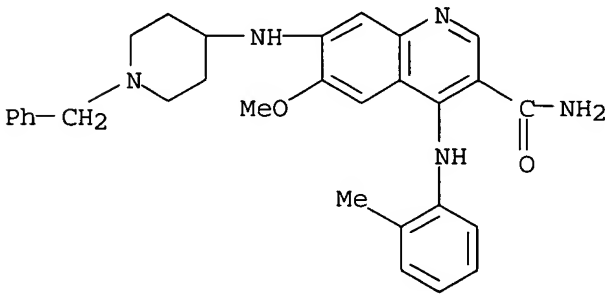
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
SE 2001-1675 A 20010511

OS MARPAT 137:384765

IT **476190-02-0P**, 7-[(1-Benzyl-4-piperidinyl)amino)-6-methoxy-4-(2-methylphenylamino)-3-quinolinecarboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of novel 4-anilinoquinoline-3-carboxamides as JAK3 kinase inhibitors)

RN 476190-02-0 CAPLUS

CN 3-Quinolinecarboxamide, 6-methoxy-4-[(2-methylphenyl)amino]-7-[[1-(phenylmethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)



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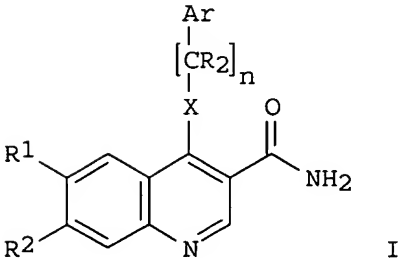

I

AB The title compds. [I; n = 0-1; X = NR3, O; Ar = (un)substituted Ph, indolyl, pyrazolyl, etc.; R = H, alkyl; R1, R2 = H, halo, NO2, etc.; or R1 and R2 are linked together as OCH2O or OCH2CH2O] which are JAK3 kinase inhibitors, useful in treating asthma, host vs. graft rejection/transplantation or rheumatoid arthritis, were prepd. E.g., a 7-step synthesis of I [X = NH; n = 0; Ar = 3-(hydroxymethyl)-2-methylphenyl; R1 = OCH2Ph; R2 = OMe], starting from 4-nitroguaiacol potassium salt, was given. The exemplified compds. I showed IC50 of < 25 .mu.M in JAK3 HTRF assay.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 8 OF 20 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2002:594844 CAPLUS
DN 137:140518
TI Preparation of thiazolyl-, oxazolyl-, pyrrolyl-, and imidazolyl- acid amide derivatives as inhibitors of phosphodiesterase IV isozymes
IN Marfat, Anthony; McKechney, Michael William
PA Pfizer Products Inc., USA
SO PCT Int. Appl., 249 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002060898	A1	20020808	WO 2001-IB2728	20011224
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
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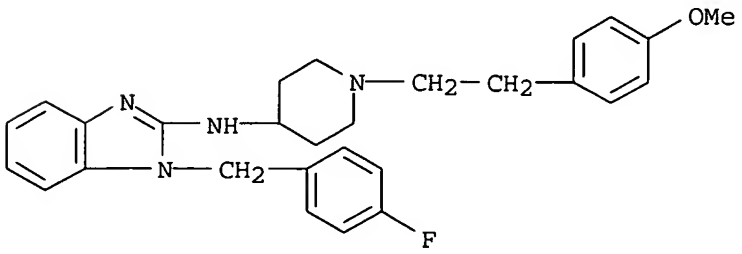
			US 2001-265486PP	20010131
US 2002123520	A1	20020905	US 2002-62145	20020131
US 6559168	B2	20030506		
			US 2001-265486PP	20010131
US 2003130254	A1	20030710	US 2002-300959	20021120
			US 2001-265486PP	20010131
			US 2002-62145 A3	20020131
US 2003186974	A1	20031002	US 2002-300950	20021120
			US 2001-265486PP	20010131
			US 2002-62145 A3	20020131

OS MARPAT 137:140518
IT **68844-77-9**, Astemizole
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination therapy with PDE4 inhibitors; prepn. of thiazolyl-, oxazolyl-, pyrrolyl-, and imidazolyl- acid amide derivs. as inhibitors of PDE4 isoenzymes)
RN 68844-77-9 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[(4-fluorophenyl)methyl]-N-[1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



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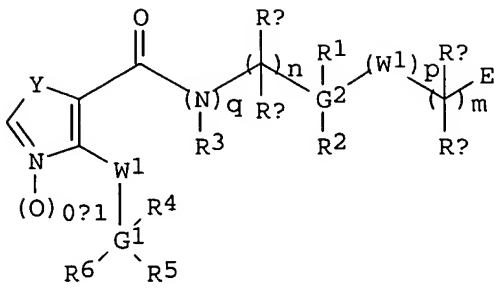

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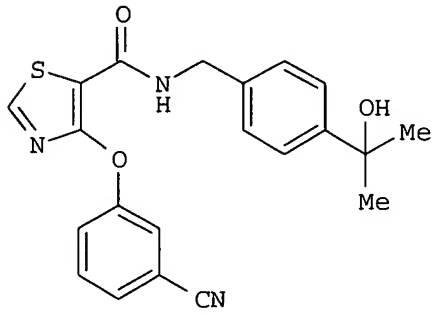


II

AB Title compds. I [wherein p = 0-1; q = 0-1; provided that when q = 0, n = 2; m = 0-3; n = 1-2; W1 and W2 = independently O, SO0-2, or NR3; or W2 = (un)substituted methylene; Y = SO0-2, O, NO0-1, NR3, or (un)substituted methylene; ; RA and RB = independently H, F, CF3, alkyl, or (un)substituted cycloalkyl, Ph, or benzyl; or when m = 1, CRARB = (un)substituted spiro; RC and RD have the same meaning as RA and RB except that one of them must be H; R1 and R2 = H, F, Cl, CN, NO2, (fluoro)alkyl, alkynyl, alkoxy, phenoxy, carbamoyl, etc.; R3 = H, alkyl, Ph, benzyl, alkoxy, phenoxy, etc.; R4, R5, and R6 = H, F, Cl, and (un)substituted (cyclo)alkyl, alkenyl, alkynyl, Ph, benzyl, pyridyl, alkoxy, phenoxy, acyl, carboxy, CN, NO2, carbamoyl, ureido, (hetero)aryl, etc.; G1 and G2 = independently (un)satd. carbocyclyl or heterocyclyl; E = (un)substituted carboxy, carbamoyl, acyl, hydroxyalkyl, cyanoalkyl, acylamino, ureido, amino, heterocyclyl, etc.] were prepd. as inhibitors of PDE4 (no data). For example, 4-(3-cyanophenoxy)thiazole-5-carboxylic acid was treated with 2-(4-aminomethylphenyl)propan-2-ol in the presence of EDCl and HOBT in DMF to give the thiazolamide II. I are useful in the treatment of diseases regulated by the activation and degranulation of eosinophils, esp. asthma, chronic bronchitis, and chronic obstructive pulmonary disease (no data). In addn., I may be used in combination therapy with a wide variety of other therapeutic agents.

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 9 OF 20 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2002:293652 CAPLUS

DN 136:325531
TI Preparation of (poly)azanaphthalenyl carboxamides as HIV integrase inhibitors
IN Anthony, Neville J.; Gomez, Robert P.; Young, Steven D.; Egbertson, Melissa; Wai, John S.; Zhuang, Linghang; Embrey, Mark; Tran, Lekhanh; Melamed, Jeffrey Y.; Langford, H. Marie; Guare, James P.; Fisher, Thorsten E.; Jolly, Samson M.; Kuo, Michelle S.; Perlow, Debra S.; Bennett, Jennifer J.; Funk, Timothy W.
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 434 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002030930	A2	20020418	WO 2001-US31456	20011009
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
				US 2000-239707PP	20001012
				US 2001-281656PP	20010405
	AU 2002011527	A5	20020422	AU 2002-11527	20011009
				US 2000-239707PP	20001012
				US 2001-281656PP	20010405
				WO 2001-US31456W	20011009
	EP 1326865	A2	20030716	EP 2001-979582	20011009
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
				US 2000-239707PP	20001012
				US 2001-281656PP	20010405
				WO 2001-US31456W	20011009
	US 2003055071	A1	20030320	US 2001-973853	20011010
				US 2000-239707PP	20001012
				US 2001-281656PP	20010405

PATENT FAMILY INFORMATION:
FAN 2002:293653

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002030931	A2	20020418	WO 2001-US42564	20011009
	WO 2002030931	A3	20021024		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
				US 2000-239707PP	20001012
				US 2001-281656PP	20010405

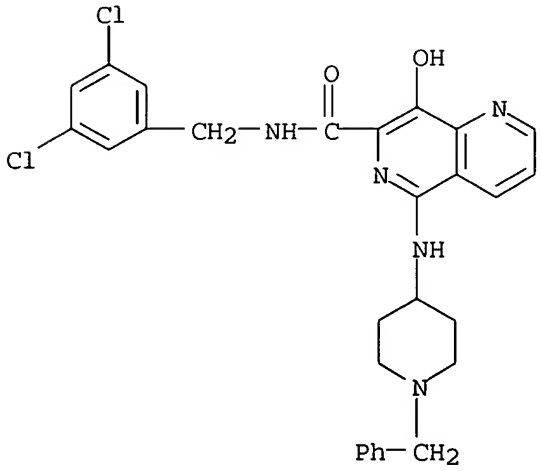
AU 2002011874	A5	20020422	AU 2002-11874 20011009
			US 2000-239707PP 20001012
			US 2001-281656PP 20010405
			WO 2001-US42564W 20011009
EE 200300145	A	20030616	EE 2003-145 20011009
			US 2000-239707PP 20001012
			US 2001-281656PP 20010405
			WO 2001-US42564W 20011009
US 2003055071	A1	20030320	US 2001-973853 20011010
			US 2000-239707PP 20001012
			US 2001-281656PP 20010405
NO 2003001672	A	20030605	NO 2003-1672 20030411
			US 2000-239707PP 20001012
			US 2001-281656PP 20010405
			WO 2001-US42564W 20011009

OS MARPAT 136:325531

IT **410543-58-7P**, 5-[(1-Benzylpiperidin-4-yl)amino]-N-(3,5-dichlorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(HIV integrase inhibitor; prepn. of (poly)azanaphthalenyl carboxamides as HIV integrase inhibitors for treatment of AIDS)

RN 410543-58-7 CAPLUS

CN 1,6-Naphthyridine-7-carboxamide, N-[(3,5-dichlorophenyl)methyl]-8-hydroxy-5-[[1-(phenylmethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)



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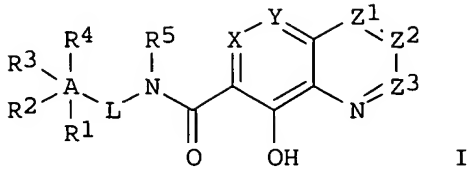

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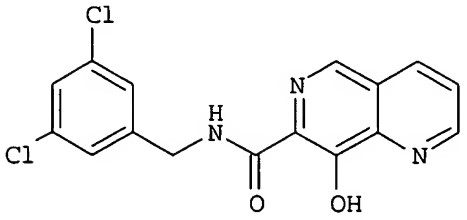


II

AB Title compds., including certain quinoline carboxamide and naphthyridine carboxamide derivs., I [wherein A = (un)substituted Ph or Ph fused to a carbocycle; L = a single bond, or (un)substituted alkyl, alkenyl, alkylcycloalkylalkyl, or alkyl-M-alkyl; M = NRa, OCO, or CO2; X = N or CQ1; Y = N or CQ2, provided that X and Y are not both N; Z1 = N or CQ3; Z2 = N or CQ4; Z3 = N or CH; Q1-Q4 = independently H, halo, CN, NR1CR1O, or (un)substituted alkyl, alkoxy, alkenyl, alkynyl, carbamoyl, carboximidamido, amino, etc.; or C2Q2Q3 = (un)substituted 5- or 6-membered carbocycle or heterocycle; R1 and R2 = independently H, OH, halo, NO2, CN, or (un)substituted alkyl, alkenyl, alkoxy, amino, sulfonylamino, etc.; R3 and R4 = independently H, halo, CN, NO2, OH, alkenyl, or (un)substituted alkyl, amino, sulfonylamino, etc.; R5 = H, CN, CN, or (un)substituted alkyl or aryl; Ra = independently H or (halo)alkyl; or pharmaceutically acceptable salts thereof] were prepd. I are inhibitors of HIV integrase and inhibitors of HIV replication, and are useful in the prevention or treatment of infection by HIV and the treatment of AIDS, as compds. or pharmaceutically acceptable salts, or as ingredients in pharmaceutical compns., optionally in combination with other antivirals, immunomodulators, antibiotics, or vaccines. For example, Mitsunobu reaction of iso-Pr 3-(hydroxymethyl)pyridine-2-carboxylate with Me N-[(4-methylphenyl)sulfonyl]glycinate, followed by cyclization in the presence on NaOMe, afforded Me 8-hydroxy-1,6-naphthyridine-7-carboxylate. Coupling with 3,5-dichlorobenzylamine in toluene gave II. Representative compds. were assayed for the inhibition of acute HIV infection of T-lymphoid cells and demonstrated IC95 values of < 20 .mu.M.

L10 ANSWER 10 OF 20 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2002:240760 CAPLUS
DN 136:279470
TI Preparation of 6-[(substituted phenyl)methyl]quinoline and quinazoline derivatives as farnesyl transferase inhibitors for treatment of tumors and proliferative diseases
IN Angibaud, Patrick Rene; Venet, Marc Gaston; Saha, Ashis Kumar; Mevellec, Laurence Anne
PA Janssen Pharmaceutica N.V., Belg.
SO PCT Int. Appl., 97 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002024683	A1	20020328	WO 2001-EP10895	20010918
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
			EP 2000-203366 A	20000925
AU 2001093829	A5	20020402	AU 2001-93829	20010918
			EP 2000-203366 A	20000925
			WO 2001-EP10895W	20010918
EP 1322636	A1	20030702	EP 2001-974276	20010918
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
			EP 2000-203366 A	20000925
			WO 2001-EP10895W	20010918

OS MARPAT 136:279470

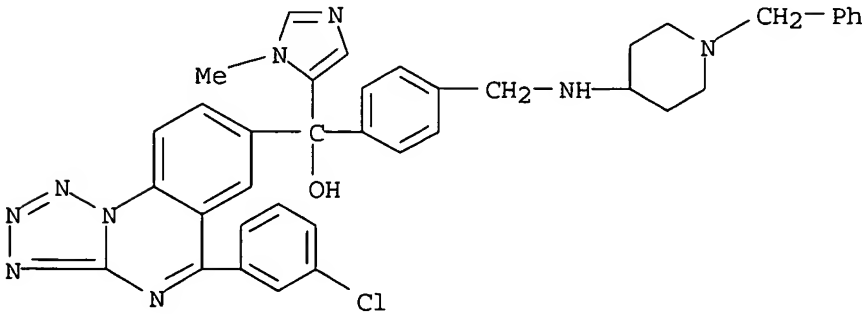
IT **406164-50-9P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(farnesyl transferase inhibitor; prepn. of quinoline and quinazoline derivs. as farnesyl transferase inhibitors for treatment of tumors and proliferative diseases)

RN 406164-50-9 CAPLUS

CN Tetrazolo[1,5-a]quinazoline-7-methanol, 5-(3-chlorophenyl)-.alpha.-(1-methyl-1H-imidazol-5-yl)-.alpha.-[4-[[[1-(phenylmethyl)-4-piperidinyl]amino]methyl]phenyl]- (9CI) (CA INDEX NAME)



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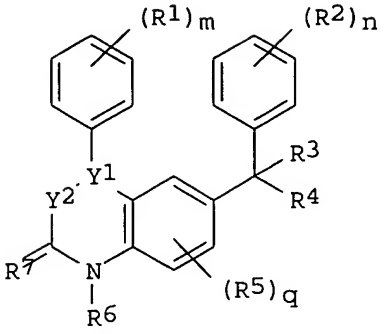

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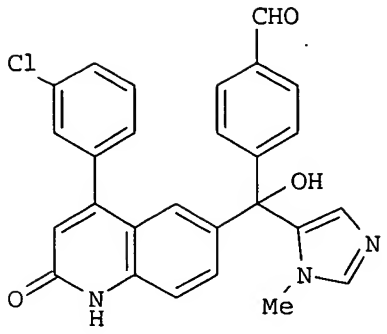


II

AB Title compds. I [wherein m and n = independently 0-5; q = 0-3; Y1Y2 = C:N, C:CR9, CHNR9, or CHCHR9; C9 = H, halo, CN, (cyclo)alkyl, hydroxyalkyl, alkoxy(alkyl), aminoalkyl, (amino)alkenyl, (amino)alkynyl, halocarbonyl, hydroxycarbonyl, alkoxycarbonyl, aryl, (un)substituted amino or carbamoyl, etc.; R1 and R2 = independently azido, OH, halo, CN, NO2, trihalomethyl, alkoxy, aryloxy, heterocyclyloxy, alkylthio, or (un)substituted (cyclo)alkyl, alkenyl, alkynyl, carbamoyl, amino, sulfamoyl, etc.; or 2 adjacent R1 = OCH2O, OCH2CH2O, OCH:CH, OCH2CH2, OCH2CH2CH2, CH:CHCH:CH; R3 = H, halo, CN, alkenyl, alkynyl, hydroxycarbonyl, alkoxycarbonyl, aryl, heterocyclyl, alkoxy, alkylthio, (un)substituted (cyclo)alkyl or amino, etc.; R4 = (un)substituted imidazolyl, triazolyl, or pyridyl; R5 = CN, OH, halo, alkenyl, alkynyl, hydroxycarbonyl, alkoxycarbonyl, or (un)substituted (cyclo)alkyl, alkoxy, amino, or carbamoyl, etc.; R6 = halo or (un)substituted (cyclo)alkyl, alkenyl, alkynyl, alkylthio, carboxy, carbamoyl, acyl(amino), etc.; R7 = O or S; or R6R7 = (un)substituted CH:CHN:, CH:NN:, CONHN:, N;NN:, N:CHN:, CH:CHCH:, CH:NCH:, CONHCH:, N:NCH:, or CH2(CH2)0-1CH2N: ; or pharmaceutically acceptable salts, N-oxides, or stereochem. isomeric forms thereof] were prepd. For example, 6-bromo-2-chloro-4-(3-chlorophenyl)quinoline (6-step prepn. given) was coupled with 4-(diethoxymethyl)benzaldehyde in the presence of BuLi in THF to give the 6-quinolinemethanol (64%), which was treated with MnO2 in 1,4-dioxane to afford the methanone. Methoxylation using MeONa in MeOH (74%), followed by addn. of 1-methyl-1H-imidazole in the presence of BULi and ClSiEt3 in THF, gave 4-(3-chlorophenyl)-.alpha.-[4-(diethoxymethyl)phenyl]-2-methoxy-.alpha.-(1-methyl-1H-imidazol-5-yl)-6-quinolinemethanol (56%). The latter was refluxed in HCl for 24 h, cooled, poured out into H2O, and stirred at room temp. for 1 h to afford the quinolinone II.bul.HCl (98%). I have potent farnesyl transferase inhibitory effect and are useful for inhibiting proliferative diseases and growth of tumors expressing an activated ras oncogene (no data).

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 11 OF 20 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2002:220574 CAPLUS
DN 136:263158
TI Benzimidazolyl-substituted quinolinone derivatives and analogs, with inhibitory action against vascular endothelial growth factor receptor tyrosine kinase, and useful as anticancer agents
IN Renhowe, Paul; Pecchi, Sabina; Machajewski, Tim; Shafer, Cynthia; Taylor, Clarke; McCrea, Bill; McBride, Chris; Jazan, Elisa; Wernette-Hammond, Mary-Ellen; Harris, Alex
PA Chiron Corporation, USA

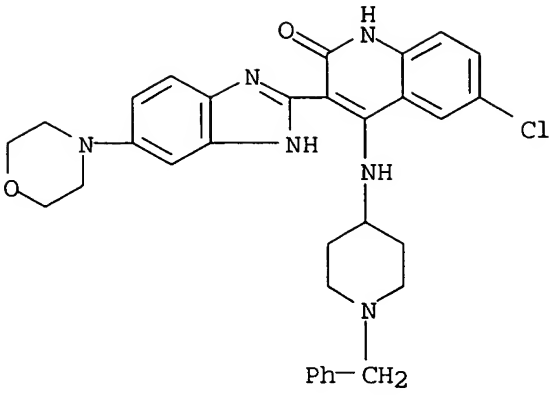
SO PCT Int. Appl., 207 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002022598	A1	20020321	WO 2001-US42131	20010911
WO 2002022598	C1	20021121		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
			US 2000-232159PP	20000911
AU 2001093275	A5	20020326	AU 2001-93275	20010911
			US 2000-232159PP	20000911
			WO 2001-US42131W	20010911
EP 1317442	A1	20030611	EP 2001-973722	20010911
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
			US 2000-232159PP	20000911
			WO 2001-US42131W	20010911
NO 2003001097	A	20030325	NO 2003-1097	20030310
			US 2000-232159PP	20000911
			WO 2001-US42131W	20010911

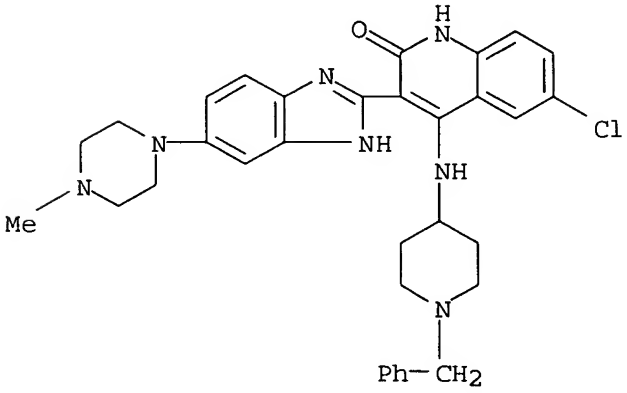
PATENT FAMILY INFORMATION:
FAN 2003:98039

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2003028018	A1	20030206	US 2002-116117	20020405
			US 2000-232159PP	20000911
			US 2001-951265 A2	20010911
US 2002107392	A1	20020808	US 2001-951265	20010911
US 6605617	B2	20030812		
			US 2000-232159PP	20000911
US 2003158224	A1	20030821	US 2002-284017	20021030
			US 2000-232159PP	20000911
			US 2001-951265 A1	20010911

OS MARPAT 136:263158
IT **405170-47-0P**, 6-Chloro-3-(5-(morpholin-4-yl)-1H-benzimidazol-2-yl)-4-[[1-(phenylmethyl)piperidin-4-yl]amino]quinolin-2(1H)-one
405170-62-9P, 6-Chloro-3-[5-(4-methylpiperazin-1-yl)-1H-benzimidazol-2-yl]-4-[[1-(phenylmethyl)piperidin-4-yl]amino]quinolin-2(1H)-one
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of benzimidazolyl-substituted quinolinone derivs. and analogs as VEGFR tyrosine kinase-inhibiting anticancer agents)
RN 405170-47-0 CAPLUS
CN 2(1H)-Quinolinone, 6-chloro-3-[5-(4-morpholinyl)-1H-benzimidazol-2-yl]-4-[[1-(phenylmethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)



RN 405170-62-9 CAPLUS
CN 2(1H)-Quinolinone, 6-chloro-3-[5-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]-4-[[1-(phenylmethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)



GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. of formulas I and II are provided [for I: Z = O, S, (un)substituted NH; Y = certain OH derivs., CHO, esters and amides of CO2H, certain NH2 derivs.; R1-R4 = H, halo, cyano, NO2, OH or derivs., NH2 or derivs., (un)substituted amidinyl, guanidinyl, alk(en/yn)yl, aryl, heterocyclyl, CHO, CO2H and esters and amides; R5-R8 = H, halo, NO2, OH or derivs., NH2 or derivs., SH or derivs., cyano, etc.; R9 = H, OH, (un)substituted alkoxy or aryloxy, NH2 or derivs., (un)substituted alkyl or aryl, CHO, alkanoyl, aroyl; for II: A, B, D, E = C or N, with at least one being N; Y = H, OH or derivs., SH or derivs., NH2 or derivs., cyano, various acyl groups, (un)substituted alk(en/yn)yl, aralkyl, heterocycloalkyl, aryl, etc.; R1-R8 = H, halo, NO2, cyano, OH or derivs., NH2 or derivs., acyl, SH or derivs., etc.; R9 = H, OH, (un)substituted

alkoxy, aryloxy, NH2 or derivs., aryl, CHO, alkanoyl, aroyl]. Also provided are pharmaceutical formulations including the compds. or their pharmaceutically acceptable salts and a pharmaceutically acceptable carrier, which may be prepd. by mixing the compds. or salts with a carrier and water. A disclosed method of treating a patient includes administering a pharmaceutical formulation according to the invention to a patient. Claims include tautomers of the compds., pharmaceutically acceptable salts, and pharmaceutically acceptable salts of the tautomers. I and II are inhibitors of receptor tyrosine kinases, and particularly of vascular endothelial growth factor receptor (VEGFR) tyrosine kinase. As such, they are inhibitors of angiogenesis, and thereby act as anticancer agents. Approx 270 invention compds. are listed, with detailed prepns. given for about 50 compds. Several general preparatory methods are discussed in detail. For instance, cyclocondensation of Et 2-(benzimidazol-2-yl)acetate with the corresponding ortho-amino nitrile (prepns. given), carried out in refluxing ClCH2CH2Cl in the presence of SnCl4, gave the invention quinolinone III. Many compds. I and II had in vitro IC50 values of less than 10 .mu.M with respect to flt-1 (VEGFR1), KDR (VEGFR2) and bFGF kinases (recombinant, expressed in Sf9 insect cells).

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 12 OF 20 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2002:185086 CAPLUS
DN 136:247505
TI Preparation of aminoquinolines as inhibitors of cGMP phosphodiesterase
IN Bi, Yingzhi; Yu, Guixue; Rotella, David P.; Macor, John E.
PA Bristol-Myers Squibb Company, USA
SO PCT Int. Appl., 96 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

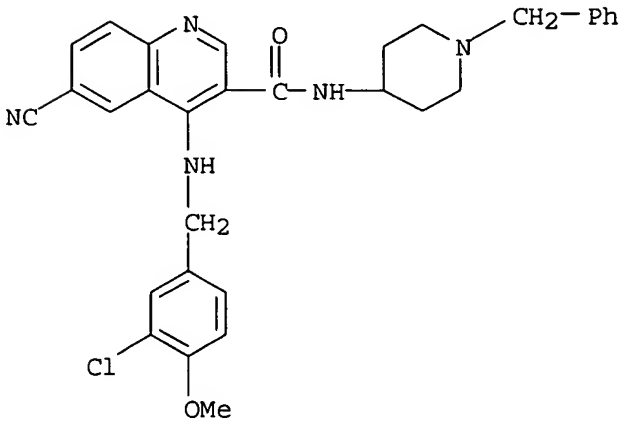
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002020489	A2	20020314	WO 2001-US26130	20010821
WO 2002020489	A3	20020606		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
			US 2000-230267PP	20000906
US 2002177587	A1	20021128	US 2001-933066	20010820
US 6576644	B2	20030610		
			US 2000-230267PP	20000906
AU 2001085163	A5	20020322	AU 2001-85163	20010821
			US 2000-230267PP	20000906
			WO 2001-US26130W	20010821

OS MARPAT 136:247505
IT **403839-97-4P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)
(target compd.; prepn. of aminoquinolines as inhibitors of cGMP phosphodiesterase)

RN 403839-97-4 CAPLUS

CN 3-Quinolinecarboxamide, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-6-cyano-N-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



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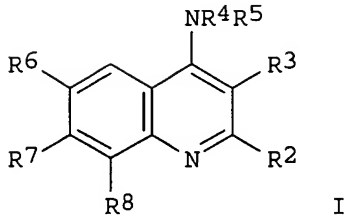

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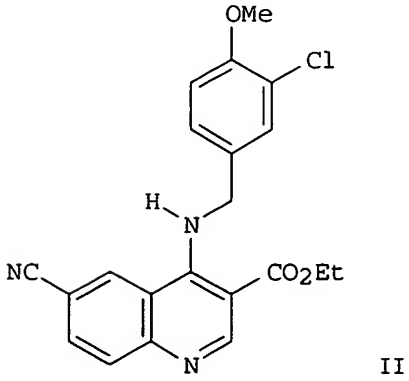


II

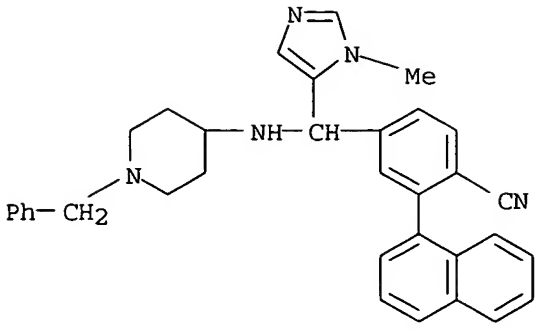
AB Title compds. I [R2, R6, R7, and R8 = independently H, halo,

(un)substituted alkyl, alkoxy, nitro, etc.; R4 and R5 = independently H, (un)substituted alkyl, cycloalkyl, aryl, or heteroaryl with provision R4 and R5 are not both H; R3 = (CH2)zY, wherein z = 0-3 and Y is independently selected from (un)substituted imidazole, **triazole**, OR9, CO2R9, CH(CO2R9)2, NR10R11, NR10CONR11R12, etc.; or R4 and R5 together with Y form a heterocyclic ring; R9 = H, OH, (un)substituted alkyl, alkoxy, aryl, heteroaryl, etc.; R10, R11 and R12 = independently H, (un)substituted alkyl, alkoxy, cycloalkyl, heterocyclo, heteroaryl, etc.; or R10 forms a 3-7 membered heterocyclo ring with R11 or R12, or R11 forms a 3-7 membered ring with R12] are prepd. and disclosed as inhibitors of cGMP PDE, esp. type 5. Thus, II was prepd. via substitution of 4-chloro-6-cyanoquinoline-3-carboxylic acid Et ester with 3-chloro-4-methoxybenzylamine hydrochloride (97% yield). As inhibitors of cGMP phosphodiesterase, I are useful in treatment of cardiovascular disorders, diabetes, gastrointestinal disorders and sexual dysfunction, in particular erectile dysfunction (no data).

L10 ANSWER 13 OF 20 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2002:123617 CAPLUS
DN 136:183819
TI Preparation of (imidazolylalkyl)biphenylcarbonitriles and analogs as farnesyltransferase inhibitors
IN Wang, Wei-Bo; Curtin, Michael L.; Fakhoury, Stephen A.; Gwaltney, Stephen L.; Hasvold, Lisa A.; Hutchins, Charles W.; Li, Qun; Lin, Nan-Horng; Nelson, Lissa Taka Jennings; O'Connor, Steve; Sham, Hing L.; Sullivan, Gerard M.; Wang, Gary T.; Wang, Xilu
PA USA
SO U.S. Pat. Appl. Publ., 189 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

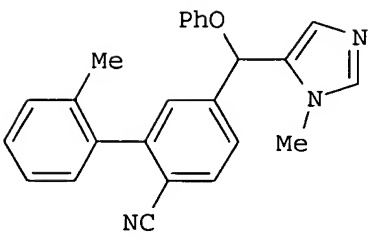
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002019527	A1	20020214	US 2001-842391	20010425
			US 2000-200165PP	20000427

OS MARPAT 136:183819
IT **371761-79-4P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of (imidazolylalkyl)biphenylcarbonitriles and analogs as farnesyltransferase inhibitors)
RN 371761-79-4 CAPLUS
CN Benzonitrile, 4-[(1-methyl-1H-imidazol-5-yl)[[1-(phenylmethyl)-4-piperidinyl]amino]methyl]-2-(1-naphthalenyl)-, trihydrochloride (9CI) (CA INDEX NAME)



●3 HCl

GI



II

AB Title compds. (I) were prepd. Thus, 2-MeC6H4C6H3(CN)(CHO)-2,5 was condensed with 1-methyl-2-triethylsilyl-1H-imidazole (prepn. each given) and the product O-arylated to give title compd. II. Data for biol. activity of I were given.

L10 ANSWER 14 OF 20 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2002:107318 CAPLUS
DN 136:151163
TI Preparation of indazole derivatives as JNK enzyme inhibitors
IN Bhagwat, Shripad S.; Satoh, Yoshitaka; Sakata, Steven T.
PA Signal Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 412 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002010137	A2	20020207	WO 2001-US23890	20010730
	WO 2002010137	C2	20030206		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO,

RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
US 2000-221799PP 20000731

US 2002103229 A1 20020801 US 2001-910950 20010723
US 2000-221799PP 20000731

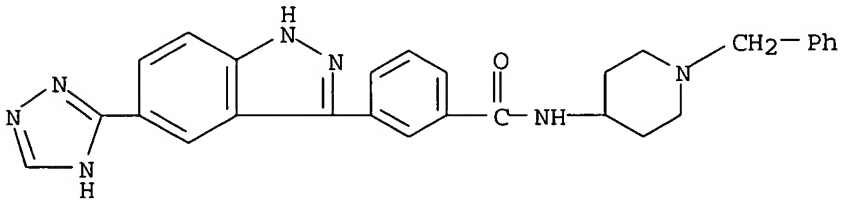
EP 1313711 A2 20030528 EP 2001-957332 20010730
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
US 2000-221799PP 20000731
WO 2001-US23890W 20010730

OS MARPAT 136:151163

IT **395107-63-8P**, N-[1-Benzyl-4-piperidyl]-3-[5-(1H-1,2,4-triazol-3-yl)-1H-indazol-3-yl]benzamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of indazole derivs. as JNK enzyme inhibitors)

RN 395107-63-8 CAPLUS

CN Benzamide, N-[1-(phenylmethyl)-4-piperidinyl]-3-[5-(1H-1,2,4-triazol-3-yl)-1H-indazol-3-yl]- (9CI) (CA INDEX NAME)



AB Indazole derivs., 3-R1A-5-R2-1H-indazoles (1), having activity as selective inhibitors of JNK are disclosed. In 1: A is a direct bond, -(CH2)a-, -(CH2)bCH:CH(CH2)c-, or -(CH2)bC.tplbond.C(CH2)c-; R1 is aryl, heteroaryl or heterocycle fused to Ph, each being optionally substituted with 1-4 R3; R2 is -R3, -R4, -(CH2)bC(O)R5, -(CH2)bC(:O)OR5, -(CH2)bC(O)NR5R6, -(CH2)bC(O)NR5(CH2)cC(O)R6, -(CH2)bNR5C(O)R6, -(CH2)bNR5C(O)NR6R7, -(CH2)bNR5R6, -(CH2)bOR5, -(CH2)bSOdR5 or -(CH2)bSO2NR5R6. A is 1-6; b and c are the same or different and are 0-4; d is 0-2. R3 is at each occurrence independently halogen, hydroxy, carboxy, alkyl, alkoxy, haloalkyl, acyloxy, thioalkyl, sulfinylalkyl, sulfonylalkyl, hydroxyalkyl, aryl, substituted aryl, arylalkyl, substituted arylalkyl, heterocycle, substituted heterocycle, heterocyclealkyl, substituted heterocyclealkyl, -C(O)OR8, -C(O)R8, -C(O)NR8R9, -C(O)NR8OR9, -SO2NR8R9, -NR8SO2R9, -CN, -NO2, -NR8R9, -NR8C(O)R9, -NR8C(O)(CH2)bOR9, -NR8C(O)(CH2)bR9, -O(CH2)bNR5R9, or heterocycle fused to Ph. R4 is alkyl, aryl, arylalkyl, heterocycle or heterocyclealkyl, each being optionally substituted with 1-4 R3, or R4 is halogen or hydroxy. R5, R6and R7 are the same or different and are H, alkyl, aryl, arylalkyl, heterocycle or heterocyclealkyl, wherein each of R5, R6 and R7 are optionally substituted with 1-4 R3. R8 and R9 are the same or different and at each occurrence independently H, alkyl, aryl, arylalkyl, heterocycle, or heterocyclealkyl, or R8 and R9 taken together with the atom or atoms to which they are bonded form a heterocycle, wherein each of R8, R9, and R8 and R9 taken together to form a heterocycle

are optionally substituted with 1-4 R3 with the proviso that: when A is a direct bond and R1 is Ph, R2 is not Me, methoxy, C(O)CH3 or C(O)H; when A is a direct bond and R1 is 4-Me-Ph, R2 is not Me; when A is a direct bond and R1 is 4-F-Ph, R2 is not trifluoromethyl; when A is a direct bond or -C.tplbond.C- and R1 is Ph, R2 is not -COOEt; and when A is a direct bond and R1 is 6,7-dimethoxyisoquinolin-1-yl, R2 is not hydroxy. Such compds. have utility in the treatment of a wide range of conditions that are responsive to JNK inhibition. Thus, methods of treating such conditions are also disclosed, as are pharmaceutical compns. contg. one or more compds. of the above compds. Many of the claimed compds. have IC50 values .ltoreq.0.5 .mu.M in the JNK2 assay, e.g. 5-[3-(4-fluorophenyl)-1H-indazol-5-yl]-2H-1,2,3,4-tetrazole. Although the methods of prepn. are not claimed, >400 example prepns. are included.

L10 ANSWER 15 OF 20 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2001:798200 CAPLUS
DN 135:344482
TI Preparation of substituted 4-(heteroarylmethyl)benzonitriles as farnesyltransferase inhibitors
IN Wang, Wei-Bo; Curtin, Michael L.; Fakhoury, Stephen A.; Gwaltney, Stephen L., II; Hasvold, Lisa A.; Hutchins, Charles W.; Li, Qui; Lin, Nan-Horng; Jennings Nelson, Lissa Taka; O'Connor, Stephen J.; Sham, Hing L.; Sullivan, Gerald M.; Wang, Gary T.; Wang, Xilu
PA Abbott Laboratories, USA
SO PCT Int. Appl., 305 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001081316	A2	20011101	WO 2001-US13678	20010425
WO 2001081316	A3	20020523		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

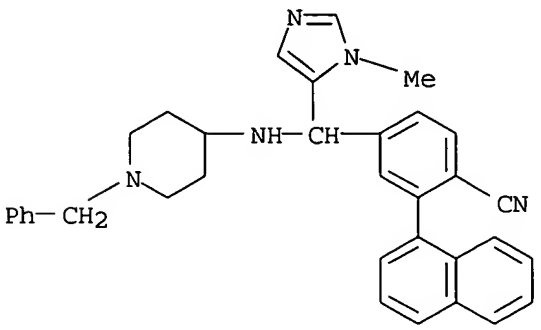
			US 2000-563256 A	20000427
			US 2001-822205 A	20010402
EP 1276726	A2	20030122	EP 2001-932712	20010425

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

			US 2000-563256 A	20000427
			US 2001-822205 A	20010402
			WO 2001-US13678W	20010425

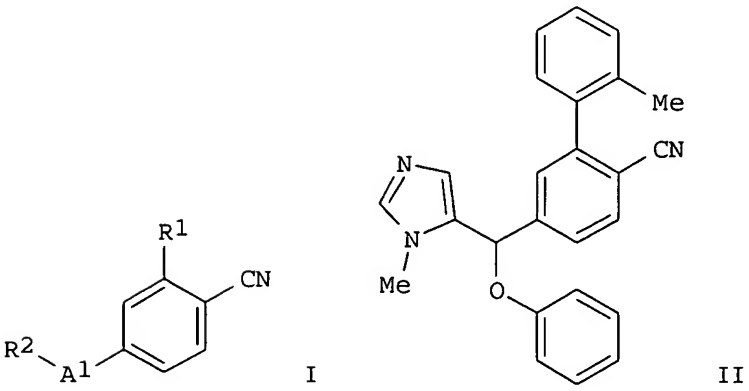
OS MARPAT 135:344482
IT **371761-79-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of substituted 4-(heteroarylmethyl)benzonitriles as farnesyltransferase inhibitors)
RN 371761-79-4 CAPLUS

CN Benzonitrile, 4-[(1-methyl-1H-imidazol-5-yl)[[1-(phenylmethyl)-4-piperidinyl]amino]methyl]-2-(1-naphthalenyl)-, trihydrochloride (9CI) (CA INDEX NAME)



●3 HCl

GI



AB The title compds. [I; A1 = (un)substituted alkylene, etc.; R1 = halo, cycloalkyl, aryl, heteroaryl; R2 = heteroaryl selected from imidazolyl, pyrazolyl, pyrrolyl, etc.] and their pharmaceutically acceptable salts which farnesyltransferase, were prepd. E.g., 3-step synthesis of the benzonitrile II.HCl which 88% inhibition of farnesyltransferase at 10-6 M, was given.

L10 ANSWER 16 OF 20 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2001:31473 CAPLUS
DN 134:100864
TI Indazole compounds and pharmaceutical compositions for inhibiting protein kinases, and methods for their use
IN Kania, Robert Steven; Bender, Steven Lee; Borchardt, Allen J.; Braganza,

John F.; Cripps, Stephan James; Hua, Ye; Johnson, Michael David; Johnson, Theodore Otto, Jr.; Luu, Hiep The; Palmer, Cynthia Louise; Reich, Siegfried Heinz; Tempczyk-russell, Anna Maria; Teng, Min; Thomas, Christine; Varney, Michael David; Wallace, Michael Brennan

PA Agouron Pharmaceuticals, Inc., USA

SO PCT Int. Appl., 439 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001002369	A2	20010111	WO 2000-US18263	20000630
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
				US 1999-142130PP	19990702
	BR 2000012352	A	20020514	BR 2000-12352	20000630
				US 1999-142130PP	19990702
				WO 2000-US18263W	20000630
	EP 1218348	A2	20020703	EP 2000-943375	20000630
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
				US 1999-142130PP	19990702
				WO 2000-US18263W	20000630
	JP 2003503481	T2	20030128	JP 2001-507809	20000630
				US 1999-142130PP	19990702
				WO 2000-US18263W	20000630
	US 6531491	B1	20030311	US 2001-983786	20011025
				US 1999-142130PP	19990702
				US 2000-609335 B3	20000630
	US 6534524	B1	20030318	US 2001-983783	20011025
				US 1999-142130PP	19990702
				US 2000-609335 B3	20000630
	NO 2001005797	A	20020301	NO 2001-5797	20011128
				US 1999-142130PP	19990702
				WO 2000-US18263W	20000630
	ZA 2001010061	A	20030206	ZA 2001-10061	20011206
				US 1999-142130PP	19990702
	BG 106380	A	20020930	BG 2002-106380	20020201
				US 1999-142130PP	19990702
				WO 2000-US18263W	20000630

OS MARPAT 134:100864

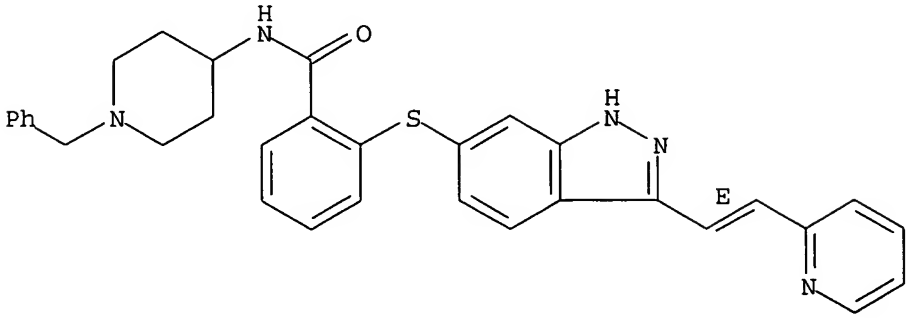
IT **319466-31-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of combinatorial libraries of aryl-substituted indazole derivs. as modulators and inhibitors of protein kinases in the treatment of tumor growth, cellular proliferation, and angiogenesis)

RN 319466-31-4 CAPLUS

CN Benzamide, N-[1-(phenylmethyl)-4-piperidinyl]-2-[[3-[(1E)-2-(2-

pyridinyl)ethenyl]-1H-indazol-6-yl]thio]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



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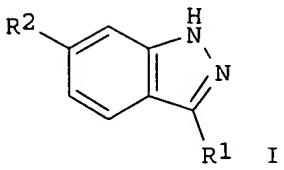
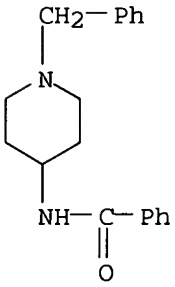


AB Indazole compds. I [R1 = substituted or unsubstituted aryl or heteroaryl, R3CH:CH, R3N:CH; R2 = substituted or unsubstituted aryl, heteroaryl, Y-X; R3 = substituted or unsubstituted alkyl alkenyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl; Y = O, S, C(:CH2), CO, SO, SO2, alkylidene, NH, N(C1-C8 alkyl); X = substituted or unsubstituted aryl, heteroaryl, NH(alkyl), NH(cycloalkyl), NH(heterocycloalkyl), NH(aryl), NH(heteroaryl), NH(alkoxy), NH(dialkylamide)] and their pharmaceutically acceptable prodrugs, active metabolites, and salts are disclosed. The compds. modulate and/or inhibit the activity of certain protein kinases. In particular, I and pharmaceutical compns. contg. them are capable of mediating tyrosine kinase signal transduction, and thereby modulate and/or inhibit unwanted cell proliferation. The invention is also directed to the therapeutic or prophylactic use of pharmaceutical compns. contg. such compds., and to methods of treating cancer and other disease states assocd. with unwanted angiogenesis and/or cellular proliferation, such as diabetic retinopathy, neovascular glaucoma, rheumatoid arthritis, and psoriasis, by administering effective amts. of such compds. E.g., I [R1 = (E)-3,4-(MeO)2C6H3CH:CH; R2 = 4-HO-3-MeOC6H3] (II) was prepd. from 6-aminoindazole by diazotization and substitution with iodide, protection of the indazole nitrogen with 2,4,6-Me3C6H2SO2Cl, coupling of the regioisomeric mixt. with 4-(methoxymethoxy)-3-methoxybenzeneboronic acid in the presence of dichlorobis(triphenylphosphine)palladium, and deprotection of the indazole moiety and iodination at the 3-position of the indazole. Treatment of the 3-indazolyl iodide with sec-butyllithium, phenyllithium, and DMF, regioselective protection of the indazole with 2,4,6-Me3C6H2SO2Cl, olefination with 3,4-dimethoxybenzyltriphenylphosphonium bromide, deprotection of the indazole, deprotection of the methoxymethyl group, and equilibration of the double bond with iodine gave II. Biol. data on protein kinase inhibition, cell proliferation

inhibition, neovascularization inhibition, and i.p. and oral bioavailability, are given.

L10 ANSWER 17 OF 20 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1999:310758 CAPLUS
DN 131:73602
TI 4-N-linked-heterocyclic piperidine derivatives with high affinity and selectivity for human dopamine D4 receptors
AU Moore, Kevin W.; Bonner, Katrine; Jones, Elizabeth A.; Emms, Frances; Leeson, Paul D.; Marwood, Rosemary; Patel, Shil; Patel, Smita; Rowley, Michael; Thomas, Steven; Carling, Robert W.
CS Merck Sharp and Dohme Research Laboratories, Neuroscience Research Centre, Essex, CM20 2QR, UK
SO Bioorganic & Medicinal Chemistry Letters (1999), 9(9), 1285-1290
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science Ltd.
DT Journal
LA English
IT **971-34-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of piperidinyl heterocycles and their affinity for dopamine D4 receptor)
RN 971-34-6 CAPLUS
CN Benzamide, N-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



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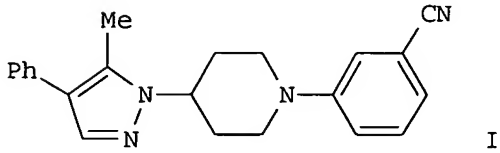

I

AB Several N-linked heterocyclic pyrazoles are prepd. as hD4 ligands. The best compd. identified was I, which has high affinity for hD4 (5.2 nM) and >300-fold selectivity for hD4 receptors over hD2 and hD3 receptors.
RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 18 OF 20 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1998:682229 CAPLUS

L10 ANSWER 18 OF 20 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1998:682229 CAPLUS

DN 129:302552
TI Preparation of 1,4-disubstituted cyclic amine derivatives as serotonin antagonists
IN Kitazawa, Noritaka; Ueno, Kohshi; Takahashi, Keiko; Kimura, Teiji; Sasaki, Atsushi; Kawano, Koki; Okabe, Tadashi; Komatsu, Makoto; Matsunaga, Manabu; Kubota, Atsuhiko
PA Eisai Co., Ltd., Japan
SO PCT Int. Appl., 635 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9843956	A1	19981008	WO 1998-JP1481	19980331
	W: AU, CA, CN, HU, JP, KR, MX, NO, NZ, RU, US				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
				JP 1997-98433 A	19970331
				JP 1997-366764 A	19971226
	AU 9865209	A1	19981022	AU 1998-65209	19980331
	AU 748038	B2	20020530		
				JP 1997-98433 A	19970331
				JP 1997-366764 A	19971226
				WO 1998-JP1481 W	19980331
	ZA 9802707	A	19991020	ZA 1998-2707	19980331
				JP 1997-98433 A	19970331
	EP 976732	A1	20000202	EP 1998-911137	19980331
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
				JP 1997-98433 A	19970331
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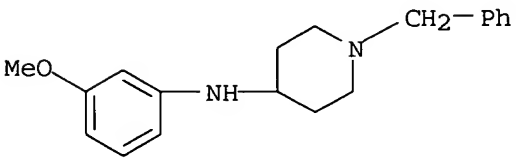
				WO 1998-JP1481 W	19980331
	NZ 337651	A	20020426	NZ 1998-337651	19980331
				JP 1997-98433 A	19970331
				JP 1997-366764 A	19971226
				WO 1998-JP1481 W	19980331
	RU 2203275	C2	20030427	RU 1999-123039	19980331
				JP 1997-98433 A	19970331
				JP 1997-366764 A	19971226
				WO 1998-JP1481 W	19980331
	US 6448243	B1	20020910	US 1999-367227	19990811
				JP 1997-98433 A	19970331
				JP 1997-366764 A	19971226
				WO 1998-JP1481 W	19980331
	NO 9904720	A	19991130	NO 1999-4720	19990928
				JP 1997-98433 A	19970331
				JP 1997-366764 A	19971226
				WO 1998-JP1481 W	19980331
	US 2002086999	A1	20020704	US 2001-846259	20010502
				JP 1997-98433 A	19970331
				JP 1997-366764 A	19971226
				WO 1998-JP1481 W	19980331
				US 1999-367227 A3	19990811
	US 2002019531	A1	20020214	US 2001-859517	20010518
	US 6579881	B2	20030617		
				JP 1997-98433 A	19970331
				JP 1997-366764 A	19971226
				WO 1998-JP1481 W	19980331
				US 1999-367227 A3	19990811

OS MARPAT 129:302552

IT **202859-14-1P 214611-21-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of 1,4-disubstituted cyclic amine derivs. as serotonin antagonists)

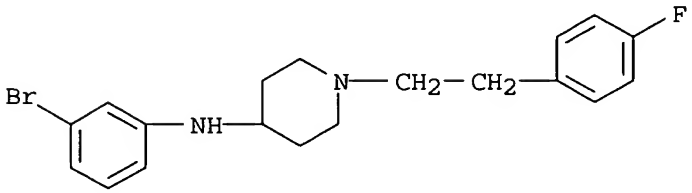
RN 202859-14-1 CAPLUS

CN 4-Piperidinamine, N-(3-methoxyphenyl)-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 214611-21-9 CAPLUS

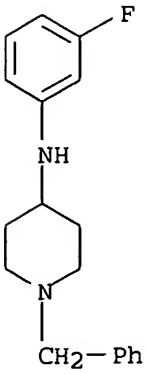
CN 4-Piperidinamine, N-(3-bromophenyl)-1-[2-(4-fluorophenyl)ethyl]- (9CI) (CA INDEX NAME)



IT **131587-28-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of 1,4-disubstituted cyclic amine derivs. as serotonin antagonists)

RN 131587-28-5 CAPLUS

CN 4-Piperidinamine, N-(3-fluorophenyl)-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



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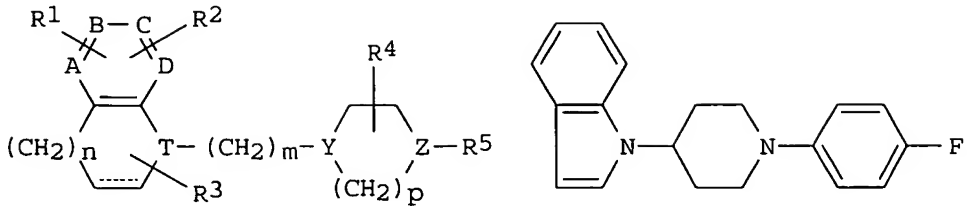


I

II

AB The title compds. (I; A, B, C, D, T, Y, and Z each represents a methine group or a nitrogen atom; R1, R2, R3, R4, and R5 each represents a substituent, such as halo, OH, hydroxyalkoxy, lower alkyl, etc.; n is an integer of 0 to 3; m is an integer of 0 to 6; and p is an integer of 1 to 3; dotted bond represents a single or double bond) are prepd. I have serotonin antagonism and serve as drugs for the treatment, alleviation and prevention of spastic paralysis or a central muscle relaxant for alleviating myotonia. Thus, indoline was reacted with 1-(4-fluorophenyl)-4-piperidone in the presence of NaB(OAc)3 in AcOH and dichloroethane to give 63% the title compd. (II), which showed binding activity of 623.94 and > 200 nM for 5HT1a and 5HT2 resp.

RE.CNT 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 19 OF 20 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1995:772553 CAPLUS
DN 123:199300
TI Preparation of diaminopurinylribofuranuronamide derivatives as antiinflammatories.
IN Gregson, Michael; Ayres, Barry Edward; Ewan, George Blanch; Ellis, Frank; Knight, John
PA Glaxo Group Ltd., UK
SO PCT Int. Appl., 112 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9417090	A1	19940804	WO 1994-EP145	19940118
	W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, UZ, VN				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
				GB 1993-1000 A	19930120
	CA 2153688	AA	19940804	CA 1994-2153688	19940118
				GB 1993-1000 A	19930120
	AU 9458851	A1	19940815	AU 1994-58851	19940118
	AU 679714	B2	19970710		
				GB 1993-1000 A	19930120

				WO 1994-EP145 W 19940118
ZA 9400335	A	19941024	ZA 1994-335	19940118
			GB 1993-1000 A	19930120
EP 680488	A1	19951108	EP 1994-905100	19940118
EP 680488	B1	19980408		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
			GB 1993-1000 A	19930120
			WO 1994-EP145 W	19940118
CN 1119440	A	19960327	CN 1994-191527	19940118
CN 1043997	B	19990707		
			GB 1993-1000 A	19930120
JP 08505864	T2	19960625	JP 1994-516652	19940118
			GB 1993-1000 A	19930120
			WO 1994-EP145 W	19940118
AT 164849	E	19980415	AT 1994-905100	19940118
			GB 1993-1000 A	19930120
ES 2117249	T3	19980801	ES 1994-905100	19940118
			GB 1993-1000 A	19930120
RU 2129561	C1	19990427	RU 1995-122754	19940118
			GB 1993-1000 A	19930120
			WO 1994-EP145 W	19940118
SK 281229	B6	20010118	SK 1995-918	19940118
			GB 1993-1000 A	19930120
			WO 1994-EP145 W	19940118
IL 108372	A1	19980615	IL 1994-108372	19940119
			GB 1993-1000 A	19930120
FI 9503489	A	19950913	FI 1995-3489	19950719
			GB 1993-1000 A	19930120
			WO 1994-EP145 W	19940118
NO 9502872	A	19950913	NO 1995-2872	19950719
			GB 1993-1000 A	19930120
			WO 1994-EP145 W	19940118
US 5925624	A	19990720	US 1995-446727	19950918
			GB 1993-1000 A	19930120
			WO 1994-EP145 W	19940118
US 5889178	A	19990330	US 1997-934540	19970922
			GB 1993-1000 A	19930120
			US 1995-446727 A3	19950918

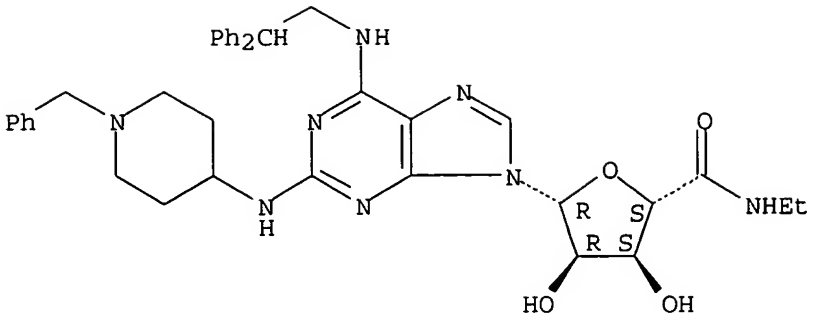
OS MARPAT 123:199300

IT **167297-77-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of diaminopurinylribofuranuronamide derivs. as antiinflammatories)

RN 167297-77-0 CAPLUS

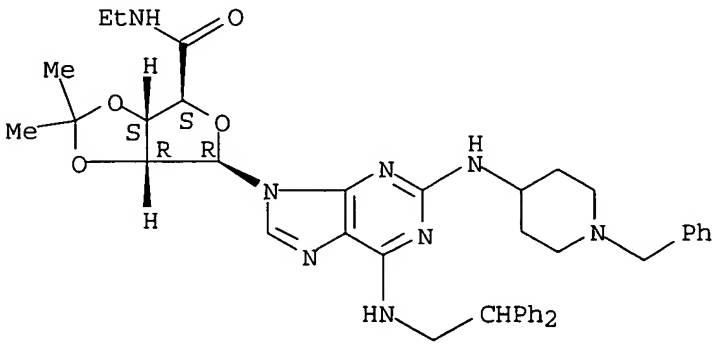
CN .beta.-D-Ribofuranuronamide, 1-deoxy-1-[6-[(2,2-diphenylethyl)amino]-2-[[1-(phenylmethyl)-4-piperidinyl]amino]-9H-purin-9-yl]-N-ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **167297-68-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of diaminopurinylribofuranuronamide derivs. as antiinflammatories)
RN 167297-68-9 CAPLUS
CN .beta.-D-Ribofuranuronamide, 1-deoxy-1-[6-[(2,2-diphenylethyl)amino]-2-[[1-(phenylmethyl)-4-piperidinyl]amino]-9H-purin-9-yl]-N-ethyl-2,3-O-(1-methylethylidene)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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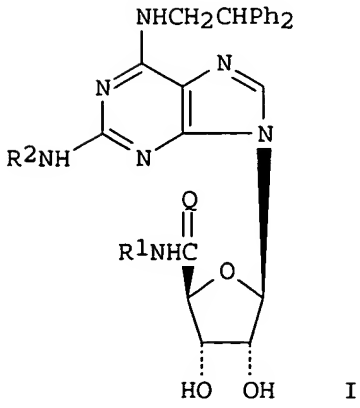

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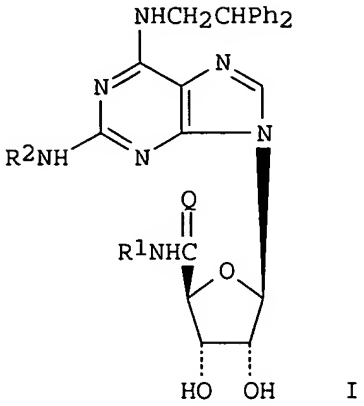


I

AB Title compds. [I; R1 = H, C3-8 cycloalkyl, C1-6 alkyl; R2 = (substituted) C3-8 cycloalkyl, C3-8 cycloalkyl-C1-6 alkyl, pyrrolidin-3-yl, 2-oxopyrrolidin-4-yl, 2-oxopyrrolidin-5-yl, piperidin-3-yl, piperidin-4-yl, etc.; Q = O, S], were prepd. Title compds. are useful as antiinflammatory agents, particularly in the treatment of patients with inflammatory conditions who are susceptible to leukocyte-induced tissue damage. Thus, (trans)-1-[2-[(4-aminocyclohexyl)amino]-6-[(2,2-diphenylethyl)amino]-9H-purin-9-yl]-1-deoxy-N-ethyl-2,3-O-(1-methylethylidene)-.beta.-D-ribofuranuronamide was stirred with aq. CF3CO2H to give (trans)-1-[2-[(4-aminocyclohexyl)amino]-6-[(2,2-diphenylethyl)amino]-9H-purin-9-yl]-1-deoxy-N-ethyl-.beta.-D-ribofuranonamide. The latter was 25 times more potent than NECA for inhibiting O2- generation from neutrophils stimulated with fMLP, and inhibited ovalbumin-induced eosinophil accumulation in sensitized guinea pigs with ED50 = 10 .mu.g/kg i.p.

L10 ANSWER 20 OF 20 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1989:574103 CAPLUS
DN 111:174103
TI Preparation of piperidine-containing heterocycles as analgesics and anesthetics
IN Lin, Bor Sheng; Scheblein, Joseph W.
PA BOC Inc., USA
SO U.S., 28 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4831192	A	19890516	US 1987-139896	19871231
	EP 328830	A1	19890823	EP 1988-312149	19881221
	EP 328830	B1	19940601		
	R: BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
				US 1987-139896	19871231
				US 1987-139899	19871231
	ES 2054836	T3	19940816	ES 1988-312149	19881221
				US 1987-139896	19871231
				US 1987-139899	19871231
	JP 01301676	A2	19891205	JP 1988-332751	19881228
				US 1987-139896	19871231

PATENT FAMILY INFORMATION:

FAN 1989:423391

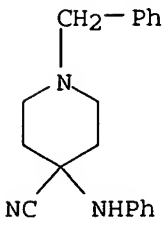
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4791120	A	19881213	US 1987-139899	19871231
	US 4871749	A	19891003	US 1988-256792	19881011
				US 1987-139899	19871231
	AU 8826604	A1	19890713	AU 1988-26604	19881206
	AU 616708	B2	19911107		
				US 1987-139899	19871231
	NO 8805463	A	19890703	NO 1988-5463	19881208
	NO 174553	B	19940214		
	NO 174553	C	19940525		
				US 1987-139899	19871231
	IL 88645	A1	19930708	IL 1988-88645	19881209
				US 1987-139899	19871231
	EP 328830	A1	19890823	EP 1988-312149	19881221
	EP 328830	B1	19940601		
	R: BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
				US 1987-139896	19871231
				US 1987-139899	19871231
	ES 2054836	T3	19940816	ES 1988-312149	19881221
				US 1987-139896	19871231
				US 1987-139899	19871231
	JP 01213278	A2	19890828	JP 1988-332752	19881228
				US 1987-139899	19871231
	DK 8807328	A	19890701	DK 1988-7328	19881230
				US 1987-139899	19871231
	FI 8806057	A	19890701	FI 1988-6057	19881230
	FI 92065	B	19940615		
	FI 92065	C	19940926		
				US 1987-139899	19871231
	CN 1035285	A	19890906	CN 1989-100056	19881230
	CN 1023010	B	19931208		
				US 1987-139899	19871231

OS CASREACT 111:174103; MARPAT 111:174103

IT **968-86-5P 120070-52-2P 120070-54-4P**
120070-55-5P 120115-93-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, in prepn. of analgesics and anesthetics)

RN 968-86-5 CAPLUS

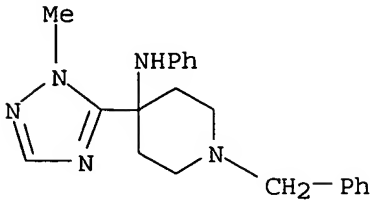
CN 4-Piperidinecarbonitrile, 4-(phenylamino)-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



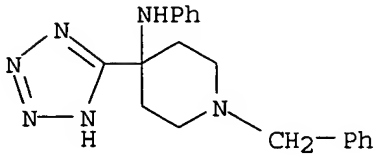
RN 120070-52-2 CAPLUS

CN 4-Piperidinamine, 4-(1-methyl-1H-1,2,4-triazol-5-yl)-N-phenyl-1-

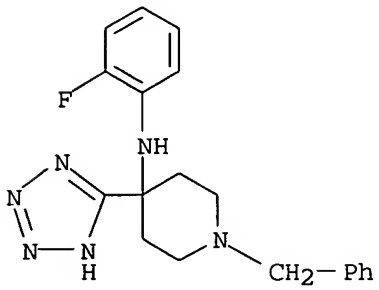
(phenylmethyl)- (9CI) (CA INDEX NAME)



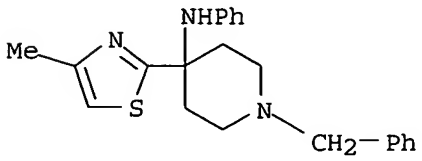
RN 120070-54-4 CAPLUS
CN 4-Piperidinamine, N-phenyl-1-(phenylmethyl)-4-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



RN 120070-55-5 CAPLUS
CN 4-Piperidinamine, N-(2-fluorophenyl)-1-(phenylmethyl)-4-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)

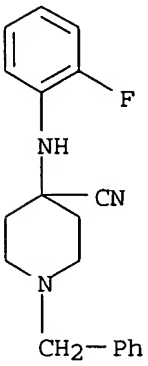


RN 120115-93-7 CAPLUS
CN 4-Piperidinamine, 4-(4-methyl-2-thiazolyl)-N-phenyl-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



IT **120070-56-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in prepn. of analgesics and anesthetics)

RN 120070-56-6 CAPLUS
CN 4-Piperidinecarbonitrile, 4-[(2-fluorophenyl)amino]-1-(phenylmethyl)-
(9CI) (CA INDEX NAME)



GI For diagram(s), see printed CA Issue.
AB Title compds. I [R1 = (substituted) oxadiazolyl, imidazolyl, triazolyl, tetrazolyl, thiazolyl; R2 = (substituted) Ph; R3 = acyl, alkoxycarbonyl; L = alkyl, alkoxy, thienylalkyl, (substituted) thiazolylalkyl, etc.] are prepd. from I (R1 = cyano; R3 = H). Treatment of I (R1 = cyano; R2 = Ph; R3 = H; L = PhCH2) (prepd. from KCN, PhNH2, and N-benzyl-4-piperidone, CAUTION: HCN evolution) with NaN3 in THF in the presence of AlCl3 gave I (R1 = 1H-tetrazol-5-yl), which was refluxed with Ac2O to afford I (R1 = 5-methyl-1,3,4-oxadiazol-2-yl; R2 = Ph; R3 = Ac; L = PhCH2). The oxalate of the latter showed ED50 >5.0 mg/kg in mice in a hot-plate analgesia test.

=> log y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	326.60	475.57

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-35.81	-35.81

STN INTERNATIONAL LOGOFF AT 11:01:01 ON 13 OCT 2003